

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssp1623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover!  
NEWS 4 OCT 28 KOREAPAT now available on STN  
NEWS 5 NOV 30 PHAR reloaded with additional data  
NEWS 6 DEC 01 LISA now available on STN  
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004  
NEWS 8 DEC 15 MEDLINE update schedule for December 2004  
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB  
  
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

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agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:12:19 ON 21 DEC 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:12:28 ON 21 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9  
DICTIONARY FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

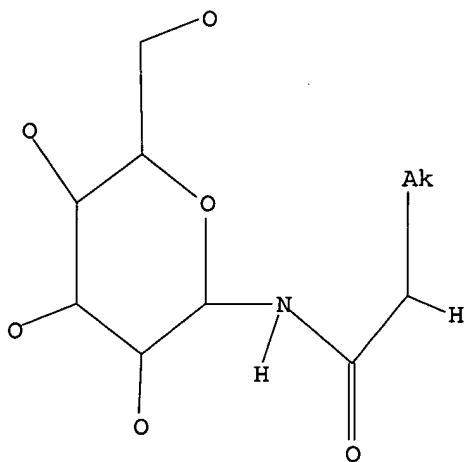
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading c:\10676436-2.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam  
SAMPLE SEARCH INITIATED 14:12:59 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 453 TO ITERATE

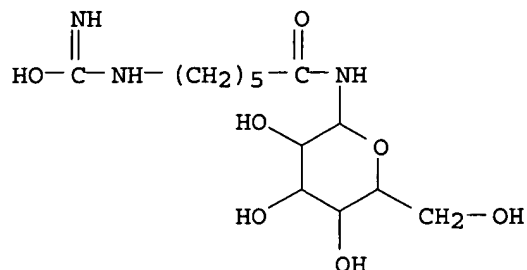
100.0% PROCESSED 453 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 7784 TO 10336  
PROJECTED ANSWERS: 608 TO 1472

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN  
IN Carbamimidic acid, [6-(β-D-glucopyranosylamino)-6-oxohexyl]- (9CI)  
MF C13 H25 N3 O7  
CI COM

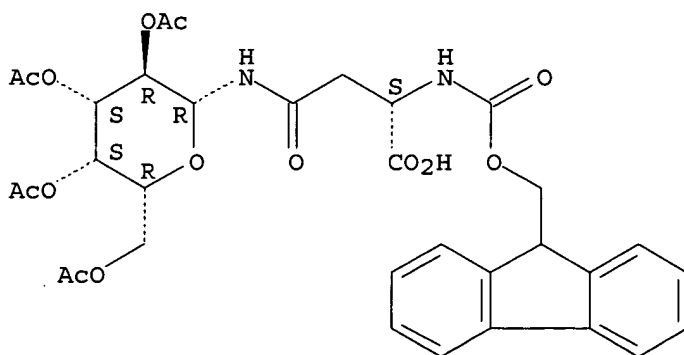


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 50 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN  
IN L-Asparagine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N-(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)- (9CI)  
MF C33 H36 N2 O14

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s 1

SYSTEM LIMITS EXCEEDED - SEARCH ENDED

The search profile you entered was too complex or gave too many

answers. Simplify or subdivide the query and try again. If you have exceeded the answer limit, enter DELETE HISTORY at an arrow prompt (=>) to remove all previous answers sets and begin at L1. Use the SAVE command to store any important profiles or answer sets before using DELETE HISTORY.

=> s l1 sss full

FULL SEARCH INITIATED 14:13:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9751 TO ITERATE

100.0% PROCESSED 9751 ITERATIONS

1100 ANSWERS

SEARCH TIME: 00.00.01

L3 1100 SEA SSS FUL L1

=> s l3 and (drug or biomolecule or bioactive?)

1589 DRUG

7 DRUGS

1596 DRUG

(DRUG OR DRUGS)

0 BIOMOLECULE

4 BIOACTIVE?

L4 0 L3 AND (DRUG OR BIOMOLECULE OR BIOACTIVE?)

=> s l3 and (drug or bioactive)

1589 DRUG

7 DRUGS

1596 DRUG

(DRUG OR DRUGS)

4 BIOACTIVE

L5 0 L3 AND (DRUG OR BIOACTIVE)

=> s l3 and drug

1589 DRUG

7 DRUGS

1596 DRUG

(DRUG OR DRUGS)

L6 0 L3 AND DRUG

=> s l3 and (spacer or linker or lipid? or glycerol)

40752 SPACER

1391 LINKER

3 LINKERS

1394 LINKER

(LINKER OR LINKERS)

2046 LIPID?

13148 GLYCEROL

7 GLYCEROLS

13148 GLYCEROL

(GLYCEROL OR GLYCEROLS)

L7 0 L3 AND (SPACER OR LINKER OR LIPID? OR GLYCEROL)

=> s l3 and conjugate

29436 CONJUGATE

5 CONJUGATES

29436 CONJUGATE

(CONJUGATE OR CONJUGATES)

L8 0 L3 AND CONJUGATE

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

206.67

206.88

FILE 'CAPLUS' ENTERED AT 14:16:45 ON 21 DEC 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 21 Dec 2004 VOL 141 ISS 26  
FILE LAST UPDATED: 20 Dec 2004 (20041220/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3 and (drug or biomolecule or bioactiv?)
      353 L3
      560379 DRUG
      285940 DRUGS
      705514 DRUG
            (DRUG OR DRUGS)
      437 BIOMOLECULE
      2612 BIOMOLECULES
      3039 BIOMOLECULE
            (BIOMOLECULE OR BIOMOLECULES)
      9048 BIOMOL
      9240 BIOMOLS
      14688 BIOMOL
            (BIOMOL OR BIOMOLS)
      15298 BIOMOLECULE
            (BIOMOLECULE OR BIOMOL)
      31823 BIOACTIV?
L9      53 L3 AND (DRUG OR BIOMOLECULE OR BIOACTIV?)
```

```
=> s l9 and (spacer or linker)
      37966 SPACER
      13466 SPACERS
      45416 SPACER
            (SPACER OR SPACERS)
      16480 LINKER
      3896 LINKERS
      18712 LINKER
            (LINKER OR LINKERS)
L10      10 L9 AND (SPACER OR LINKER)
```

=> dis l10 1-10 bib abs hitstr

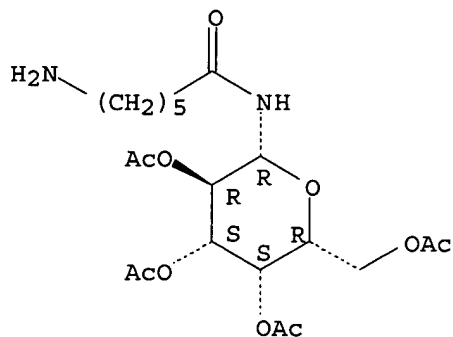
```
L10  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2002:914245  CAPLUS
DN    138:122801
TI    Synthesis of Antisense Oligonucleotides Conjugated to a Multivalent
      Carbohydrate Cluster for Cellular Targeting
AU    Maier, Martin A.; Yannopoulos, Constantin G.; Mohamed, Nazim; Roland,
      Arlene; Fritz, Hans; Mohan, V.; Just, George; Manoharan, Muthiah
CS    Department of Medicinal Chemistry, Isis Pharmaceuticals Inc., Carlsbad,
      CA, 92008, USA
```

SO Bioconjugate Chemistry (2003), 14(1), 18-29  
 CODEN: BCCHES; ISSN: 1043-1802  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 138:122801  
 AB Carrier-mediated delivery holds great promise for significantly improving the cellular uptake and therefore the therapeutic efficacy of antisense oligonucleotides in vivo. A multivalent carbohydrate recognition motif for the asialoglycoprotein receptor has been designed for tissue and cell-specific delivery of antisense **drugs** to parenchymal liver cells. To combine low mol. weight with high receptor affinity, the synthetic ligand contains three galactosyl residues attached to a cholane scaffold via  $\epsilon$ -aminocapramide **linkers**. Three-dimensional structural calcns. indicate that this unique design provides proper spacing and orientation of the three galactosyl residues to accomplish high affinity binding to the receptor. Covalent conjugation of the bulky carbohydrate cluster to oligonucleotides has been achieved by solid-phase synthesis using low-loaded macroporous resins and optimized synthesis protocols.

IT 252769-06-5P 252769-08-7P 252769-13-4P  
 489459-96-3P 489459-99-6P 489460-03-9P  
 489460-06-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of antisense oligonucleotides conjugated to multivalent carbohydrate cluster for cellular targeting)

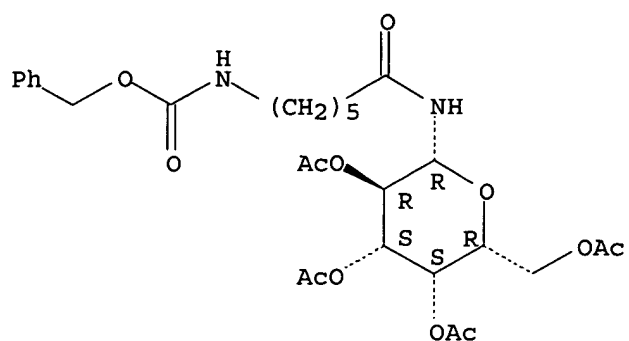
RN 252769-06-5 CAPLUS  
 CN Hexanamide, 6-amino-N-(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252769-08-7 CAPLUS  
 CN Carbamic acid, [6-oxo-6-[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

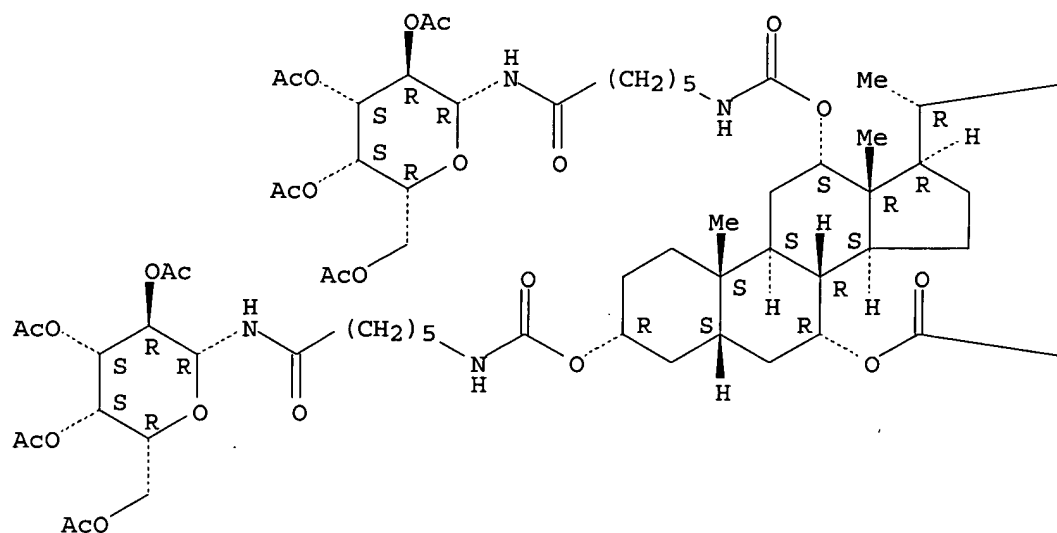


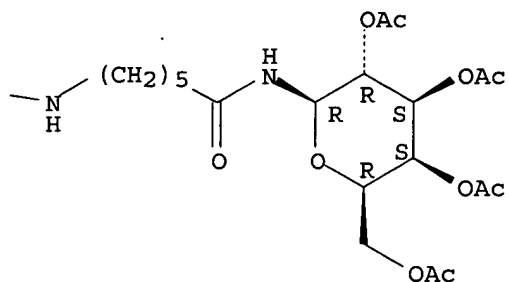
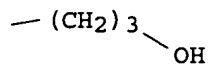
RN 252769-13-4 CAPLUS

CN Choline-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-  
 $\beta$ -D-galactopyranosyl) amino]hexyl] carbamate],  
 (3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ ) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

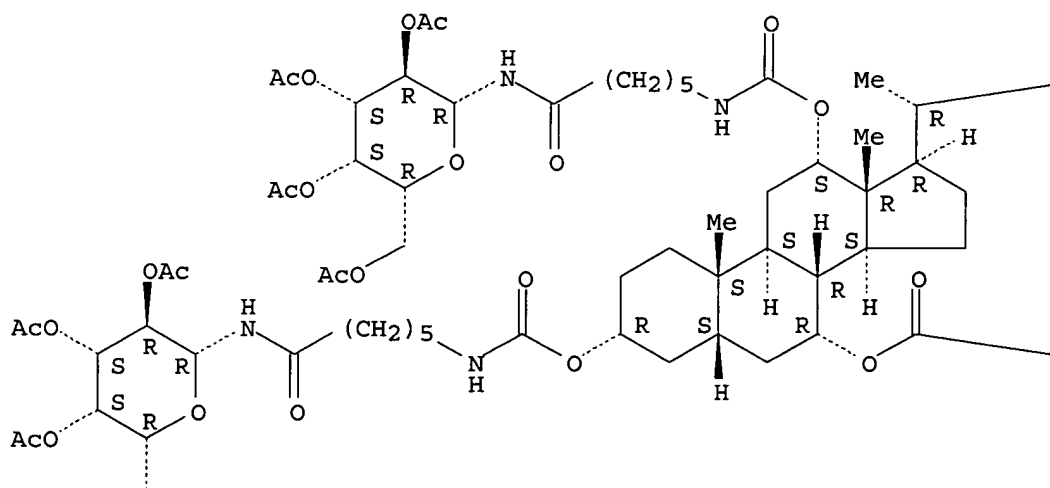
PAGE 1-A





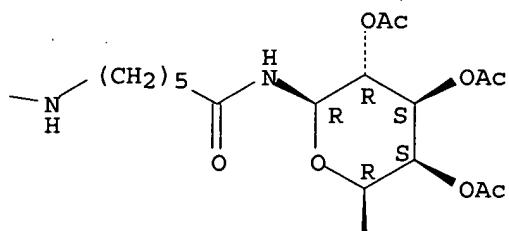
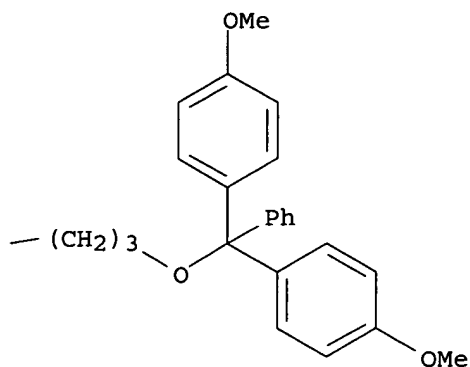
RN 489459-96-3 CAPLUS  
 CN Cholane-3,7,12-triol, 24-[bis(4-methoxyphenyl)phenylmethoxy]-,  
 tris[6-oxo-6-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
 glucopyranosyl)amino]hexyl]carbamate], (3α,5β,7α,12.α  
 .)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

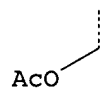




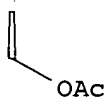
PAGE 1-B



PAGE 2-A



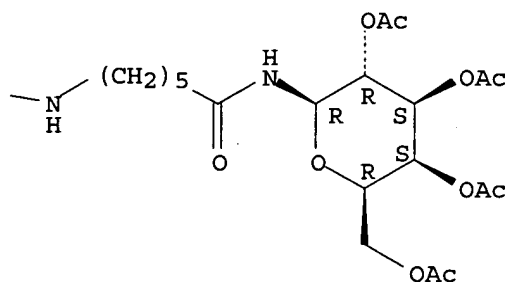
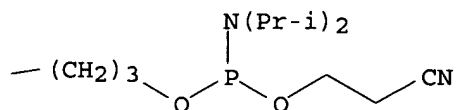
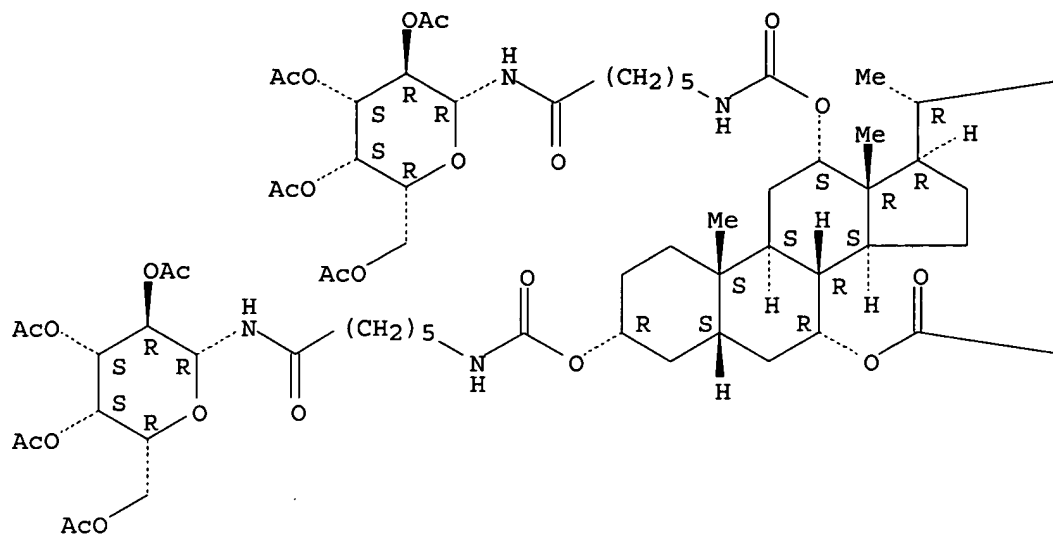
PAGE 2-B



RN 489459-99-6 CAPLUS

CN Cholane-3,7,12-triol, 24-[[[bis(1-methylethyl)amino] (2-cyanoethoxy)phosphino]oxy]-, tris[6-oxo-6-[[ (2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]hexyl]carbamate], (3α,5β,7α,12.α lpha.)- (9CI) (CA INDEX NAME)

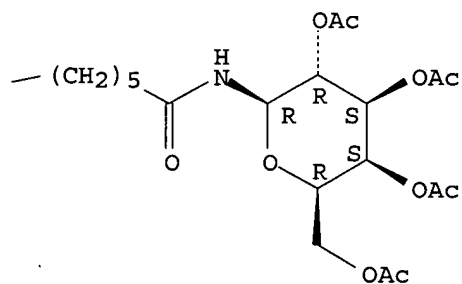
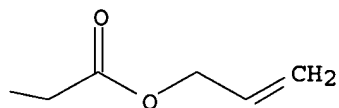
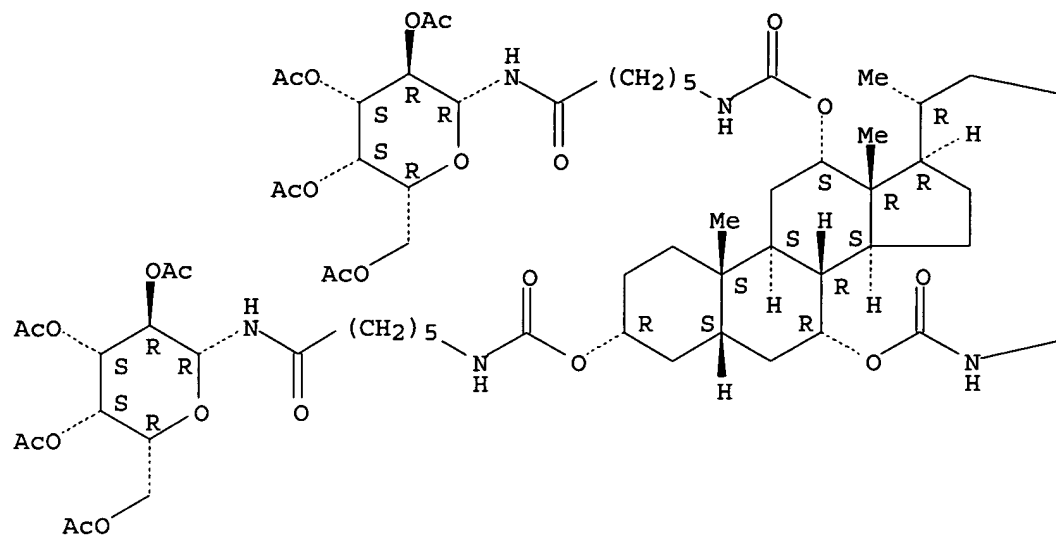
Absolute stereochemistry.



RN 489460-03-9 CAPLUS

CN Cholan-24-oic acid, 3,7,12-tris[[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)amino]hexyl]amino]carbonyl]oxy]-, 2-propenyl ester, (3α,5β,7α,12α)- (9CI) (CA INDEX NAME)

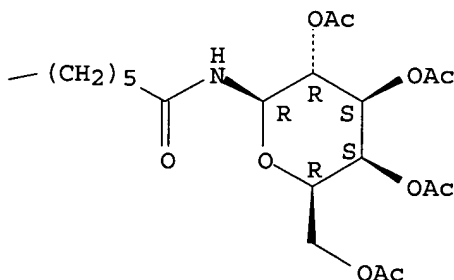
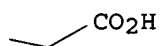
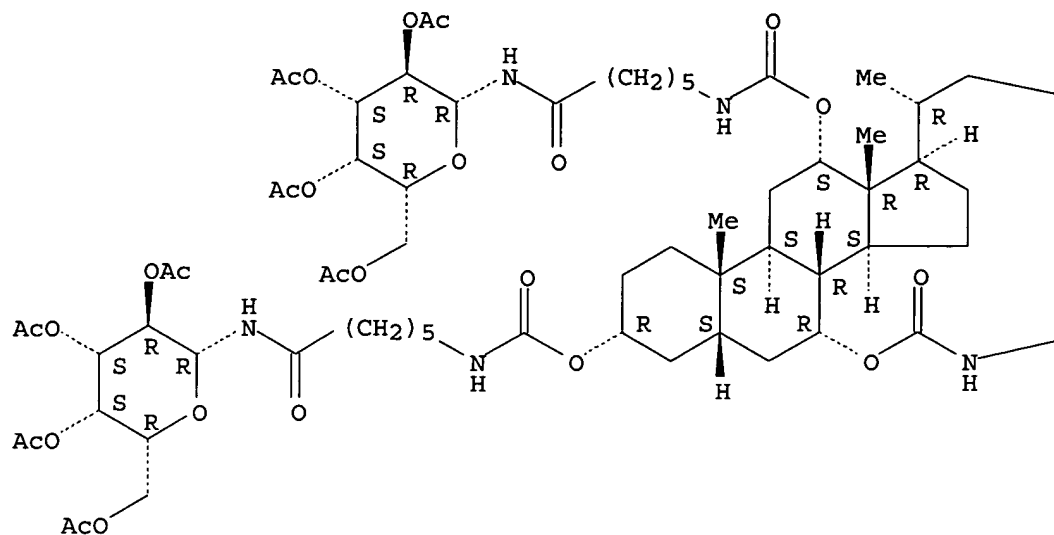
Absolute stereochemistry.



RN 489460-06-2 CAPLUS

CN Cholan-24-oic acid, 3,7,12-tris[[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]hexyl]amino]carbonyl]oxy]-, (3α,5β,7α,12α) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

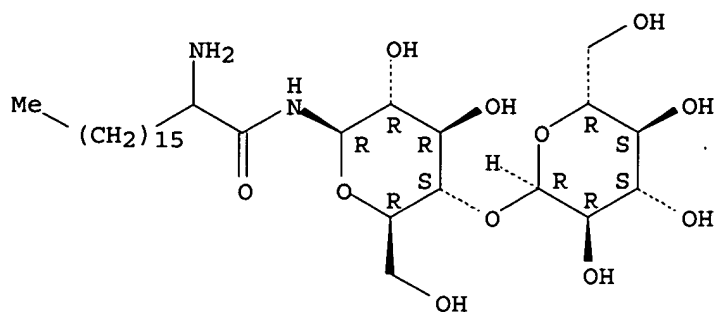


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:521752 CAPLUS  
DN 137:79182  
TI Preparation of monosaccharide and oligosaccharide lipo-amino acids as  
pharmaceutical agents used for oral administration as delivery systems  
IN Toth, Istvan; Falconer, Robert  
PA Alchemia Pty. Ltd., Australia  
SO PCT Int. Appl., 66 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2002053572	A1	20020711	WO 2002-AU5	20020103
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2004176281	A1	20040909	US 2003-676436	20030630
PRAI	GB 2001-115	A	20010104		
	WO 2002-AU5	A2	20020103		
OS	MARPAT 137:79182				
AB	<p>The invention relates to compds. <math>r[D(nz)]p[(Wq-S-X-L)(my)]</math> in which D is a therapeutically useful mol.; r is 0, or is an integer greater than or equal to 1; p, n and m may be the same or different, and are independently integers greater than or equal to 1; n and m represent the overall magnitude of the charge on the mols.; and z and y are charges, either pos. (+) or neg. (-), such that when z is pos., y is neg. and vice versa; and <math>[(Wq-S-X-L)(my)]</math> is a carrier compound, in which X is a covalent bond, or is a <b>linker</b> group, selected from 2 to 14 atom <b>spacers</b>, which may be substituted or unsubstituted, branched or linear; S is a mono- or oligosaccharide; L is a lipidic moiety; W may be absent, or is a 3 to 10 atom alkyl or heteroalkyl <b>spacer</b>, which may be branched or linear, and is substituted with one or more functional groups, each of which is charged or is capable of carrying a charge under physiol. conditions; and q is 0 when W is absent, or is an integer, which ranges from 3 to the number of hydroxys available for substitution on the mono- or oligosaccharide., which are useful in the delivery of a wide variety of therapeutically useful mols. In particular, the invention relates to compds. which are able to act as carriers for therapeutically useful mols., and to pharmaceutical agents comprising these carriers. The compds. of the invention comprise a mono- or oligosaccharide, a lipidic moiety, and optionally a <b>linker</b> and/or a <b>spacer</b>. The pharmaceutical agents of the invention are particularly useful for oral administration. Thus, 2,3,4,6-tetra-O-acetyl-N-[[[2-(R/S)[(tert-butoxycarbonyl)amino]tetradecyl]amino]carbonothioyl]-<math>\beta</math>-D-glucopyranosylamine was prepared as pharmaceutical agent used for oral administration as <b>drug</b> delivery system, (no data). A formulation intended for oral administration to humans may contain about 1 mg to 1 g of an active compound with an appropriate and convenient amount of carrier material, which may vary from about 5 to 95 percent of the total composition. Dosage unit forms will generally contain between from about 1 mg to 500 mg of active ingredient.</p>				
IT	<b>192385-43-6P 192385-44-7P 441016-31-5P 441016-32-6P</b> RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral administration as delivery systems)				
RN	192385-43-6 CAPLUS				
CN	Octadecanamide, 2-amino-N-(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)				

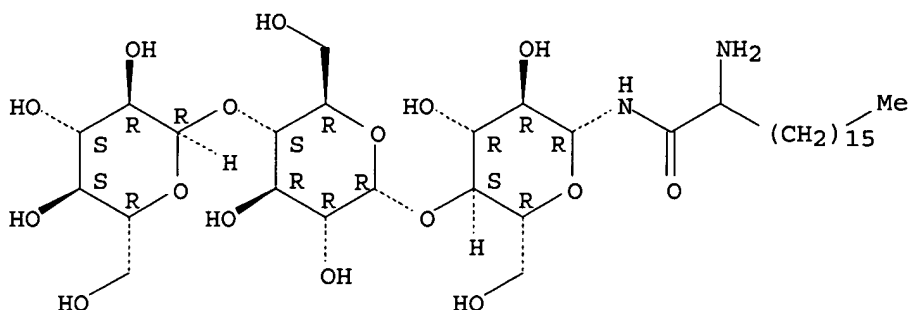
Absolute stereochemistry.



RN 192385-44-7 CAPLUS

CN Octadecanamide, 2-amino-N-(O- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

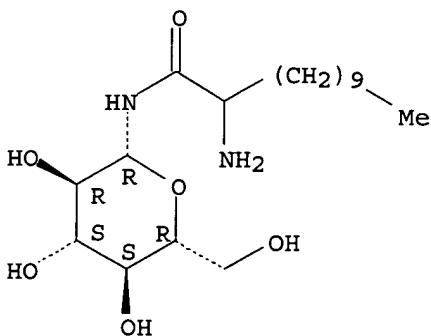
Absolute stereochemistry.



RN 441016-31-5 CAPLUS

CN Dodecanamide, 2-amino-N- $\beta$ -D-glucopyranosyl- (9CI) (CA INDEX NAME)

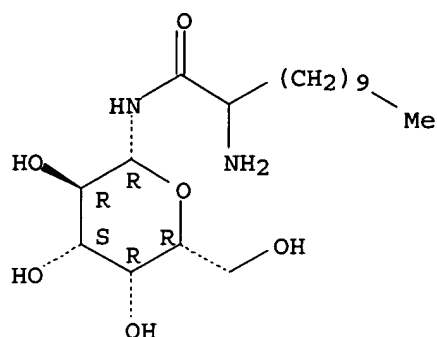
Absolute stereochemistry.



RN 441016-32-6 CAPLUS

CN Dodecanamide, 2-amino-N- $\beta$ -D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 199448-59-4P 199448-61-8P 441016-23-5P  
 441016-24-6P 441016-28-0P 441016-29-1P  
 441016-44-0P

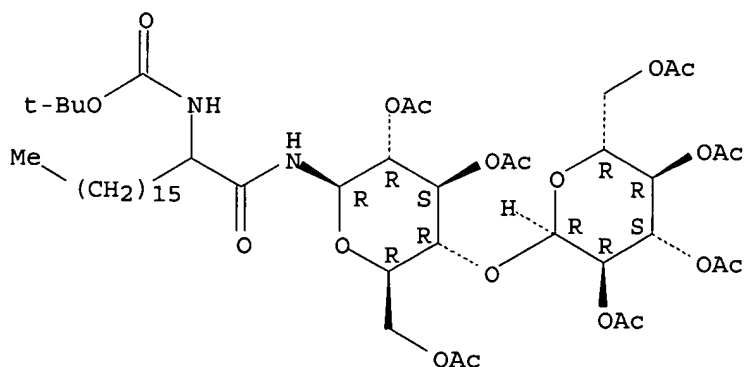
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral administration as delivery systems)

RN 199448-59-4 CAPLUS

CN Carbamic acid, [1-[[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

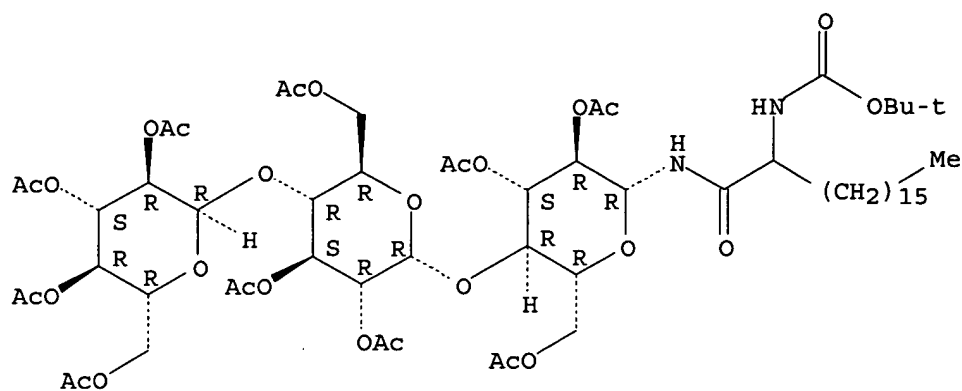
Absolute stereochemistry.



RN 199448-61-8 CAPLUS

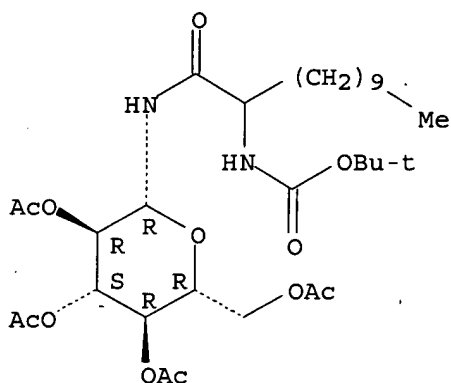
CN Carbamic acid, [1-[[[(O-2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O-2,3,6-tri-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-2,3,6-tri-O-acetyl- $\beta$ -D-glucopyranosyl)amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



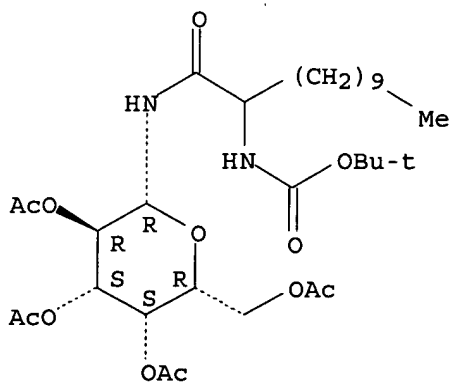
RN 441016-23-5 CAPLUS  
 CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 441016-24-6 CAPLUS  
 CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

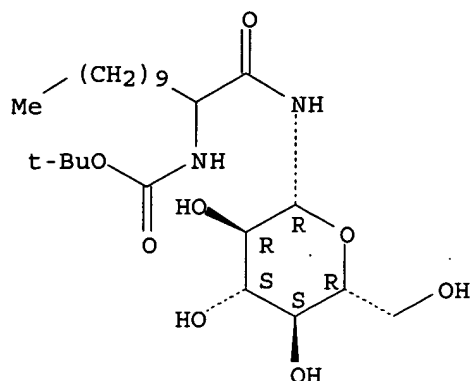


RN 441016-28-0 CAPLUS  
 CN Carbamic acid, [1-[(β-D-glucopyranosylamino)carbonyl]undecyl]-,



1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

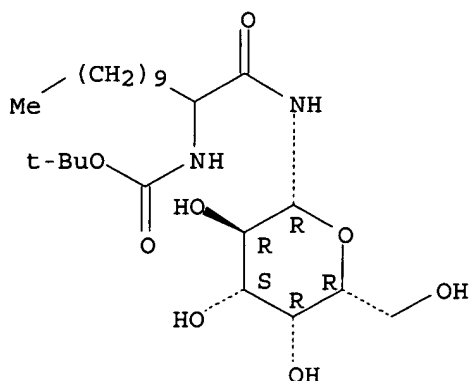
Absolute stereochemistry.



RN 441016-29-1 CAPLUS

CN Carbamic acid, [1-[( $\beta$ -D-galactopyranosylamino)carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

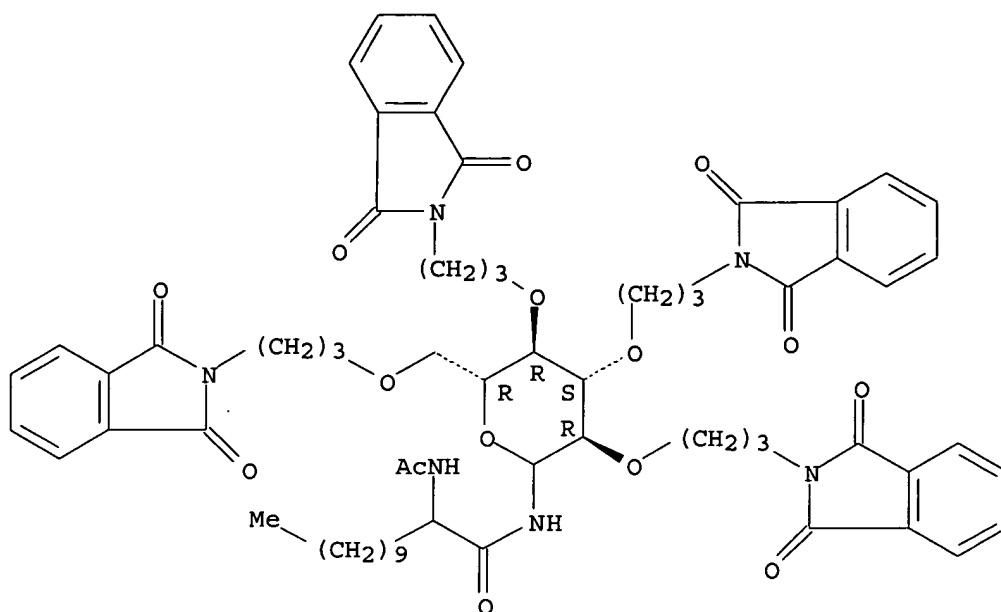
Absolute stereochemistry.



RN 441016-44-0 CAPLUS

CN Dodecanamide, 2-(acetylamino)-N-[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



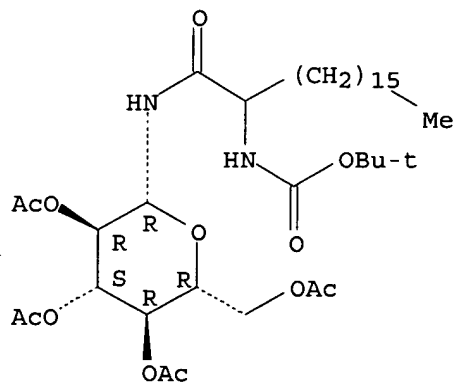
IT 199448-57-2P 215254-45-8P 365441-37-8P  
441016-25-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of monosaccharide and oligosaccharide lipoamino acids as  
pharmaceutical agents used for oral administration as delivery systems)

RN 199448-57-2 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
glucopyranosyl)amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

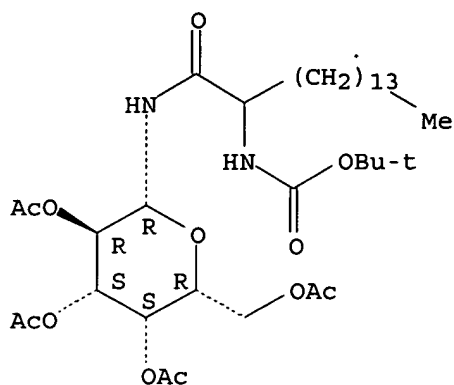
Absolute stereochemistry.



RN 215254-45-8 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
galactopyranosyl)amino]carbonyl]pentadecyl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

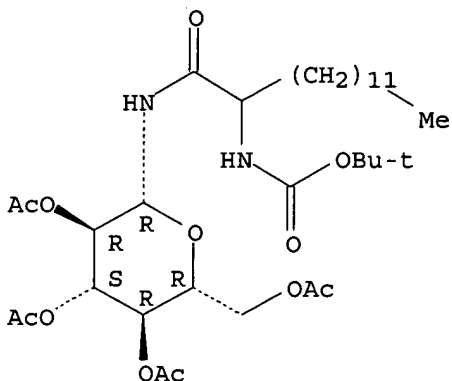
Absolute stereochemistry.



RN 365441-37-8 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

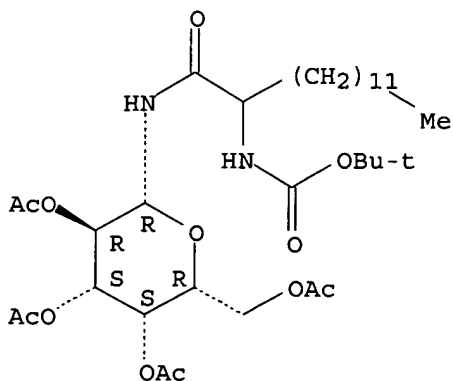
Absolute stereochemistry.



RN 441016-25-7 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



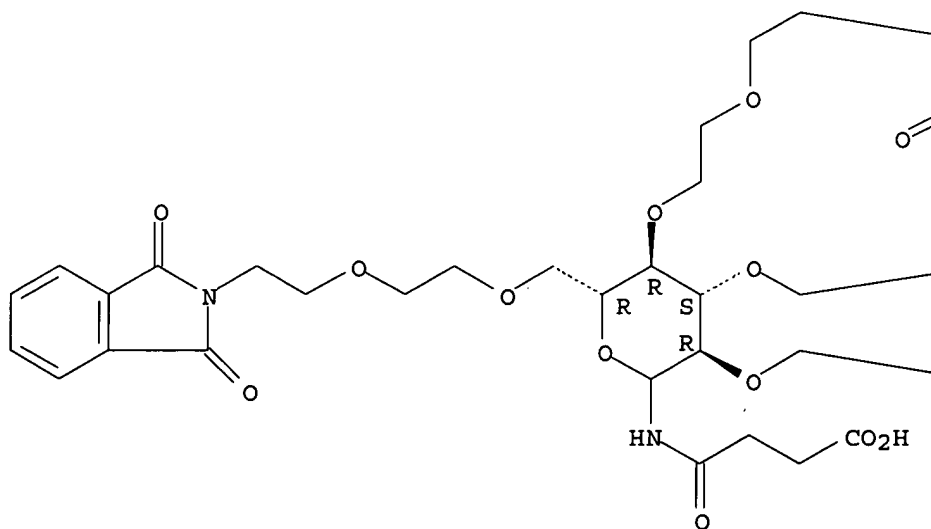
RE.CNT 3

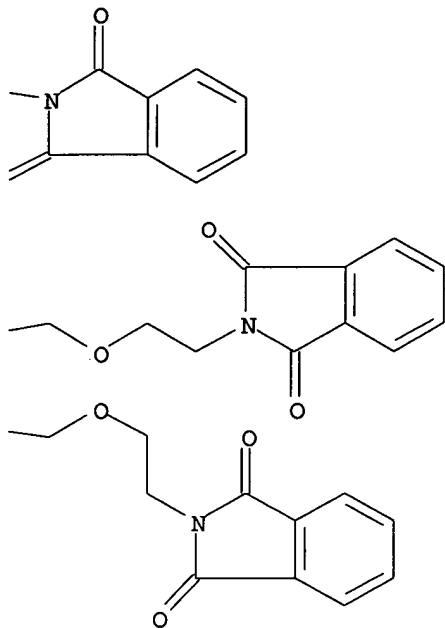
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:509421 CAPLUS  
 DN 138:358249  
 TI Towards synthetic vaccines built on carbohydrate cores  
 AU McGeary, Ross P.; Jablonkai, Istvan; Toth, Istvan  
 CS School of Pharmacy, The University of Queensland, Brisbane, 4072, Australia  
 SO Letters in Peptide Science (2002), Volume Date 2001, 8(3-5), 273-276  
 CODEN: LPSCEM; ISSN: 0929-5666  
 PB Kluwer Academic Publishers  
 DT Journal  
 LA English  
 AB Lipophilic polyfunctional carbohydrate core/templates have been designed and developed for **drug**/vaccine delivery. Three carbohydrate-based templates containing four protected N-terminal arms were synthesized from glucose and galactose. Me  $\alpha$ -D-glucopyranoside was converted to two derivs. bearing a carboxylic acid handle for attachment to solid supports, **spacer** arms of differing hydrophilicity, and phthaloyl-protected amino groups suitable for peptide chain extension.  $\beta$ -D-Galactopyranosyl azide was converted to a template bearing a carboxylic acid handle and four BOC-protected amines. All the templates were found to be suitable for attachment to solid supports and subsequent cleavage from resins, using either BOC- or Fmoc-methodologies.  
 IT 394245-94-4P 394245-96-6P 394245-97-7P 518307-50-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (towards synthetic vaccines built on carbohydrate cores)  
 RN 394245-94-4 CAPLUS  
 CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

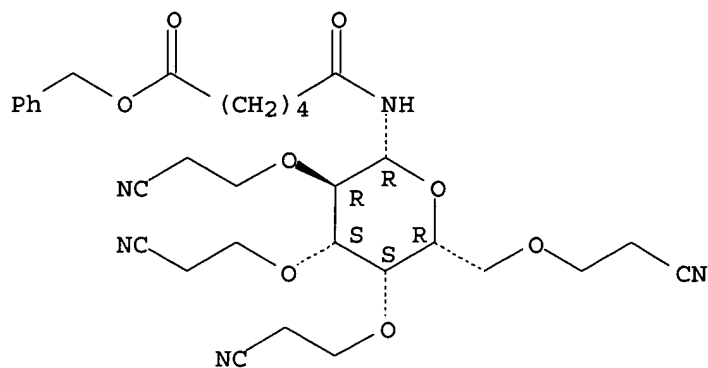




RN 394245-96-6 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-(2-cyanoethyl)- $\beta$ -D-galactopyranosyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

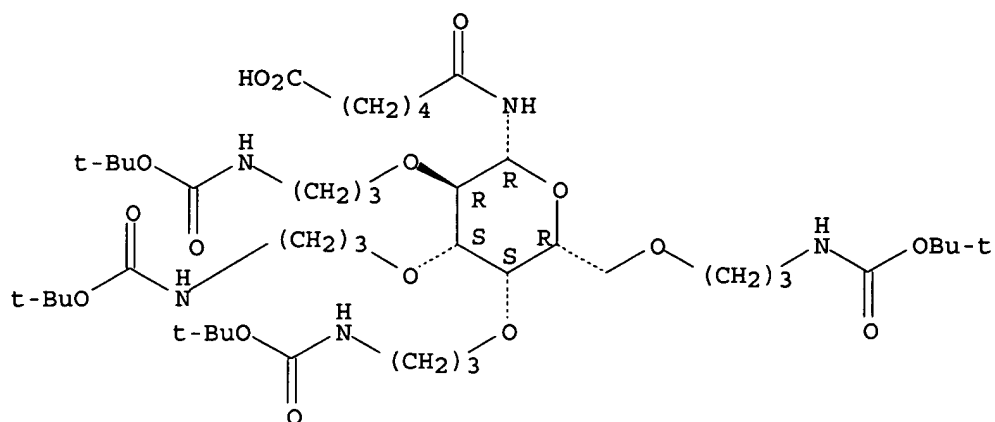
Absolute stereochemistry.



RN 394245-97-7 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propyl]- $\beta$ -D-galactopyranosyl]amino]- (9CI) (CA INDEX NAME)

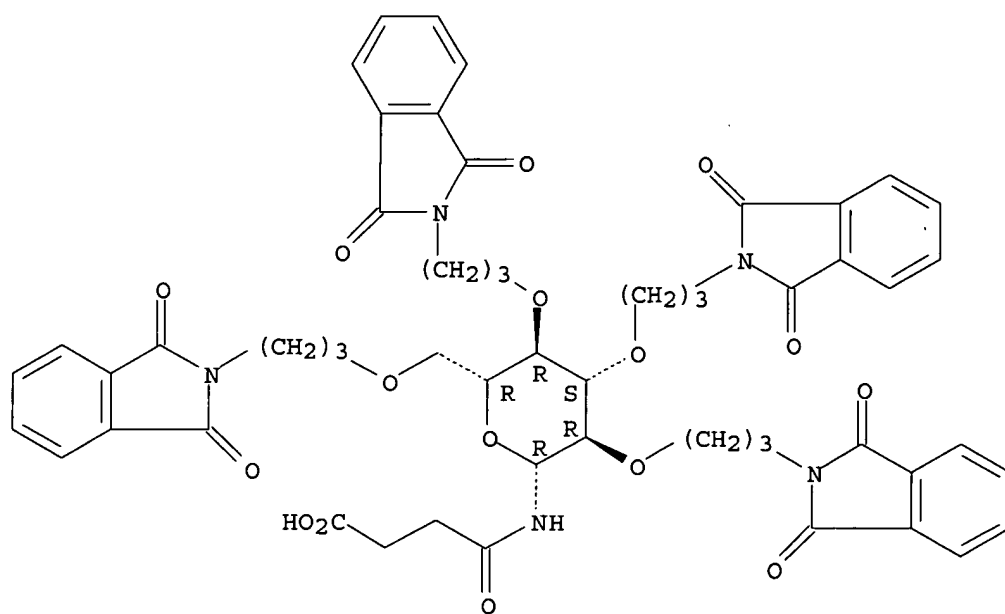
Absolute stereochemistry.



RN 518307-50-1 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]]-β-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



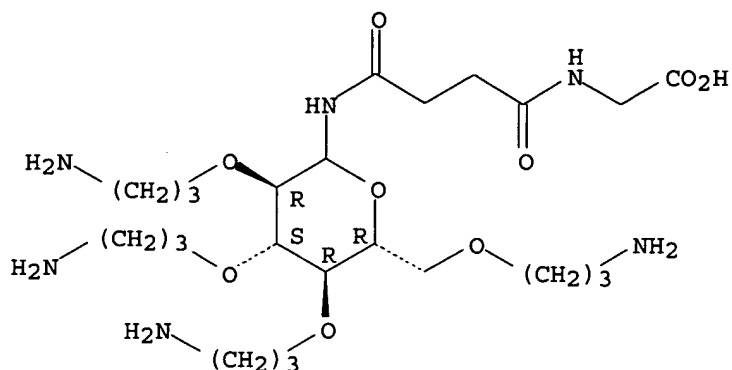
IT 394246-00-5P 394246-01-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(towards synthetic vaccines built on carbohydrate cores)

RN 394246-00-5 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-(3-aminopropyl)-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

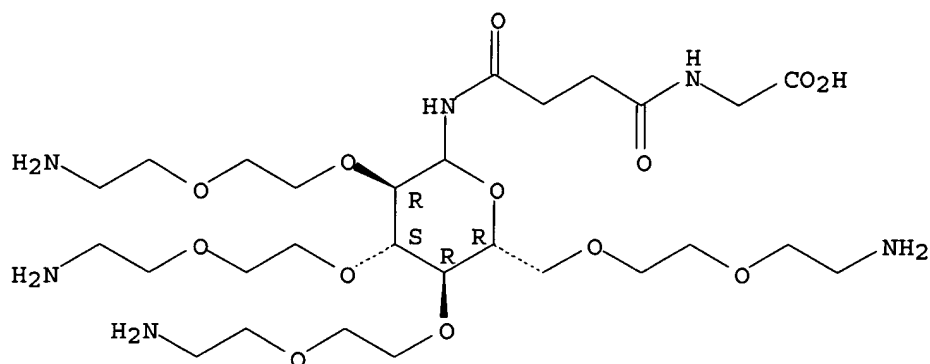
Absolute stereochemistry.



RN 394246-01-6 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-(2-aminoethoxy)ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



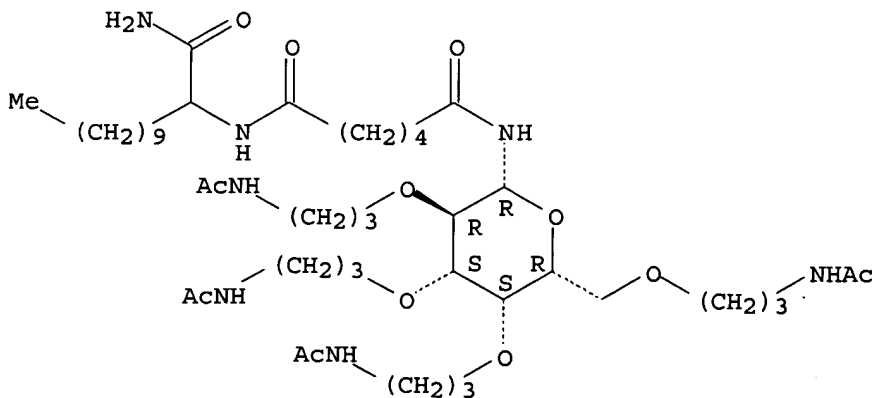
IT 394246-03-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(towards synthetic vaccines built on carbohydrate cores)

RN 394246-03-8 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-[3-(acetylamino)propyl]-β-D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:502815 CAPLUS

DN 137:77870

TI Vaccine compositions comprising a viral or tumor antigen and a pan  
DR-binding oligopeptide for inducing humoral immune response against  
desired determinants

IN Sette, Alessandro; Gaeta, Federico; Grey, Howard M.; Sidney, John;  
Alexander, Jeffrey L.

PA Epimmune Inc., USA

SO U.S., 43 pp., Cont.-in-part of U.S. 5,736,142.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6413935	B1	20020702	US 1997-788822	19970123
	US 5736142	A	19980407	US 1994-305871	19940914
PRAI	US 1993-121101	B2	19930914		
	US 1994-305871	A2	19940914		
	US 1996-10510P	P	19960124		

AB He present invention provides compns. and methods of inducing immune  
response in patients. In particular, it provides compns. useful in  
inducing humoral responses against desired immunogens, particularly  
polysaccharides. The immunogen is derived from a virus or cancer cell.

IT 194040-04-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

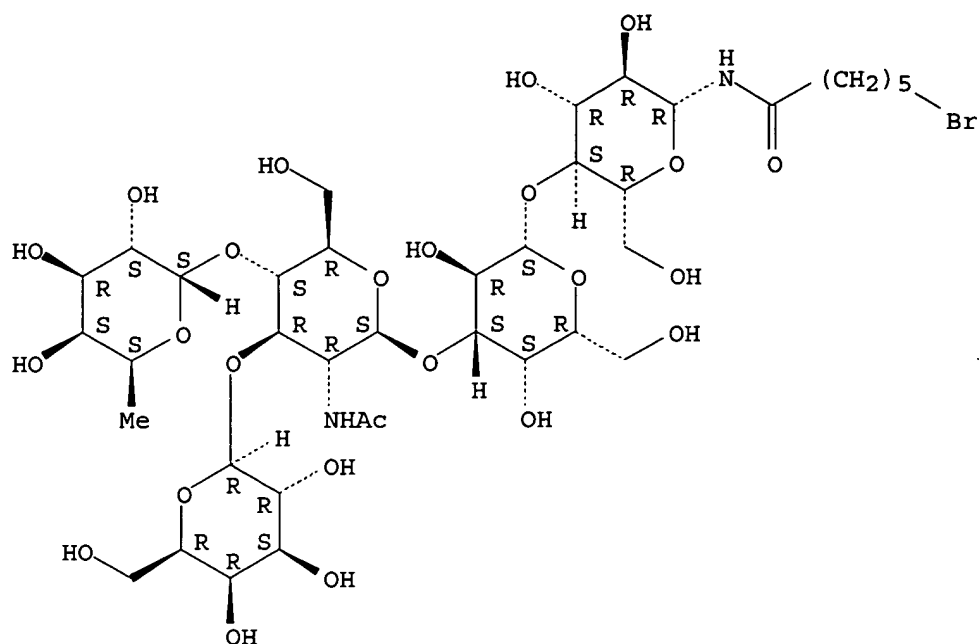
(vaccine compns. comprising a viral or tumor antigen and a pan  
DR-binding oligopeptide for inducing humoral immune response against  
desired determinants)

RN 194040-04-5 CAPLUS

CN Hexanamide, 6-bromo-N-[O-6-deoxy- $\alpha$ -L-galactopyranosyl-(1 $\rightarrow$ 4)-O-  
[ $\beta$ -D-galactopyranosyl-(1 $\rightarrow$ 3)]]-O-2-(acetylamino)-2-deoxy- $\beta$ -  
D-glucopyranosyl-(1 $\rightarrow$ 3)-O- $\beta$ -D-galactopyranosyl-(1 $\rightarrow$ 4)-  
 $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:251265. CAPLUS

DN 138:44568

TI Molecular recognition by Kluyveromyces of amphotericin B-loaded, galactose-tagged, poly(lactic acid) microspheres

AU Kassab, Rima; Parrot-Lopez, Helene; Fessi, Hatem; Menaucourt, Jean; Bonaly, Roger; Coulon, Joel

CS UMR 5078 CNRS, Universite Claude Bernard, Villeurbanne, 69622, Fr.

SO Bioorganic & Medicinal Chemistry (2002), 10(6), 1767-1775

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

AB In an effort to develop a new way of **drug** delivery, especially for polyenic antifungal mols., amphotericin B (AmB) was incorporated into biodegradable galactosylated poly(L-lactic acid) (L-PLA) and poly(L-lactic-co-glycolic acid) (PLGA) microspheres. These **drug** carriers were prepared by solvent evaporation using an oil/water (o/w) emulsion.

The ratio of galactosyl **spacers** with different chain lengths was 1.74-2.78%. The maximal quantity of AmB encapsulated reported to 100 mg of the galactosylated microspheres was 7.14 mg for L-PLA (encapsulation rate 45% of mole) and 6.42 mg for PLGA derivs. (encapsulation rate 81% of mole). In our yeast model, **drug** release depended on three factors: (i) presence of galactosylated antennae, (ii) length of galactosyl antenna and (iii) nature of the polymer. More of the AmB trapped in PLGA microspheres was released than from PLA microspheres. These novel functionalized microspheres could be required for the delivering of therapeutic agents according to their recognition to specific cells.

IT 38822-56-9D, glycolic-lactic copolymer derivs. 263762-46-5

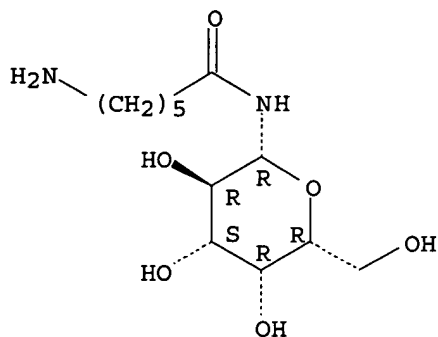
263762-47-6 478826-55-0 478826-56-1

478826-57-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mol. recognition of amphotericin B-loaded, galactose-tagged, poly(lactic acid) microspheres by Kluyveromyces)

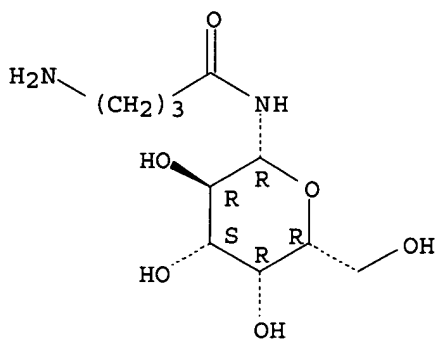
RN 38822-56-9 CAPLUS  
CN Hexanamide, 6-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



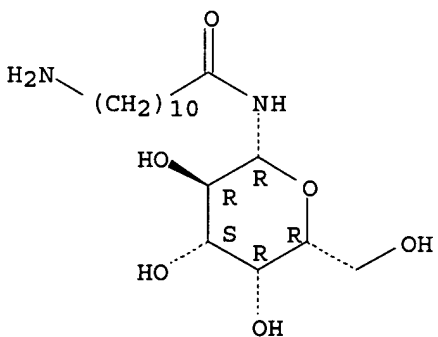
RN 263762-46-5 CAPLUS  
CN Butanamide, 4-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

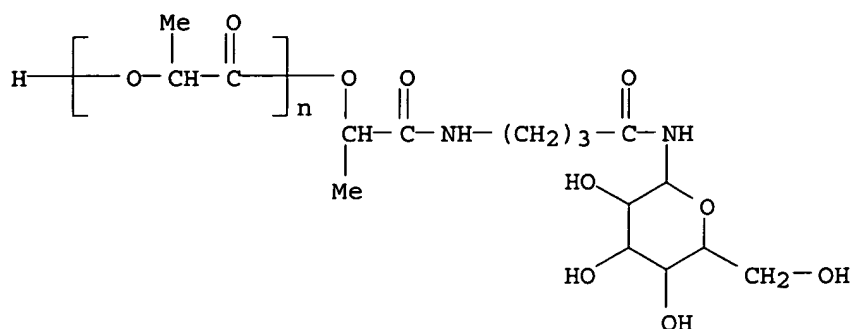


RN 263762-47-6 CAPLUS  
CN Undecanamide, 11-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

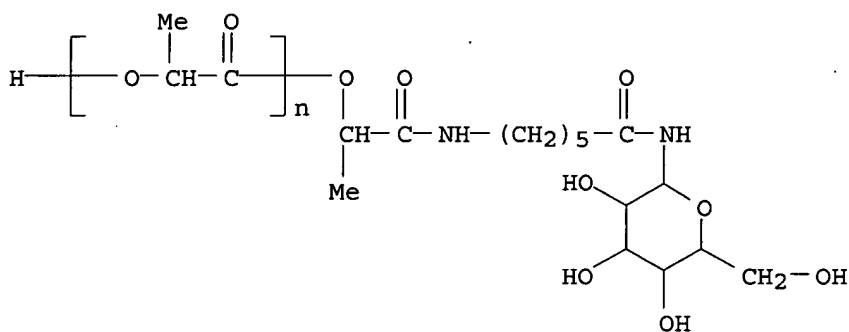


RN 478826-55-0 CAPLUS  
CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]], α-hydro-ω-[(1S)-2-[[4-(β-D-galactopyranosylamino)-4-oxobutyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



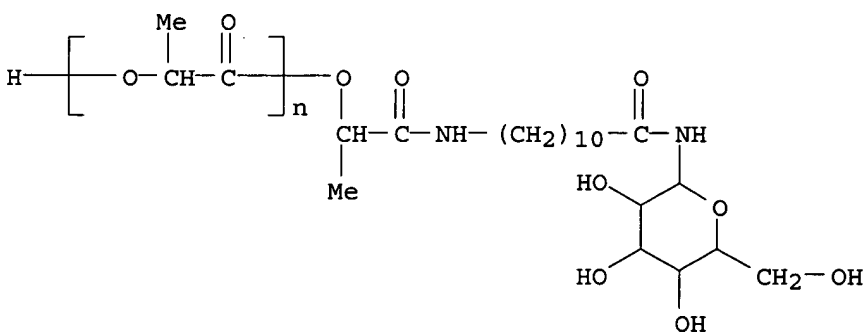
RN 478826-56-1 CAPLUS

CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]],  $\alpha$ -hydro- $\omega$ -[(1S)-2-[[6-( $\beta$ -D-galactopyranosylamino)-6-oxohexyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



RN 478826-57-2 CAPLUS

CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]],  $\alpha$ -hydro- $\omega$ -[(1S)-2-[[11-( $\beta$ -D-galactopyranosylamino)-11-oxoundecyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:749906 CAPLUS

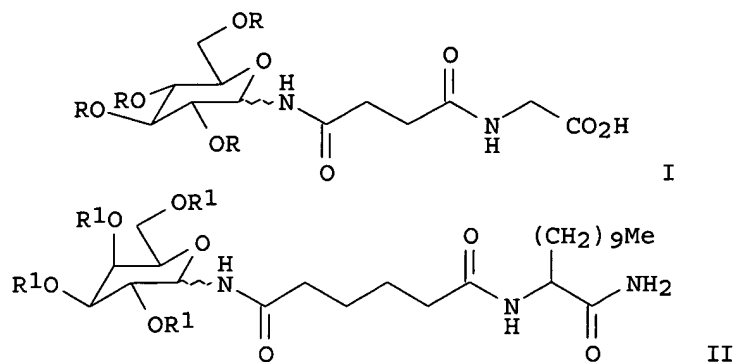
DN 136:151403

TI Carbohydrate-based templates for synthetic vaccines and drug delivery

AU McGeary, R. P.; Jablonkai, I.; Toth, I.

CS The University of Queensland, School of Pharmacy, Brisbane, 4072,

Australia  
 SO Tetrahedron (2001), 57(41), 8733-8742  
 CODEN: TETRAB; ISSN: 0040-4020  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 136:151403  
 GI



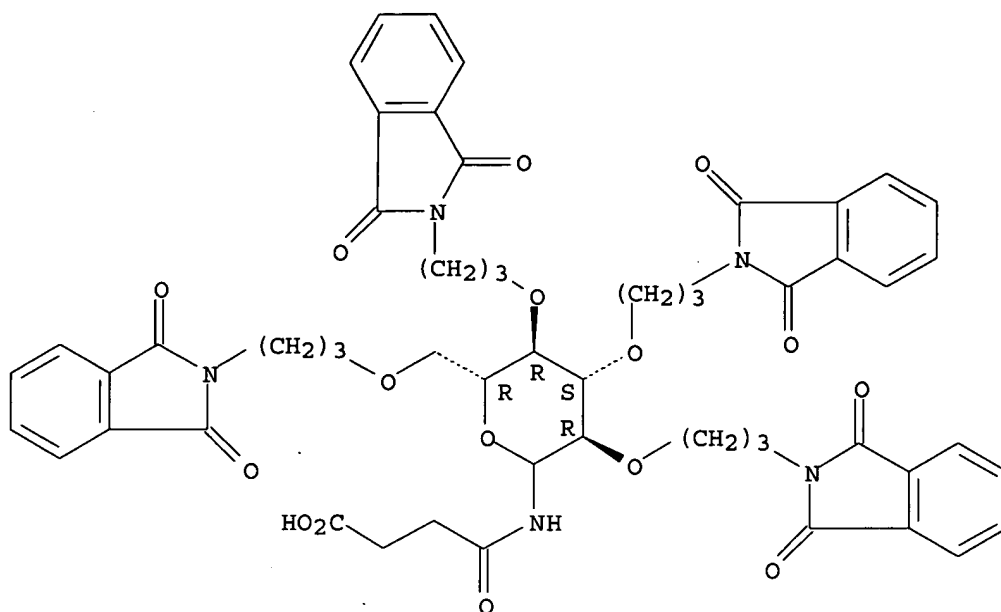
AB Me tetra-O-allyl and tetra-O-[5-(tetrahydro-2H-pyranyloxy)-3-oxapentyl] glucosides, and tetra-O-(cyanoethyl)galactosyl azide were converted into derivs. containing **linkers** with terminal carboxylic acid functionalities at the anomeric position and bearing four arms with phthaloyl- or BOC-protected terminal amino groups. Thus, glucosylamides I [R = (CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>, (CH<sub>2</sub>)<sub>20</sub>(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>] and galactosylamides II [R<sub>1</sub> = (CH<sub>2</sub>)<sub>3</sub>NHCOMe] were obtained as final products. I and II are suitable for use in solid-phase peptide synthesis and for the preparation of dendrimers containing multiple copies of peptides.

IT 394245-88-6P 394245-94-4P 394245-96-6P  
 394245-97-7P 394245-98-8DP, resin-bound  
 394245-99-9DP, resin-bound 394246-02-7DP, resin-bound  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carbohydrate-based templates for use in solid-phase peptide synthesis for designing synthetic vaccines and **drug** delivery)

RN 394245-88-6 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

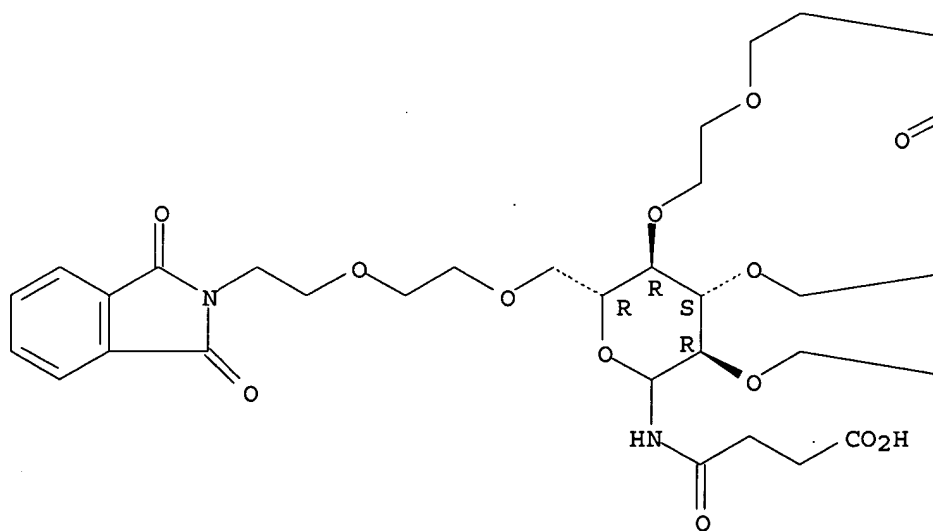


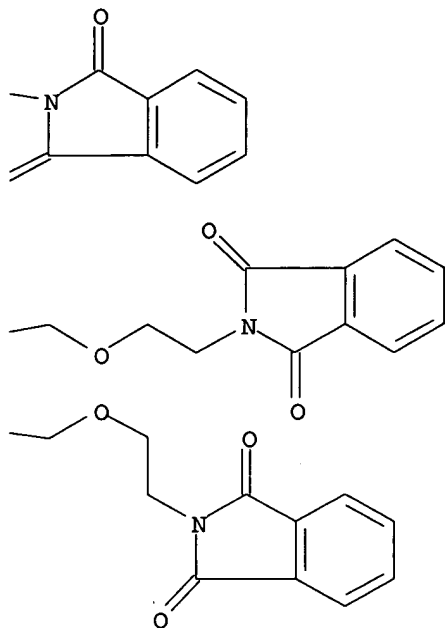
RN 394245-94-4 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

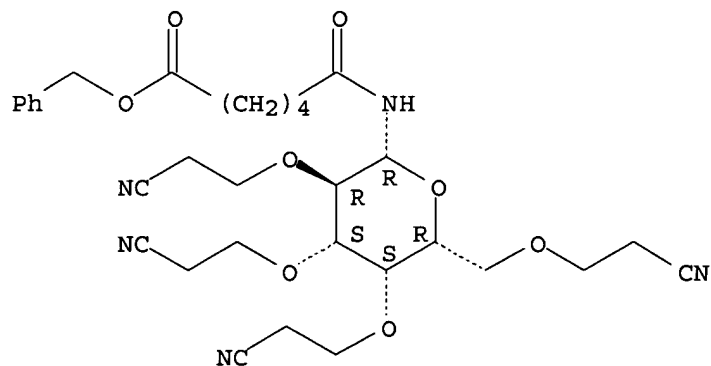




RN 394245-96-6 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-(2-cyanoethyl)-β-D-galactopyranosyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

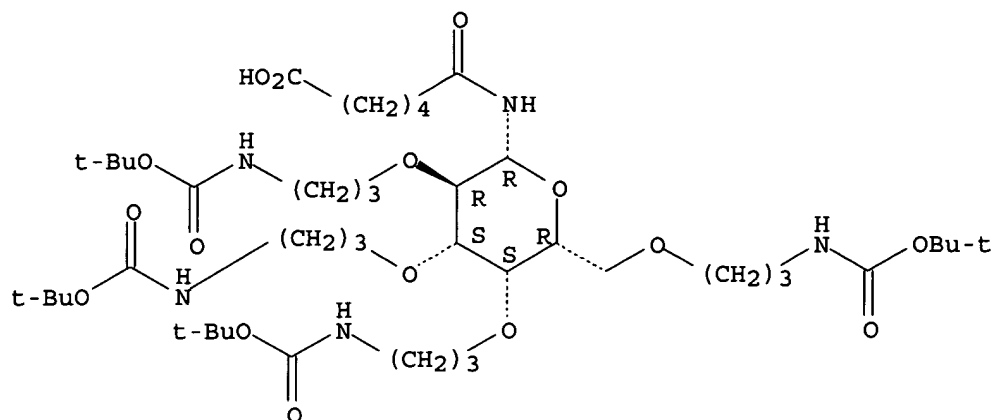
Absolute stereochemistry.



RN 394245-97-7 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-[3-[[1,1-dimethylethoxy)carbonyl]amino]propyl]-β-D-galactopyranosyl]amino]- (9CI) (CA INDEX NAME)

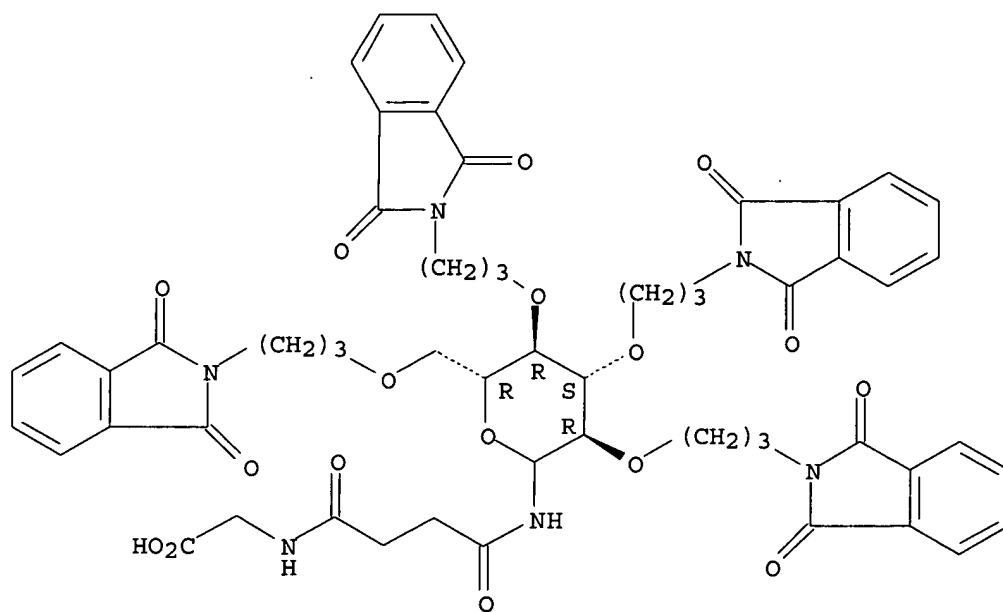
Absolute stereochemistry.



RN 394245-98-8 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

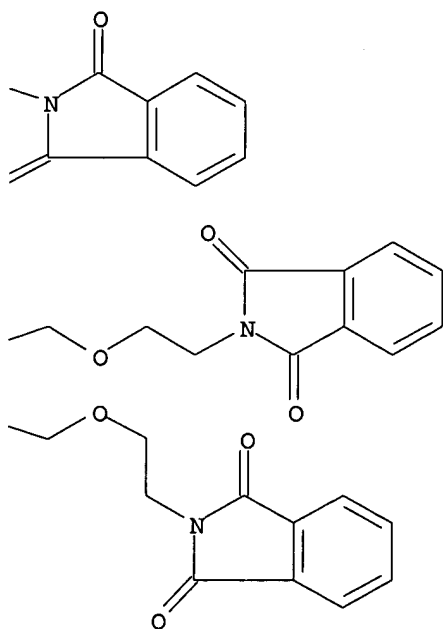
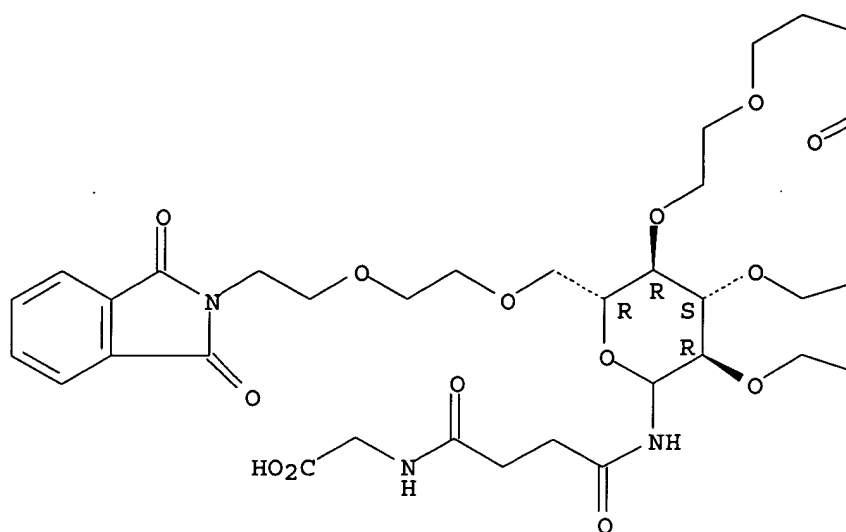
Absolute stereochemistry.



RN 394245-99-9 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

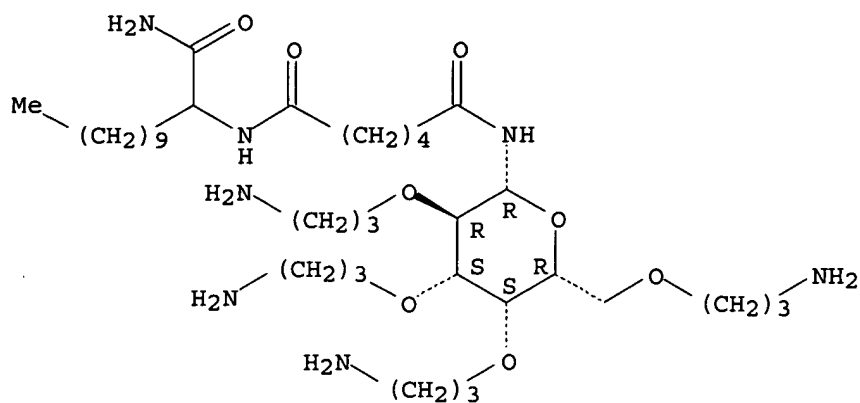


RN 394246-02-7 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-(3-aminopropyl)-β-D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 394246-00-5P 394246-01-6P 394246-03-8P

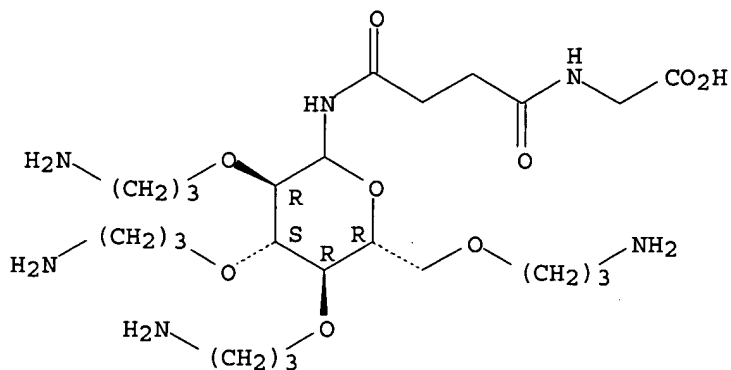
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of carbohydrate-based templates for use in solid-phase peptide synthesis for designing synthetic vaccines and drug delivery)

RN 394246-00-5 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-(3-aminopropyl)-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

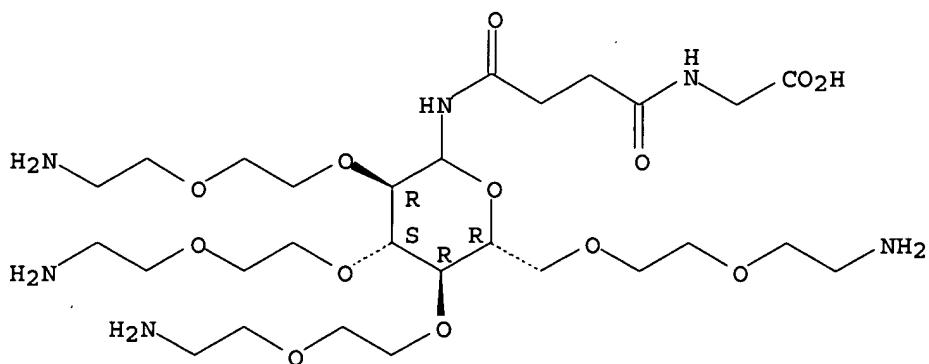
Absolute stereochemistry.



RN 394246-01-6 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-(2-aminoethoxy)ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

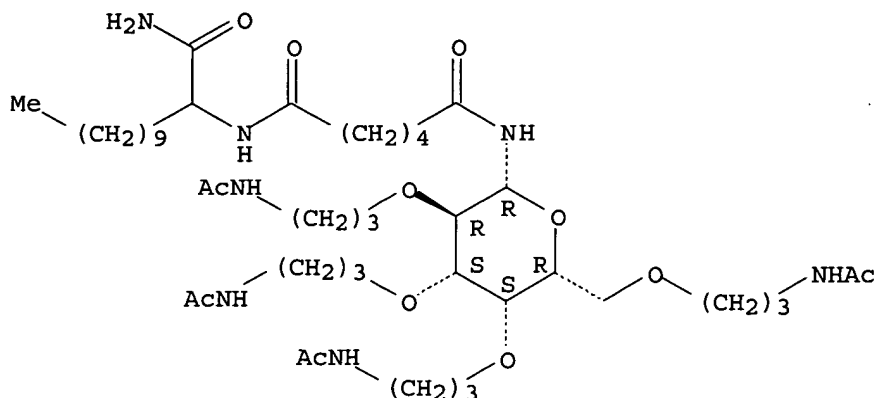


RN 394246-03-8 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-[3-

(acetylamino)propyl]-β-D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:142691 CAPLUS

DN 132:302964

## TI High-Affinity Pentavalent Ligands of Escherichia coli Heat-Labile Enterotoxin by Modular Structure-Based Design

AU Fan, Erkang; Zhang, Zhongsheng; Minke, Wendy E.; Hou, Zheng; Verlinde, Christophe L. M. J.; Hol, Wim G. J.

CS Department of Biological Structure Biomolecular Structure Center and  
Howard Hughes Medical Institute, University of Washington, Seattle, WA,  
98195, USA

SO Journal of the American Chemical Society (2000), 122(11), 2663-2664  
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB The authors present a novel approach toward high-affinity multivalent ligands: a modular design that incorporates structural information of the multiple target sites. Their work focuses on an ideal target model, the heat-labile enterotoxin (LT) from *Escherichia coli*. The authors demonstrate the power of a modular synthesis procedure which allowed them to explore in detail the effects of **linker** length on affinity. For the core, they chose acylated pentacyclen. Force-field calcs. show that this mol. can adopt a conformation close to 5-fold symmetry. The authors used 1- $\beta$ -amidated D-galactose as the finger. D-galactose is a terminal sugar unit of LT's natural receptor GM1. It interacts very specifically with the toxin via defined hydrogen bonds and a carbohydrate against tryptophan stacking. The authors have chosen to span a large range of **linker** lengths using the com. available 4,7,10-trioxa-1,13-tridecanediamine as the basic unit of the **linkers**. After obtaining a series of pentavalent ligands with various **linker** lengths, the authors tested their ability to inhibit the binding of LT B pentamer (LT-B5) to ganglioside using an ELISA protocol. The results clearly show that the structure-based design of pentavalent ligands leads to very significant affinity gains compared to the monovalent ligand. The best pentavalent ligand shows an IC<sub>50</sub> that is 105-fold better than galactose, the mol. moiety mostly responsible for the affinity of the authors fingers to LT. In summary, the authors modular approach has allowed for efficient synthesis of large mol. weight protein ligands and, for the first time, a systematic study of the effects of flexible-**linker** lengths on the affinities of multivalent ligands.

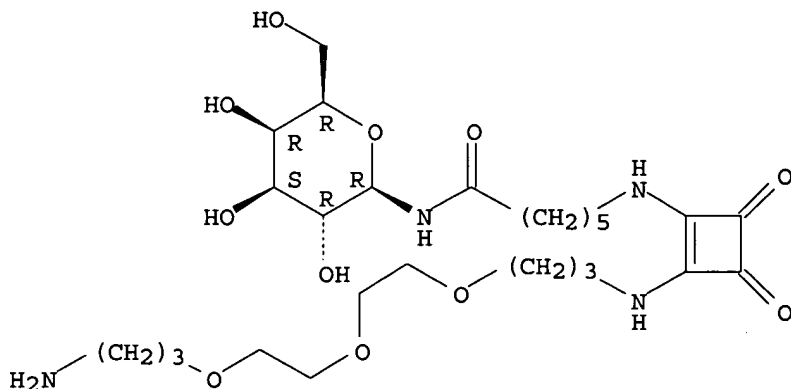
IT 266000-46-8P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (high-affinity pentavalent ligands of Escherichia coli heat-labile enterotoxin by modular structure-based design using acylated pentacyclen as the core and galactose as the finger)

RN 266000-46-8 CAPLUS

CN Hexanamide, 6-[[2-[[3-[2-[2-(3-aminopropoxy)ethoxy]ethoxy]propyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



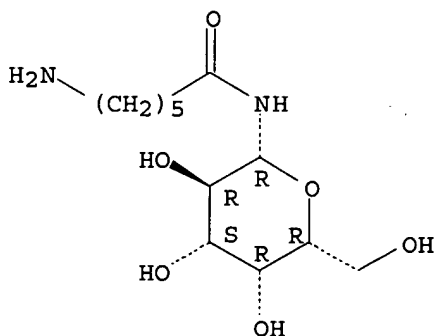
IT 38822-56-9

RL: RCT (Reactant); RACT (Reactant or reagent) (high-affinity pentavalent ligands of Escherichia coli heat-labile enterotoxin by modular structure-based design using acylated pentacyclen as the core and galactose as the finger)

RN 38822-56-9 CAPLUS

CN Hexanamide, 6-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 266000-50-4P

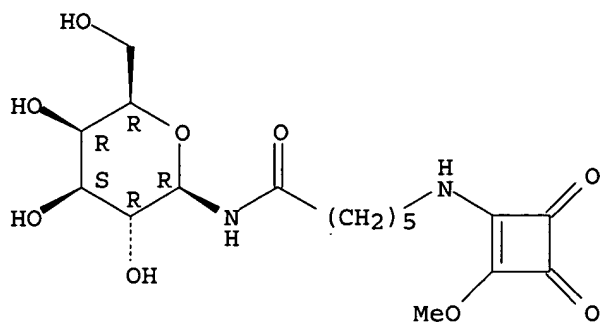
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(high-affinity pentavalent ligands of Escherichia coli heat-labile enterotoxin by modular structure-based design using acylated pentacyclen as the core and galactose as the finger)

RN 266000-50-4 CAPLUS

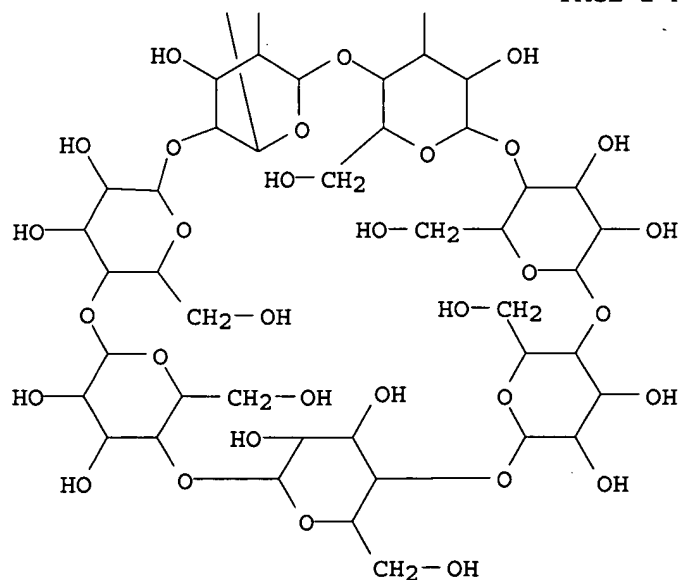
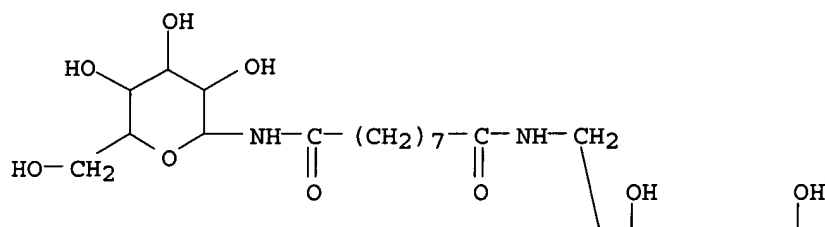
CN Hexanamide, N-β-D-galactopyranosyl-6-[(2-methoxy-3,4-dioxo-1-cyclobuten-1-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



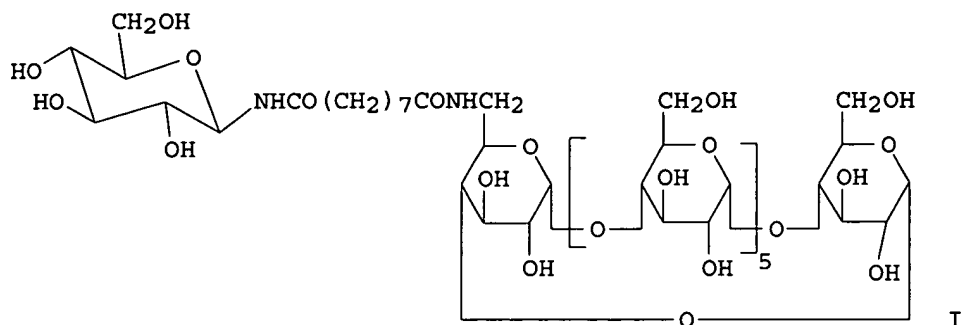
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1995:752253 CAPLUS  
DN 123:218332  
TI Reduction of the hemolytic effect in a biologically recognizable  
β-cyclodextrin  
AU Leray, E.; Leroy-Lechat, F.; Parrot-Lopez, H.; Duchene, D.  
CS 1"Groupe Cyclodextrines Amphiphiles", BIOGIS, Villeurbanne, FG9622, Fr.  
SO Supramolecular Chemistry (1995), 5(2), 149-51  
CODEN: SCHEER; ISSN: 1061-0278  
PB Gordon & Breach  
DT Journal  
LA English  
AB β-Cyclodextrin derivs. having azido, amino and **bioactive**  
galactosylamido **spacer** functions were tested for hemolytic  
effect and compared with that of hydroxypropyl-β-cyclodextrin. The  
cyclodextrin coupled to the **bioactive** saccharide galactose via a  
**spacer** and which has bio-recognition properties for cell-wall  
lectin shows an extremely reduced hemolytic effect.  
IT 156769-72-1  
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(reduction of the hemolytic effect of β-cyclodextrin derivs.)  
RN 156769-72-1 CAPLUS  
CN β-Cyclodextrin, 6A-deoxy-6A-[[9-(β-D-galactopyranosylamino)-1,9-  
dioxononyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:152238 CAPLUS  
 DN 116:152238  
 TI Vectorized transport of **drugs**: synthesis of a new glycosyl  
 derivative of  $\beta$ -cyclodextrin  
 AU Parrot-Lopez, Helene; Galons, Herve; Coleman, Anthony W.; Mahuteau,  
 Jacqueline; Miocque, Marcel  
 CS Fac. Pharm., Univ. Rene Descartes, Paris, 75270, Fr.  
 SO Tetrahedron Letters (1992), 33(2), 209-12

DT Journal  
LA English  
OS CASREACT 116:152238  
GI



AB Monosubstitution at the O-6 position of  $\beta$ -cyclodextrin by a  $\beta$ -N-glucosyl residue was achieved with a C9 diamide **spacer** as the interglycosidic linkage. The new glycosyl derivative I is much more soluble (200 g/L) in water but retains the capacity to include and to enhance the solubility of pharmacol. active mols.

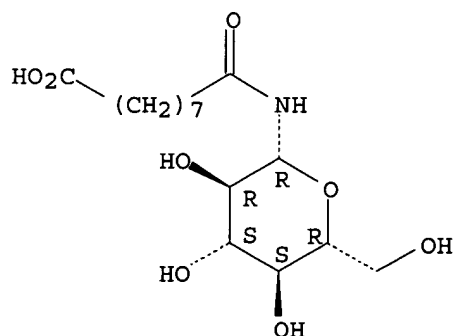
IT 139903-53-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and amidation of, with aminocyclodextrin)

RN 139903-53-0 CAPLUS

CN Nonanoic acid, 9-( $\beta$ -D-glucopyranosylamino)-9-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



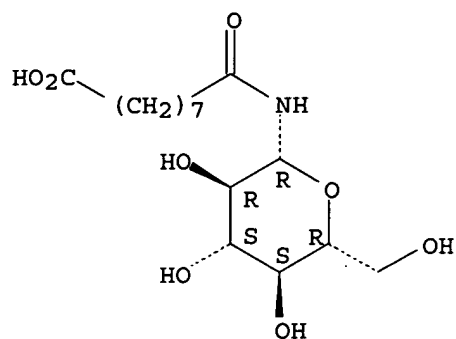
IT 139889-11-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and neutralization of)

RN 139889-11-5 CAPLUS

CN Nonanoic acid, 9-( $\beta$ -D-glucopyranosylamino)-9-oxo-, monosodium salt (9CI) (CA INDEX NAME)

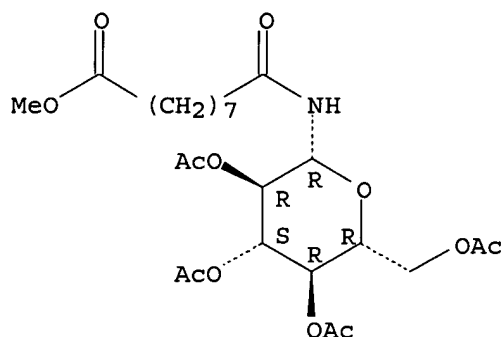
Absolute stereochemistry.



● Na

IT 139903-52-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, deacetylation, and hydrolysis of)  
 RN 139903-52-9 CAPLUS  
 CN Nonanoic acid, 9-oxo-9-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

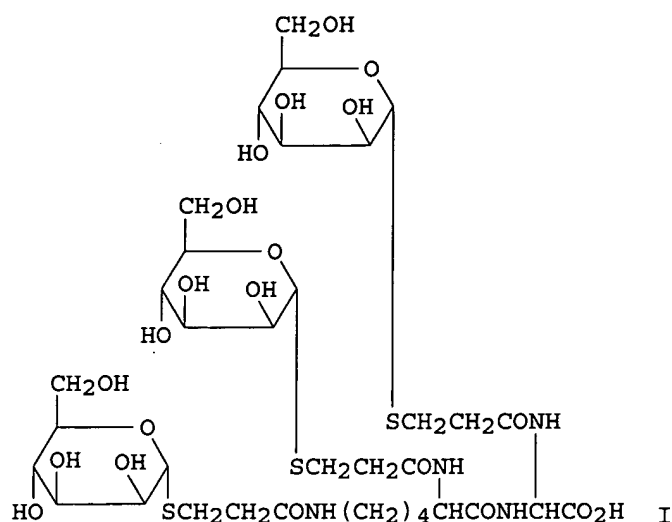


IT 139921-46-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, solubility, and inclusion reaction of, with nicardipine)  
 RN 139921-46-3 CAPLUS  
 CN β-Cyclodextrin, 6A-deoxy-6A-[[9-(β-D-glucopyranosylamino)-1,9-dioxononyl]amino]- (9CI) (CA INDEX NAME)

L10 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1981:609468 CAPLUS  
DN 95:209468  
TI Cell-specific ligands for selective **drug** delivery to tissues and  
organs  
AU Ponpipom, Mitree M.; Bugianesi, Robert L.; Robbins, James C.; Doebber, T.  
W.; Shen, T. Y.  
CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA  
SO Journal of Medicinal Chemistry (1981), 24(12), 1388-95



DT Journal  
LA English  
GI



AB Various nos. of D-mannose residues were attached via **spacer** arms to lysine, dilysine, and oligolysine backbones. These D-mannosyl peptide analogs were potent competitive inhibitors of the uptake of  $^{125}\text{I}$ -labeled D-mannose-bovine serum albumin conjugates by rat alveolar macrophages. The inhibitory potency of these synthetic ligands increased with increasing number of carbohydrate moieties. The chirality of the peptide backbone did not play a major role in binding, whereas variations of the length and linkage of the **spacer** arm affected the inhibitory activities. The saccharide specificity of the macrophage receptor was demonstrated by the inactivity of corresponding D-galactosyl peptide analogs. A L-fucosyl peptide derivative was only weakly active. The trimannosyldi-L-lysine ligand (I) [79390-81-1] ( $\text{KI} = 3.9 \mu\text{M}$ ) and its analogs are potentially useful in selective delivery of therapeutic agents to macrophages.

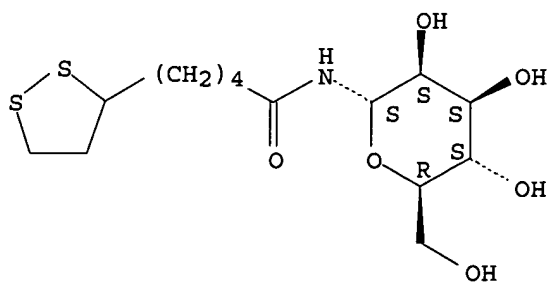
IT 79360-23-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(polymerization of)

RN 79360-23-9 CAPLUS

CN 1,2-Dithiolane-3-pentanamide, N- $\alpha$ -D-mannopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 79375-79-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and macrophage binding by)

RN 79375-79-4 CAPLUS

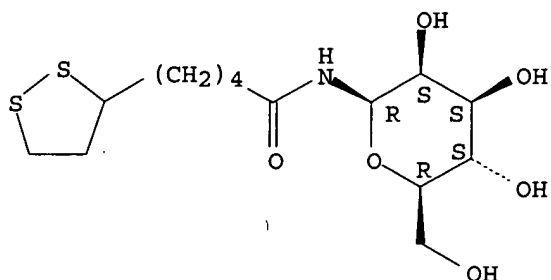
CN 1,2-Dithiolane-3-pentanamide, N-β-D-mannopyranosyl-, homopolymer  
(9CI) (CA INDEX NAME)

CM 1

CRN 74761-63-0

CMF C14 H25 N O6 S2

Absolute stereochemistry.



=> dis hist

(FILE 'HOME' ENTERED AT 14:12:19 ON 21 DEC 2004)

FILE 'REGISTRY' ENTERED AT 14:12:28 ON 21 DEC 2004

L1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM

L3 1100 S L1 SSS FULL

L4 0 S L3 AND (DRUG OR BIOMOLECULE OR BIOACTIVE?)

L5 0 S L3 AND (DRUG OR BIOACTIVE)

L6 0 S L3 AND DRUG

L7 0 S L3 AND (SPACER OR LINKER OR LIPID? OR GLYCEROL)

L8 0 S L3 AND CONJUGATE

FILE 'CAPLUS' ENTERED AT 14:16:45 ON 21 DEC 2004

L9 53 S L3 AND (DRUG OR BIOMOLECULE OR BIOACTIV?)

L10 10 S L9 AND (SPACER OR LINKER)

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal623kxg

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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STN Express with Discover!  
NEWS 4 OCT 28 KOREAPAT now available on STN  
NEWS 5 NOV 30 PHAR reloaded with additional data  
NEWS 6 DEC 01 LISA now available on STN  
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004  
NEWS 8 DEC 15 MEDLINE update schedule for December 2004  
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB  
  
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 13:53:10 ON 21 DEC 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:53:20 ON 21 DEC 2004

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provided by InfoChem.

STRUCTURE FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9  
DICTIONARY FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

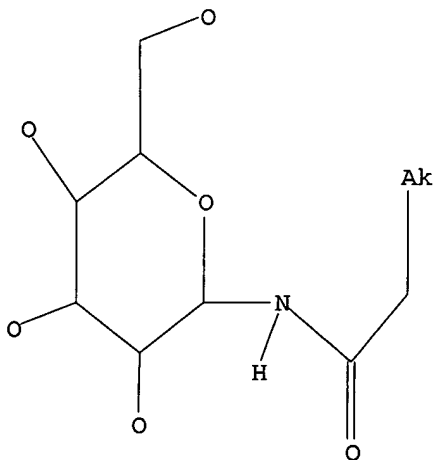
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading c:\10676436-1.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam  
SAMPLE SEARCH INITIATED 13:53:53 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 453 TO ITERATE

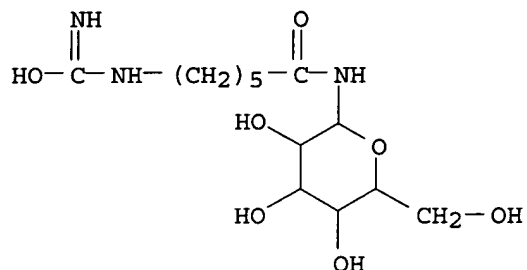
100.0% PROCESSED 453 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 7784 TO 10336  
PROJECTED ANSWERS: 640 TO 1520

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN  
IN Carbamimidic acid, [6-(β-D-glucopyranosylamino)-6-oxohexyl]- (9CI)  
MF C13 H25 N3 O7  
CI COM

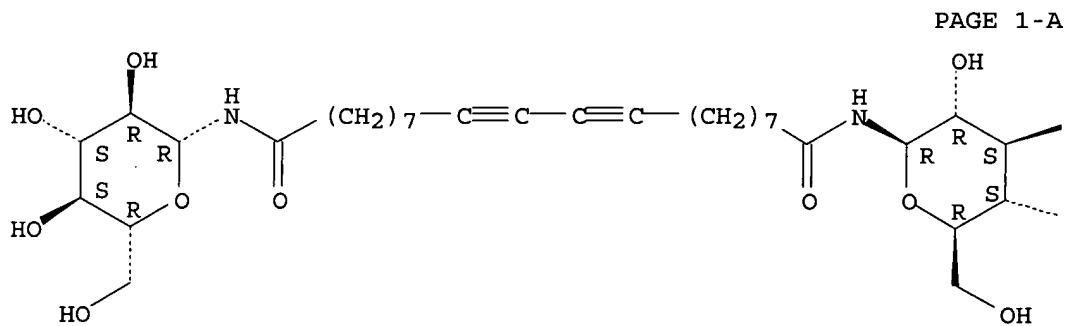


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 50 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN  
IN 9,11-Eicosadiynediamide, N,N'-di-β-D-glucopyranosyl- (9CI)  
MF C32 H52 N2 O12  
CI COM

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B

OH

OH

**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> .s l1 sss full

FULL SEARCH INITIATED 13:54:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9751 TO ITERATE

100.0% PROCESSED 9751 ITERATIONS

1131 ANSWERS

SEARCH TIME: 00.00.01

L3 1131 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'CAPLUS' ENTERED AT 13:54:41 ON 21 DEC 2004

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FILE COVERS 1907 - 21 Dec 2004 VOL 141 ISS 26

FILE LAST UPDATED: 20 Dec 2004 (20041220/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3 and (drug or biomolecule or bioactive?)

361 L3

560379 DRUG

285940 DRUGS

705514 DRUG

(DRUG OR DRUGS)

437 BIOMOLECULE

2612 BIOMOLECULES

3039 BIOMOLECULE

(BIOMOLECULE OR BIOMOLECULES)

9048 BIOMOL

9240 BIOMOLS

14688 BIOMOL

(BIOMOL OR BIOMOLS)

15298 BIOMOLECULE

(BIOMOLECULE OR BIOMOL)

19120 BIOACTIVE?

L4 52 L3 AND (DRUG OR BIOMOLECULE OR BIOACTIVE?)

=> s l4 and (spacer or linker or lipid? or glycerol)

37966 SPACER

13466 SPACERS  
 45416 SPACER  
     (SPACER OR SPACERS)  
 16480 LINKER  
     3896 LINKERS  
 18712 LINKER  
     (LINKER OR LINKERS)  
 322342 LIPID?  
 125721 GLYCEROL  
     1265 GLYCEROLS  
 126170 GLYCEROL  
     (GLYCEROL OR GLYCEROLS)

L5           20 L4 AND (SPACER OR LINKER OR LIPID? OR GLYCEROL)

=> dis l5 1-20 bib abs hitstr

L5   ANSWER 1 OF 20   CAPLUS   COPYRIGHT 2004 ACS on STN  
 AN   2003:1006785   CAPLUS  
 DN   140:53460  
 TI   Methods and compositions involving aldose reductase inhibition by nitric  
      oxide, and therapeutic use  
 IN   Srivastava, Satish K.; Ramana, K. Venkat; Bhatnagar, Aruni  
 PA   Board of Regents, the University of Texas System, USA  
 SO   PCT Int. Appl., 121 pp.  
      CODEN: PIXXD2

DT   Patent

LA   English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2003105864	A1	20031224	WO 2003-US18979	20030613
	WO 2003105864	C2	20040624		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
	PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,				
	TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004047919	A1	20040311	US 2003-462223	20030613
PRAI	US 2002-388213P	P	20020613		

AB   Embodiments of the invention include methods and compns. for the  
      inhibition of aldose reductase by nitric oxide. Certain embodiments of  
      the invention include the induction of nitric oxide by administration of a  
      nitric oxide donor, nitric oxide precursor, inhibitor of a nitric oxide  
      synthase inhibitor, and/or an activator of nitric oxide synthase. Methods  
      may include the treatment of various disease states by inhibiting aldose  
      reductase.

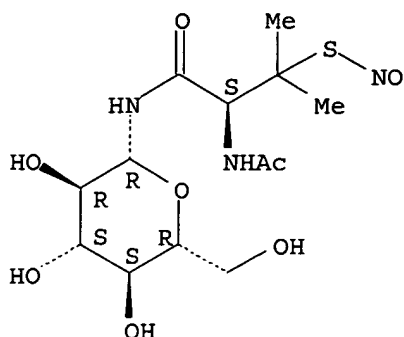
IT   188849-81-2

RL: PAC (Pharmacological activity); BIOL (Biological study)  
      (aldose reductase inhibition by nitric oxide, and therapeutic use)

RN   188849-81-2   CAPLUS

CN   Butanamide, 2-(acetylamino)-N- $\beta$ -D-glucopyranosyl-3-methyl-3-  
      (nitrosothio)-, (2S)- (9CI)   (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:509516 CAPLUS

DN 140:211067

TI Glycolipid-modified peptides: Enhancement of absorption of an anti-tumor somatostatin analogue

AU Malkinson, John P.; Lazorova, Lucia; Artursson, Per; Keri, Gyoergy; Toth, Istvan

CS School of Pharmacy, University of London, London, WC1N 1AX, UK

SO Peptides 2000, Proceedings of the European Peptide Symposium, 26th, Montpellier, France, Sept. 10-15, 2000 (2001), Meeting Date 2000, 319-320. Editor(s): Martinez, Jean; Fehrentz, Jean-Alain. Publisher: Editions EDK, Paris, Fr.

CODEN: 69EDWK; ISBN: 2-84254-048-4

DT Conference

LA English

AB The cyclic heptapeptide analog TT-232 and its linear precursor have been conjugated to a delivery system based upon lipoamino acids ( $\alpha$ -amino acids with long alkyl side chains) to improve its absorption and/or targeting. A series of C- and N-terminal lipid- and/or carbohydrate-modified conjugates were synthesized, varying the number, nature, and relative positioning of the lipid and carbohydrate moieties. The conjugates retained their anti-proliferative activity, and the N-terminal glycolipid-modified conjugates demonstrated greatly enhanced permeability across Caco-2 cell monolayers. Further, a series of carbohydrate- and glycolipid-modified conjugates was prepared. Peptides were synthesized manually using standard Fmoc/tBu solid phase chemical. Lipoamino acids were introduced as their N $\alpha$ -Dde protected derivs. A protected  $\beta$ -glucuronide-based building block was also prepared and conjugated. O-acetyl deprotection was achieved on the solid-phase using methanolic hydrazine, followed by radiolabeling with tritiated acetic anhydride.

IT 664333-09-9 664333-15-7 664333-17-9  
664333-18-0 664333-19-1 664333-20-4  
664333-21-5 664333-22-6 664333-23-7  
664333-24-8 664333-25-9 664333-26-0  
664333-27-1

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); BIOL (Biological study); PROC (Process)

(glycolipid-modified peptides in relation to enhancement of permeability of anti-tumor somatostatin analog in Caco-2 cell monolayers)

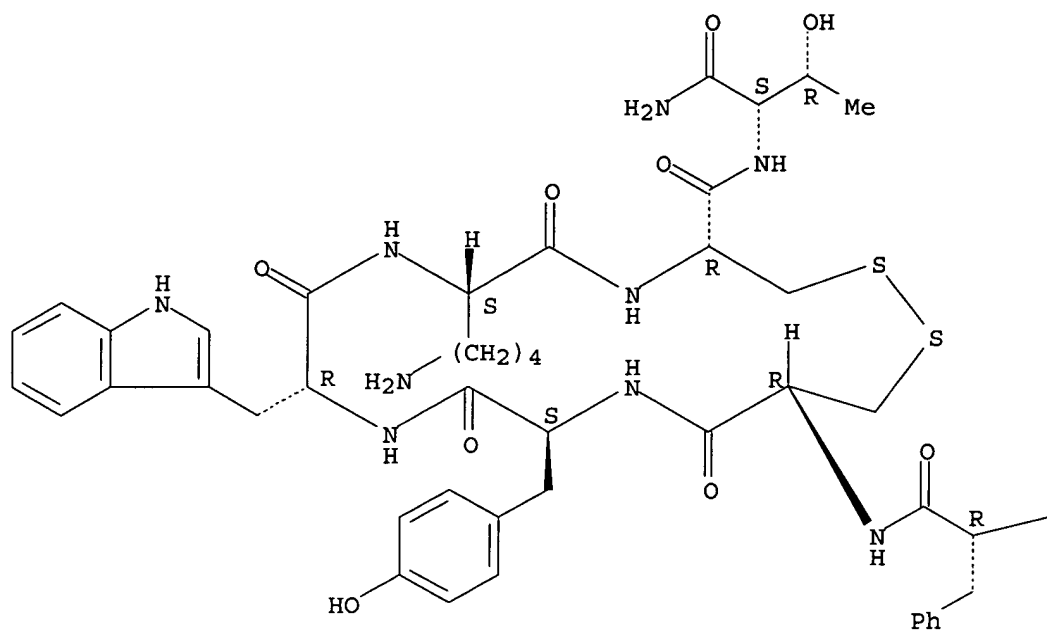
RN 664333-09-9 CAPLUS

CN L-Threoninamide, (2S)-2-[[4-( $\beta$ -D-glucopyranosylamino)-1,4-dioxobutyl]amino]tetradecanoyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-cysteinyl-, cyclic (3 $\rightarrow$ 7)-disulfide (9CI) (CA INDEX NAME)

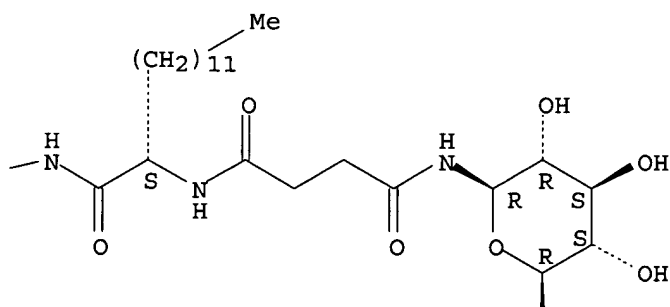


Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-B

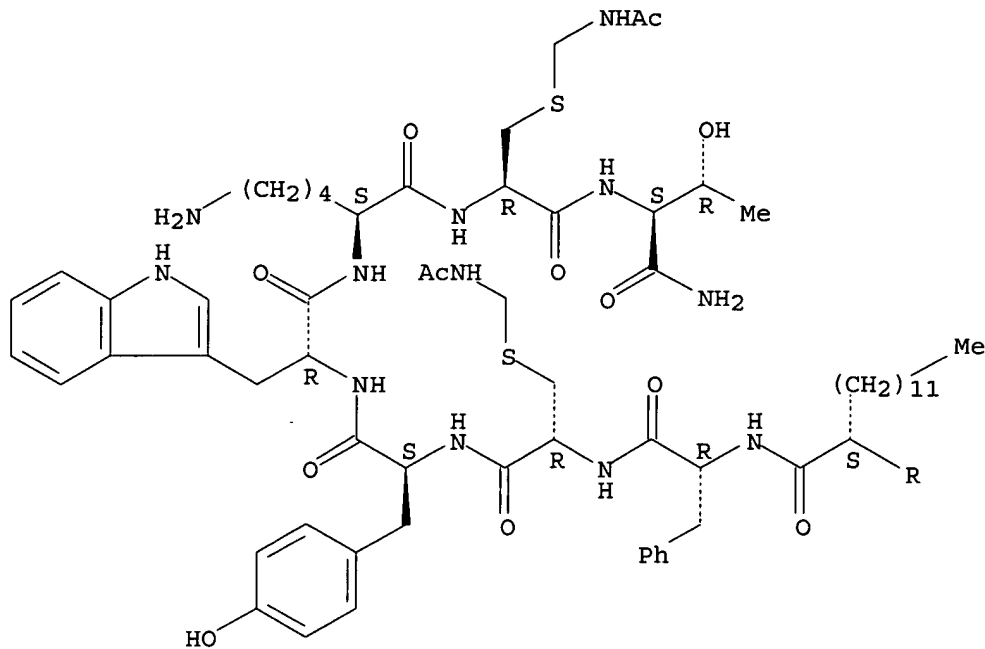


RN 664333-15-7 CAPLUS

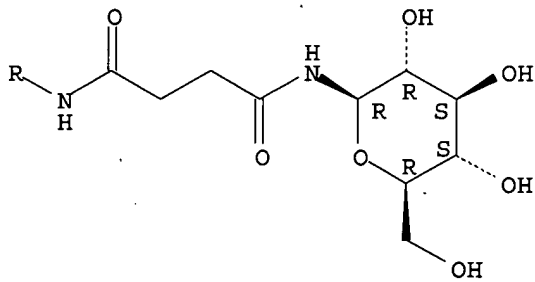
CN L-Threoninamide, (2S)-2-[[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]amino]tetradecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



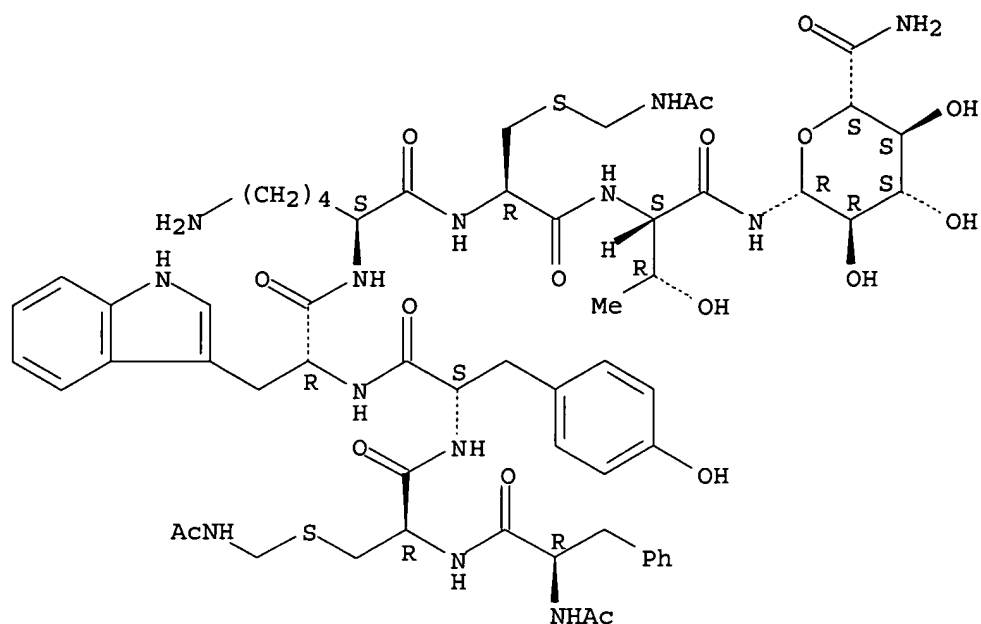
PAGE 2-A



RN 664333-17-9 CAPLUS

CN L-Threoninamide, N-acetyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl-N-β-D-glucopyranuronamidoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

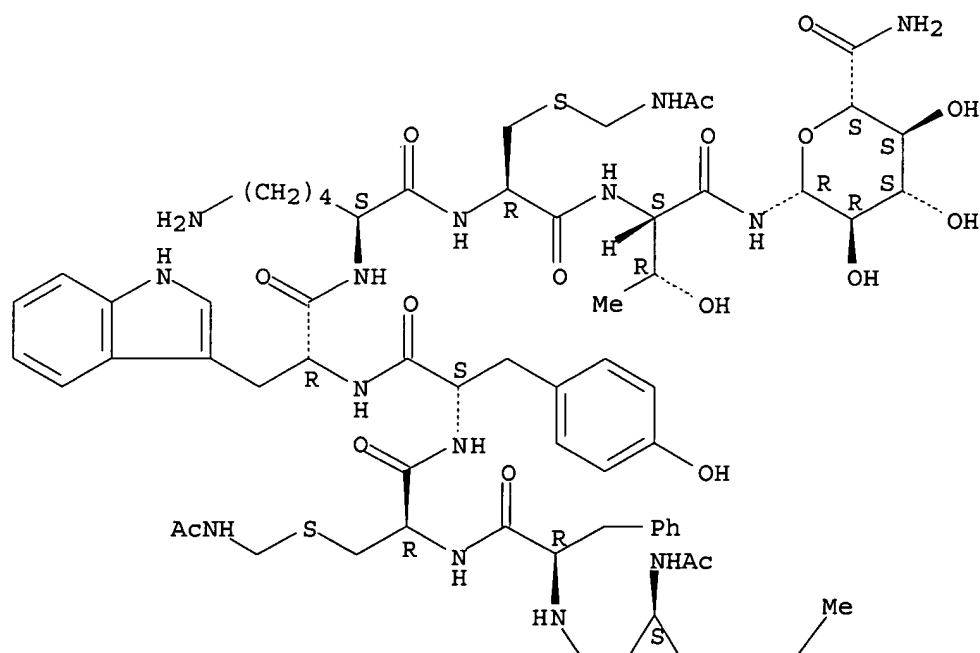


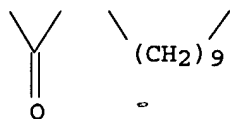
RN 664333-18-0 CAPLUS

CN L-Threoninamide, (2S)-2-(acetylamino)dodecanoyl-D-phenylalanyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-N-β-D-glucopyranuronamidoyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

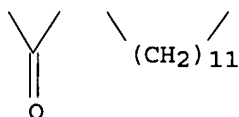
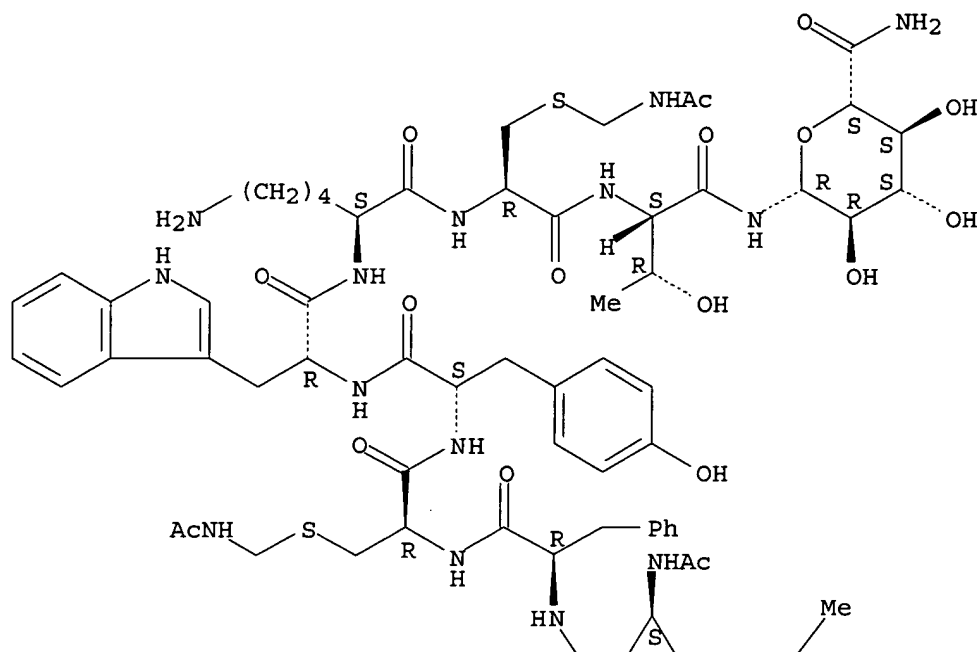
PAGE 1-A





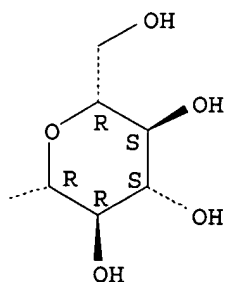
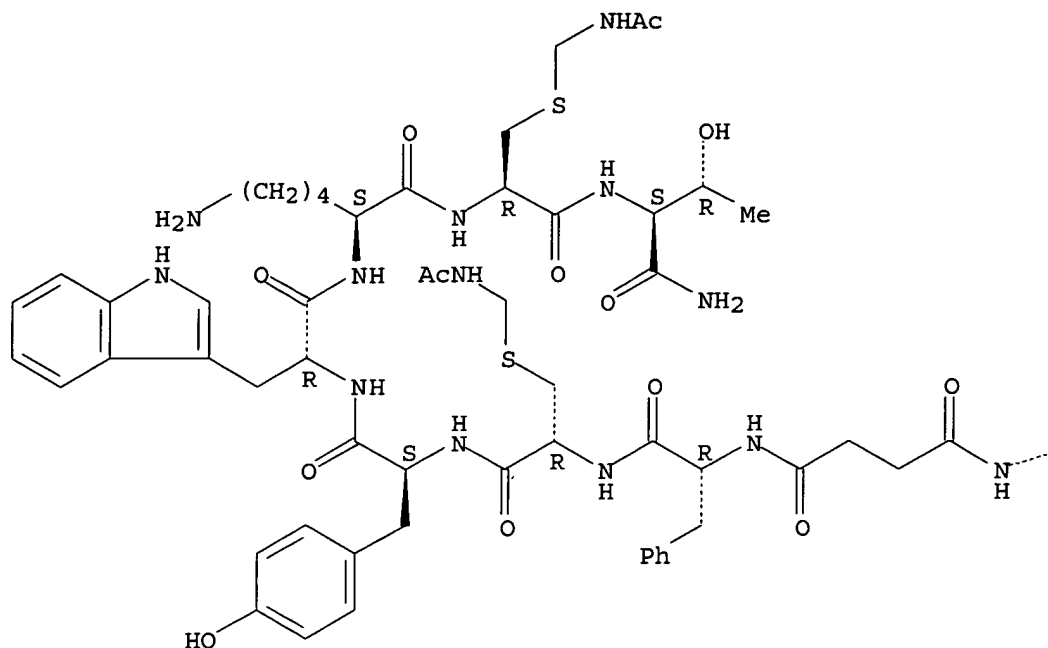
RN 664333-19-1 CAPLUS  
 CN L-Threoninamide, (2S)-2-(acetylamino)tetradecanoyl-D-phenylalanyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-N-β-D-glucopyranuronamidosyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 664333-20-4 CAPLUS  
 CN L-Threoninamide, N-[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]-D-  
 phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-  
 lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

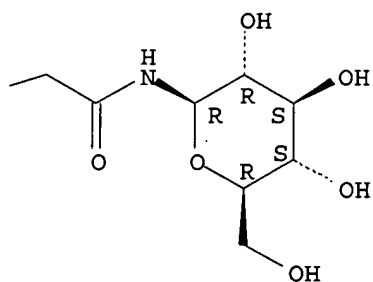
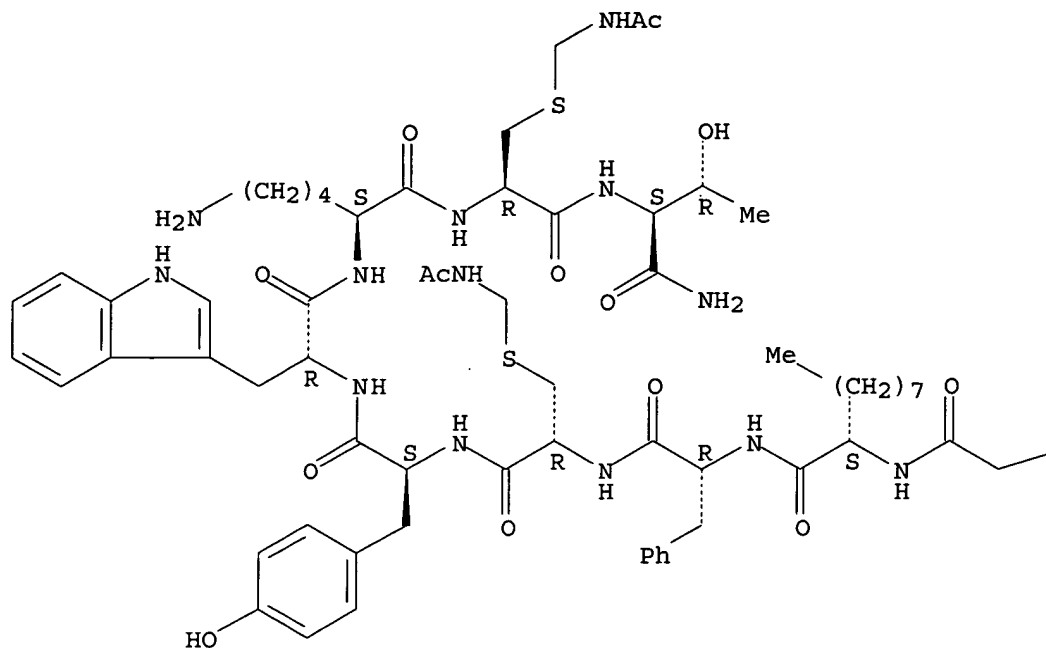
Absolute stereochemistry.



RN 664333-21-5 CAPLUS

CN L-Threoninamide, (2S)-2-[[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]amino]decanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

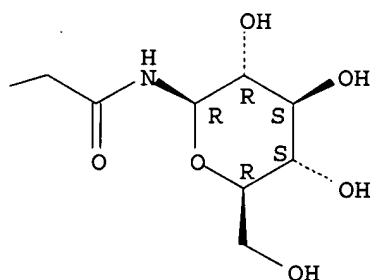
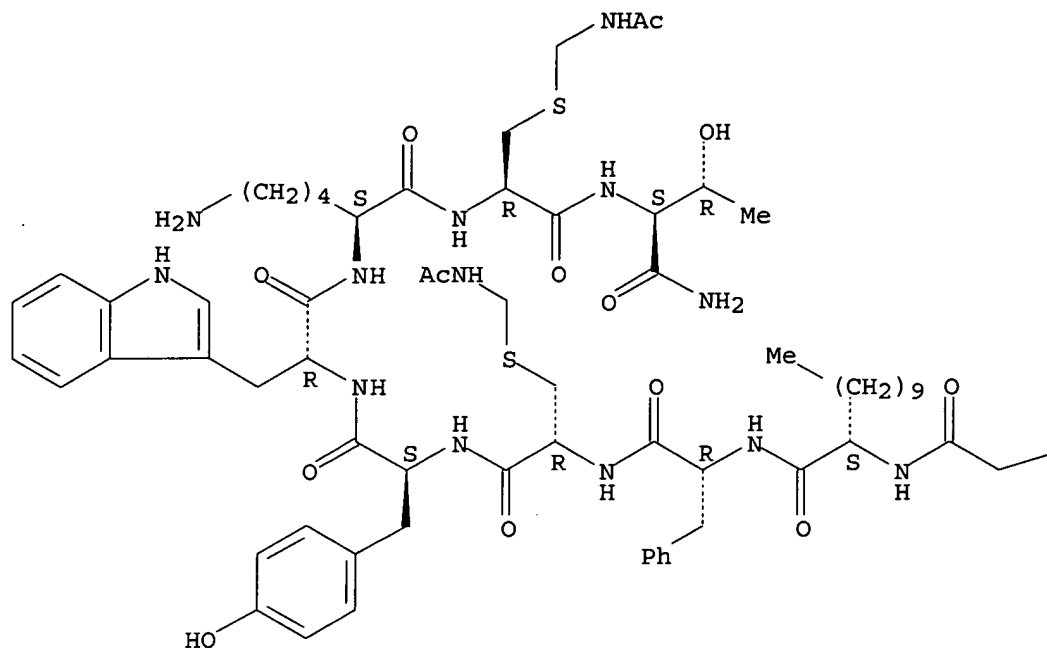
Absolute stereochemistry.



RN 664333-22-6 CAPLUS

CN L-Threoninamide, (2S)-2-[[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]amino]dodecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

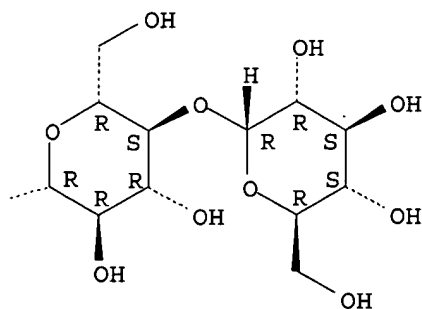
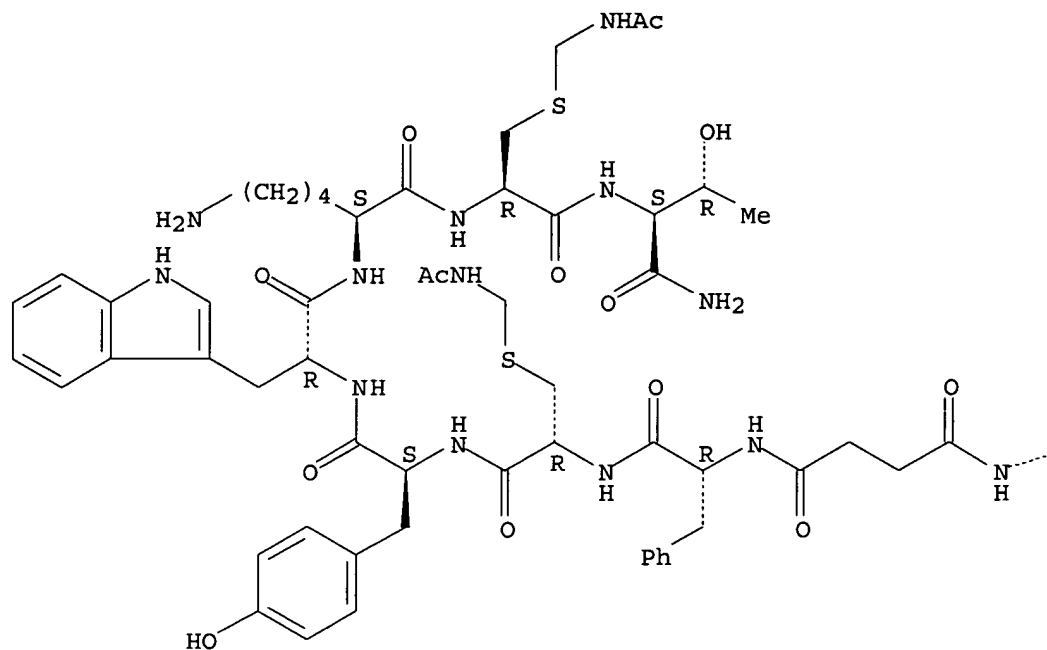
Absolute stereochemistry.



RN 664333-23-7 CAPLUS

CN L-Threoninamide, N-[4-[(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)amino]-1,4-dioxobutyl]-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

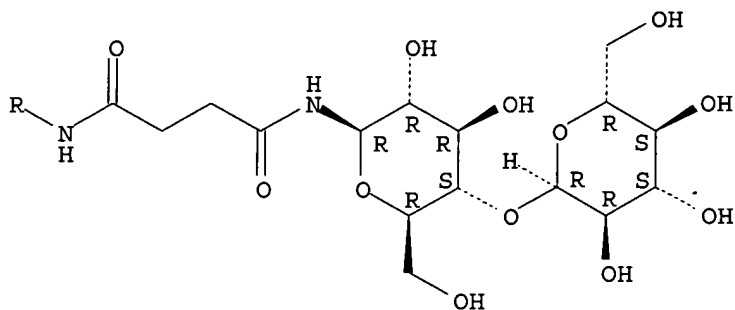
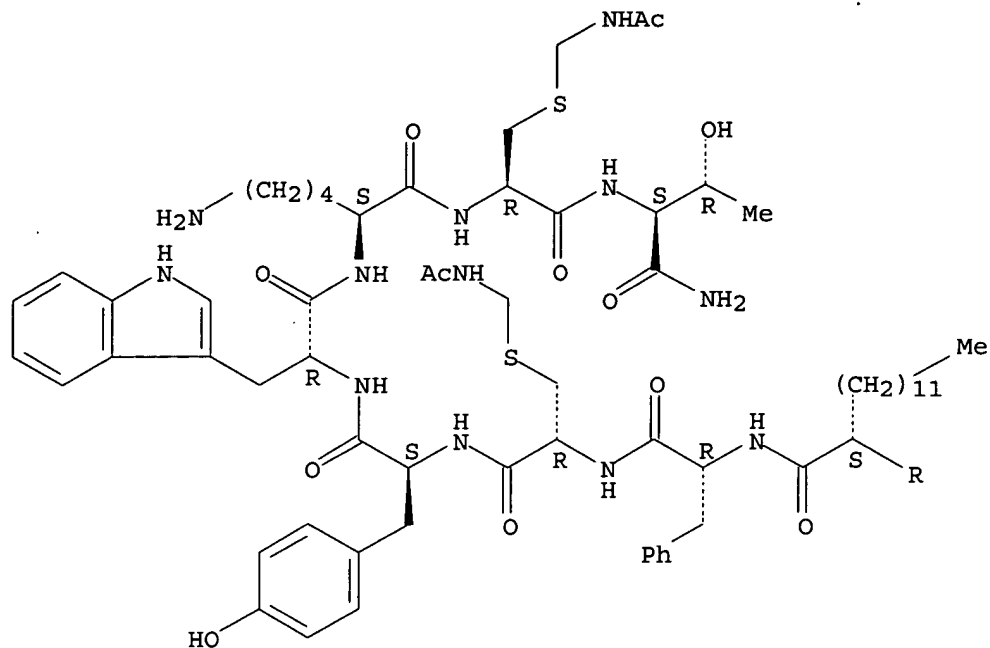


RN 664333-24-8 CAPLUS

CN L-Threoninamide, (2S)-2-[[4-[(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)amino]-1,4-dioxobutyl]amino]tetradecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

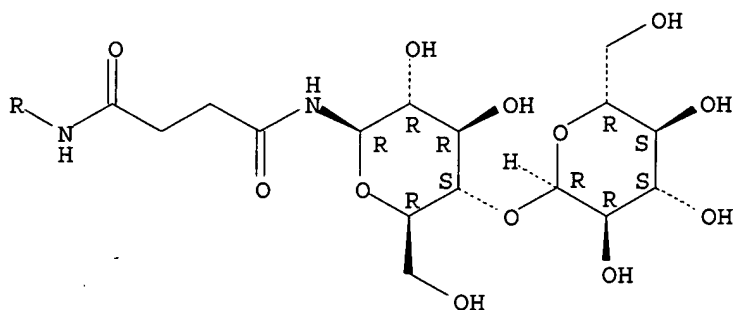
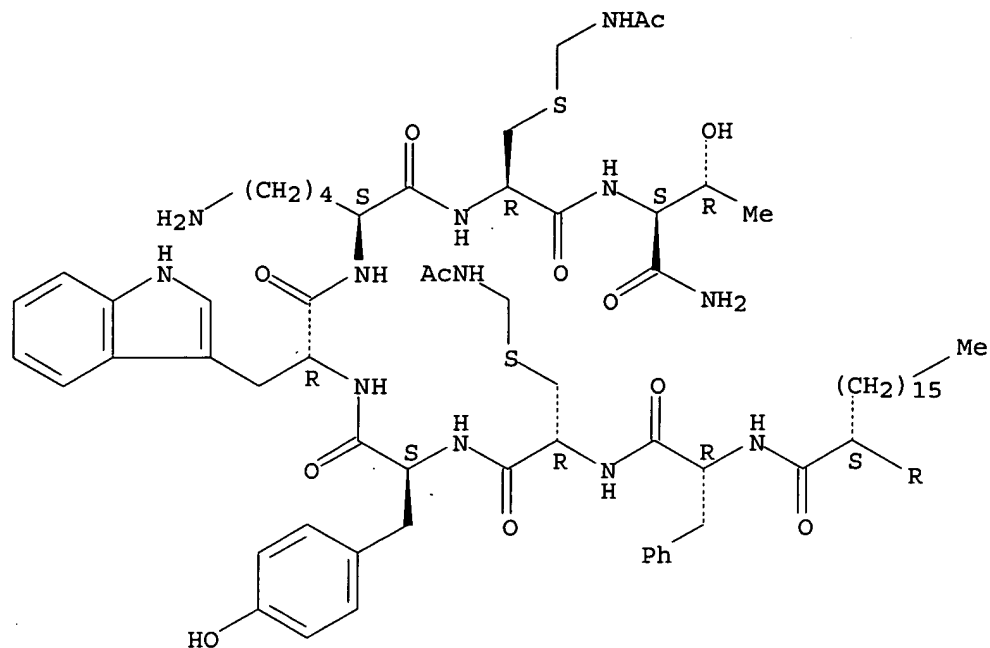




RN 664333-25-9 CAPLUS

CN L-Threoninamide, (2S)-2-[[4-[(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)amino]-1,4-dioxobutyl]amino]octadecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

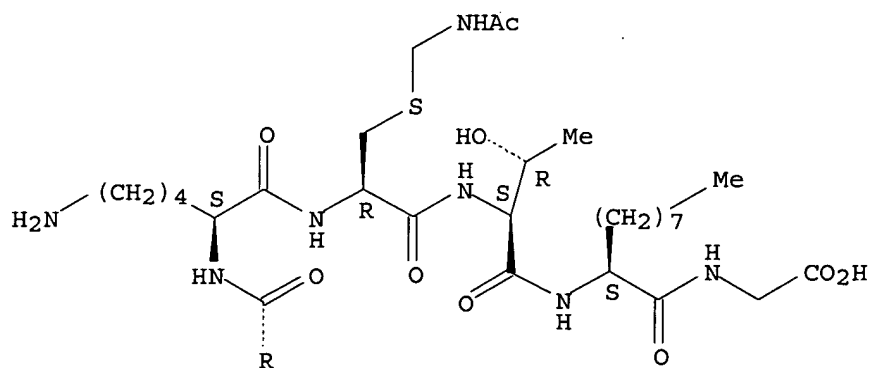
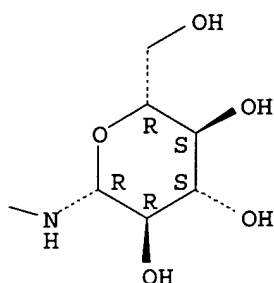
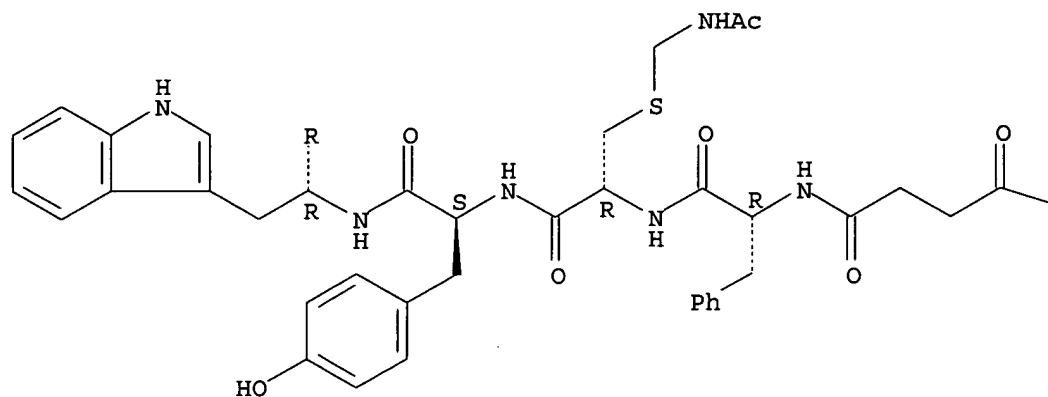
Absolute stereochemistry.



RN 664333-26-0 CAPLUS

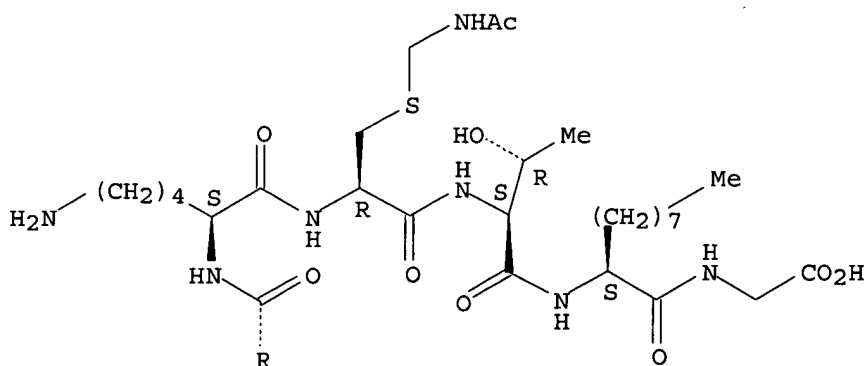
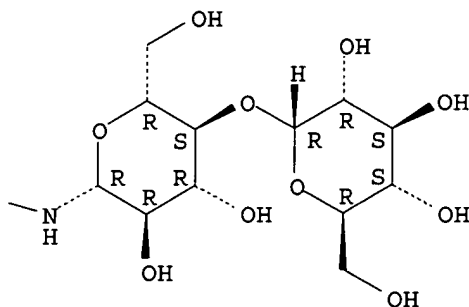
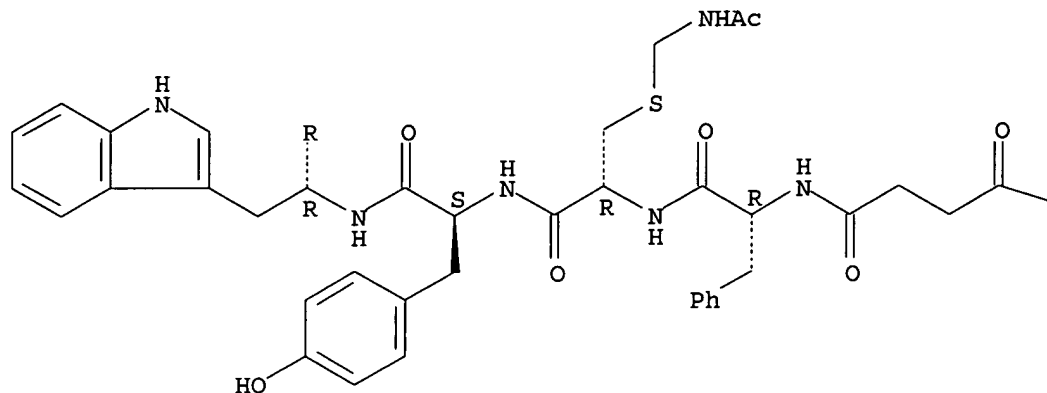
CN Glycine, N-[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl-L-threonyl-(2S)-2-aminodecanoyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN	664333-27-1	CAPLUS
CN	Glycine, N-[4-[(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)amino]-1,4-dioxobutyl]-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl-L-threonyl-(2S)-2-aminodecanoyl- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

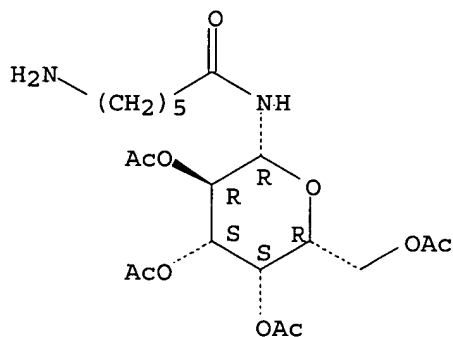
L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:914245 CAPLUS  
DN 138:122801  
TI Synthesis of Antisense Oligonucleotides Conjugated to a Multivalent Carbohydrate Cluster for Cellular Targeting  
AU Maier, Martin A.; Yannopoulos, Constantin G.; Mohamed, Nazim; Roland, Arlene; Fritz, Hans; Mohan, V.; Just, George; Manoharan, Muthiah  
CS Department of Medicinal Chemistry, Isis Pharmaceuticals Inc., Carlsbad, CA, 92008, USA

SO Bioconjugate Chemistry (2003), 14(1), 18-29  
 CODEN: BCCHES; ISSN: 1043-1802  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 138:122801  
 AB Carrier-mediated delivery holds great promise for significantly improving the cellular uptake and therefore the therapeutic efficacy of antisense oligonucleotides in vivo. A multivalent carbohydrate recognition motif for the asialoglycoprotein receptor has been designed for tissue and cell-specific delivery of antisense **drugs** to parenchymal liver cells. To combine low mol. weight with high receptor affinity, the synthetic ligand contains three galactosyl residues attached to a cholane scaffold via  $\epsilon$ -aminocapramide **linkers**. Three-dimensional structural calcns. indicate that this unique design provides proper spacing and orientation of the three galactosyl residues to accomplish high affinity binding to the receptor. Covalent conjugation of the bulky carbohydrate cluster to oligonucleotides has been achieved by solid-phase synthesis using low-loaded macroporous resins and optimized synthesis protocols.

IT 252769-06-5P 252769-08-7P 252769-13-4P  
 489459-96-3P 489459-99-6P 489460-03-9P  
 489460-06-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of antisense oligonucleotides conjugated to multivalent carbohydrate cluster for cellular targeting)

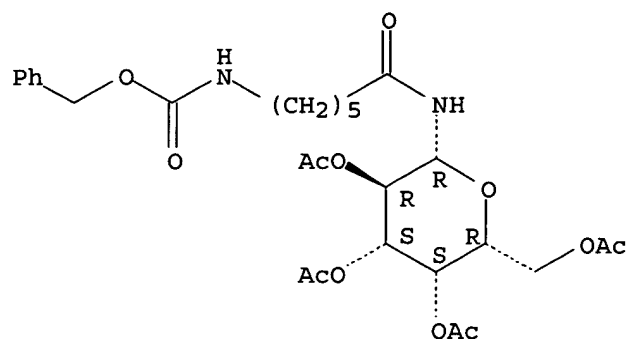
RN 252769-06-5 CAPLUS  
 CN Hexanamide, 6-amino-N-(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252769-08-7 CAPLUS  
 CN Carbamic acid, [6-oxo-6-[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)amino]hexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

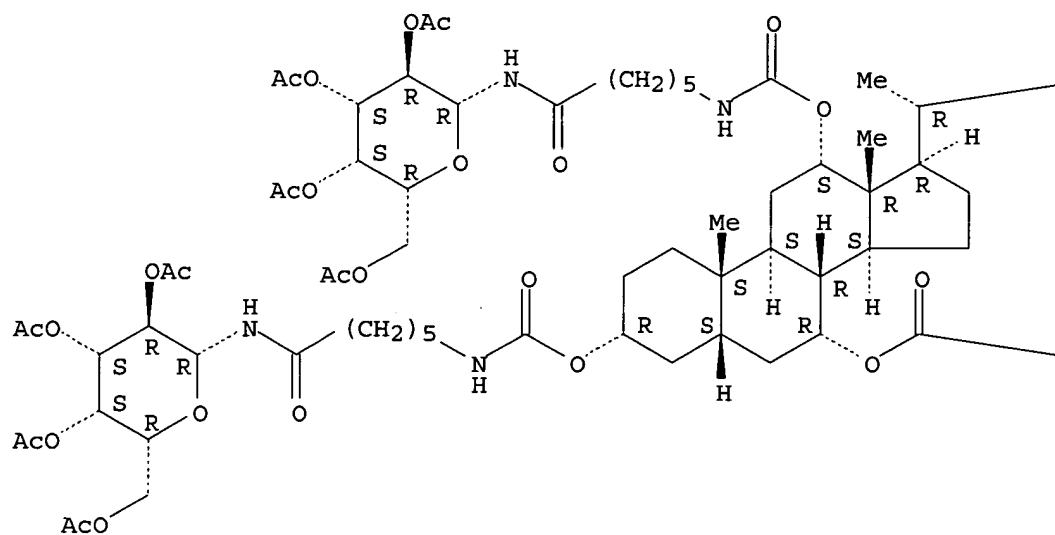


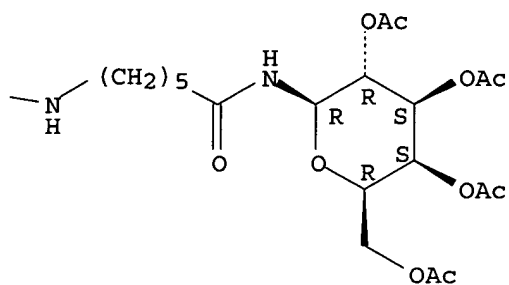
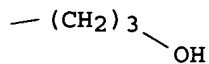
RN 252769-13-4 CAPLUS

CN Cholan-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)amino]hexyl]carbamate],  
(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

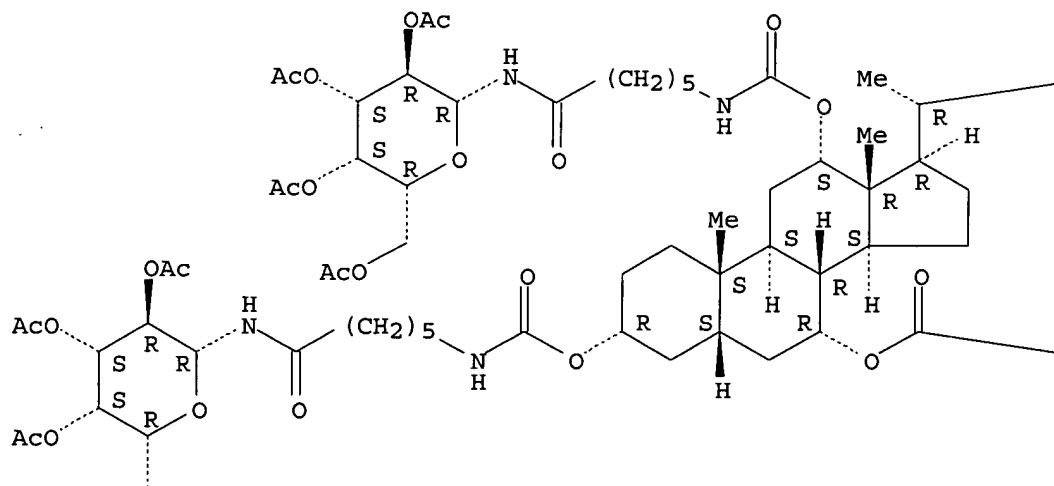
PAGE 1-A



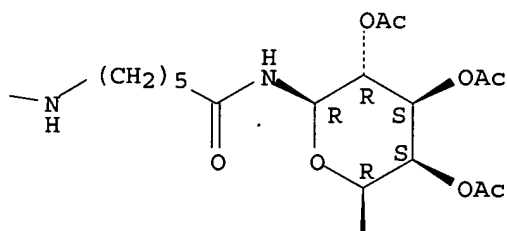
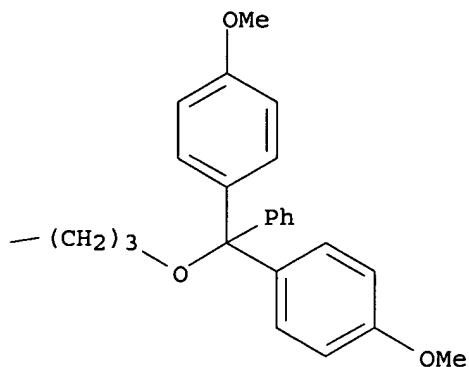


RN 489459-96-3 CAPLUS  
 CN Cholan-3,7,12-triol, 24-[bis(4-methoxyphenyl)phenylmethoxy]-, tris[6-oxo-6-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]hexyl]carbamate], (3α,5β,7α,12.α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



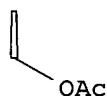
PAGE 1-B



PAGE 2-A



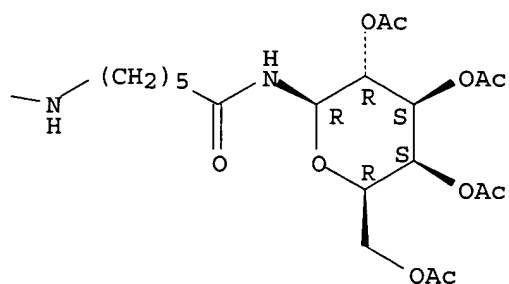
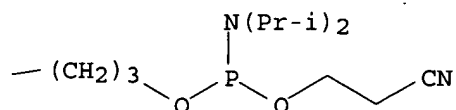
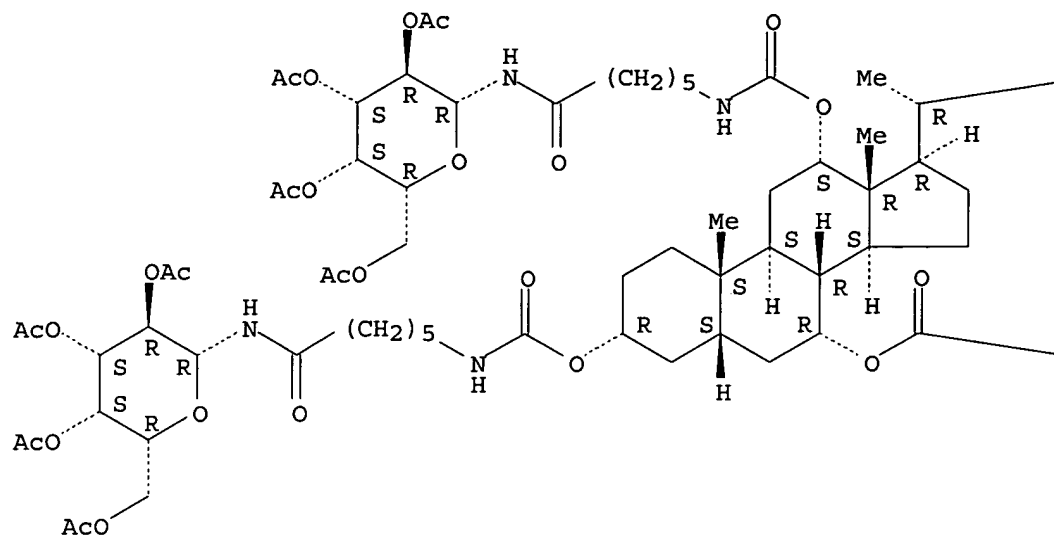
PAGE 2-B



RN 489459-99-6 CAPLUS  
 CN Cholane-3,7,12-triol, 24-[[[bis(1-methylethyl)amino] (2-cyanoethoxy)phosphino]oxy]-, tris[6-oxo-6-[[ (2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]hexyl]carbamate], (3α,5β,7α,12.α lpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

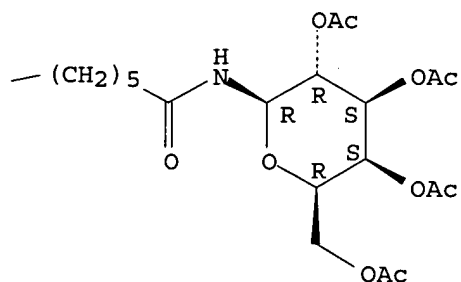
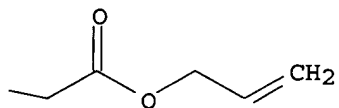
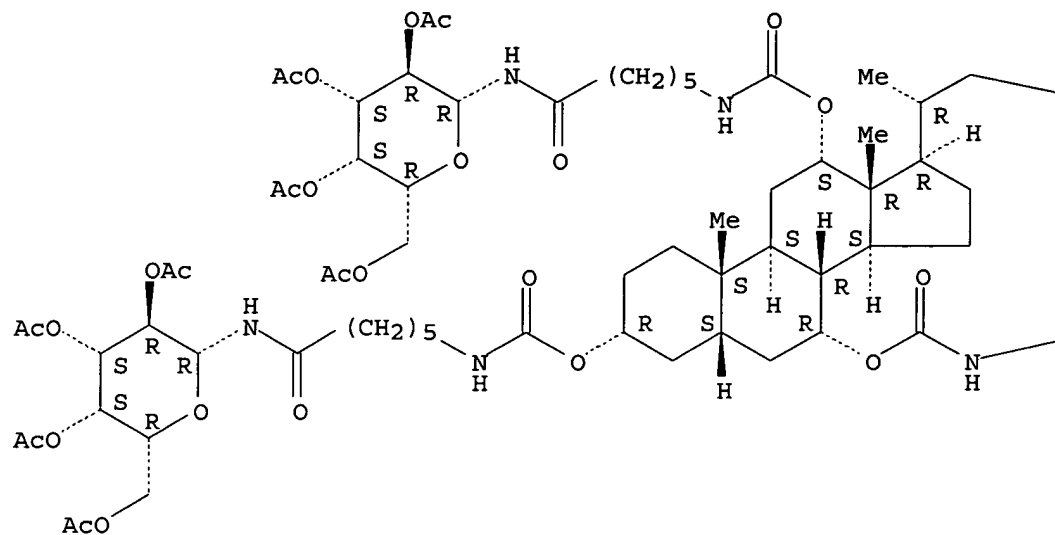




RN 489460-03-9 CAPLUS

CN Cholan-24-oic acid, 3,7,12-tris[[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)amino]hexyl]amino]carbonyl]oxy]-, 2-propenyl ester, (3alpha,5beta,7alpha,12alpha)- (9CI) (CA INDEX NAME)

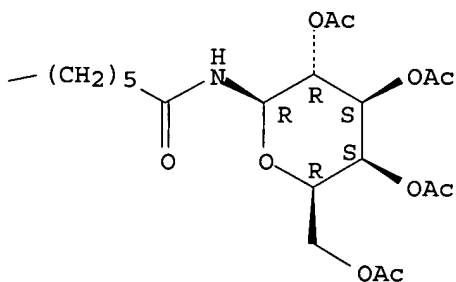
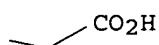
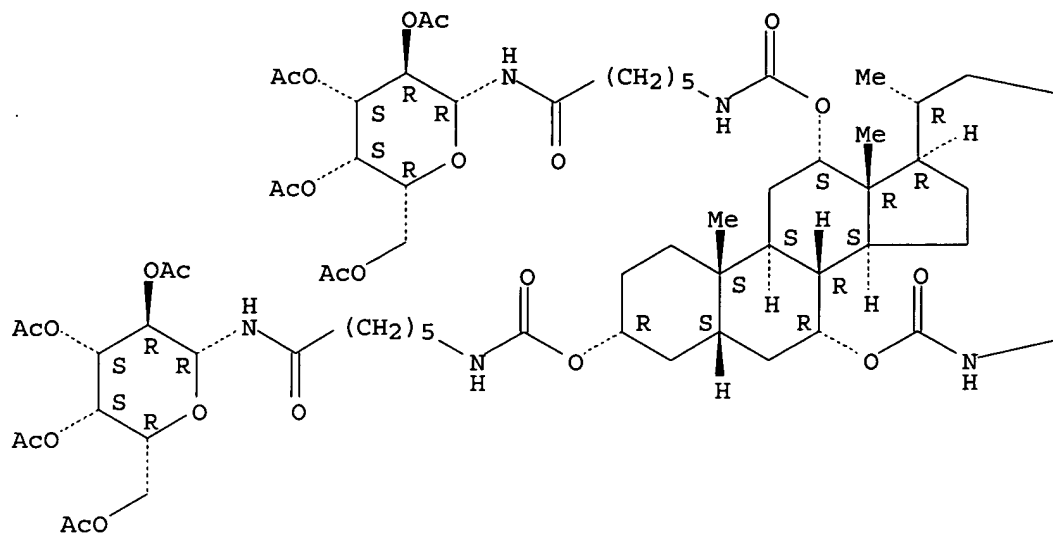
Absolute stereochemistry.



RN 489460-06-2 CAPLUS

CN Cholan-24-oic acid, 3,7,12-tris[[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl) amino]hexyl]amino]carbonyl]oxy]-, (3α,5β,7α,12α) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:521752 CAPLUS  
DN 137:79182  
TI Preparation of monosaccharide and oligosaccharide lipo-amino acids as  
pharmaceutical agents used for oral administration as delivery systems  
IN Toth, Istvan; Falconer, Robert  
PA Alchemia Pty. Ltd., Australia  
SO PCT Int. Appl., 66 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI	WO 2002053572	A1	20020711	WO 2002-AU5	20020103
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004176281	A1	20040909	US 2003-676436	20030630
PRAI	GB 2001-115	A	20010104		
	WO 2002-AU5	A2	20020103		

OS MARPAT 137:79182

AB The invention relates to compds.  $r[D(nz)]p[(Wq-S-X-L)(my)]$  in which D is a therapeutically useful mol.; r is 0, or is an integer greater than or equal to 1; p, n and m may be the same or different, and are independently integers greater than or equal to 1; n and m represent the overall magnitude of the charge on the mols.; and z and y are charges, either pos. (+) or neg. (-), such that when z is pos., y is neg. and vice versa; and  $[(Wq-S-X-L)(my)]$  is a carrier compound, in which X is a covalent bond, or is a **linker** group, selected from 2 to 14 atom **spacers**, which may be substituted or unsubstituted, branched or linear; S is a mono- or oligosaccharide; L is a **lipidic** moiety; W may be absent, or is a 3 to 10 atom alkyl or heteroalkyl **spacer**, which may be branched or linear, and is substituted with one or more functional groups, each of which is charged or is capable of carrying a charge under physiol. conditions; and q is 0 when W is absent, or is an integer, which ranges from 3 to the number of hydroxys available for substitution on the mono- or oligosaccharide., which are useful in the delivery of a wide variety of therapeutically useful mols. In particular, the invention relates to compds. which are able to act as carriers for therapeutically useful mols., and to pharmaceutical agents comprising these carriers. The compds. of the invention comprise a mono- or oligosaccharide, a **lipidic** moiety, and optionally a **linker** and/or a **spacer**. The pharmaceutical agents of the invention are particularly useful for oral administration. Thus, 2,3,4,6-tetra-O-acetyl-N-[[[2-(R/S)[(tert-butoxycarbonyl)amino]tetradecyl]amino]carbonothioyl]- $\beta$ -D-glucopyranosylamine was prepared as pharmaceutical agent used for oral administration as **drug** delivery system, (no data). A formulation intended for oral administration to humans may contain about 1 mg to 1 g of an active compound with an appropriate and convenient amount of carrier material, which may vary from about 5 to 95 percent of the total composition. Dosage unit forms will generally contain between from about 1 mg to 500 mg of active ingredient.

IT 192385-43-6P 192385-44-7P 441016-31-5P  
441016-32-6P

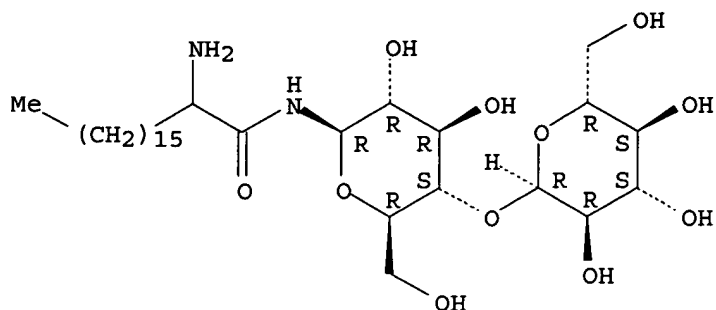
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral administration as delivery systems)

RN 192385-43-6 CAPLUS

CN Octadecanamide, 2-amino-N-(4-O- $\alpha$ -D-glucopyranosyl)- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

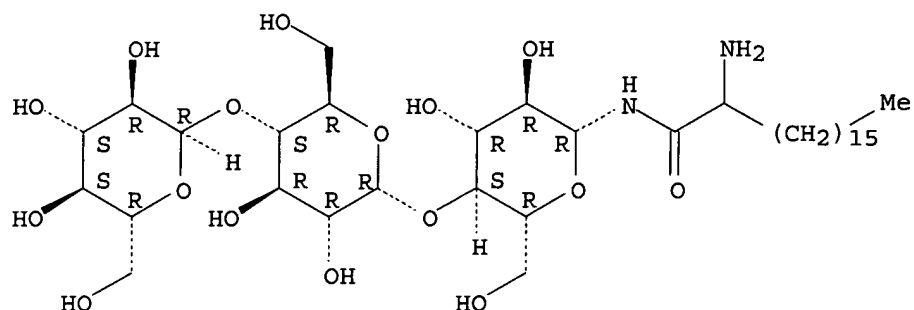
Absolute stereochemistry.



RN 192385-44-7 CAPLUS

CN Octadecanamide, 2-amino-N-(O-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→4)-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

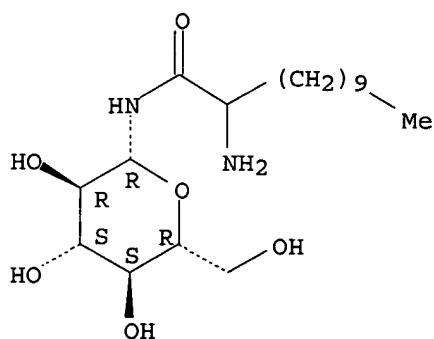
Absolute stereochemistry.



RN 441016-31-5 CAPLUS

CN Dodecanamide, 2-amino-N-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

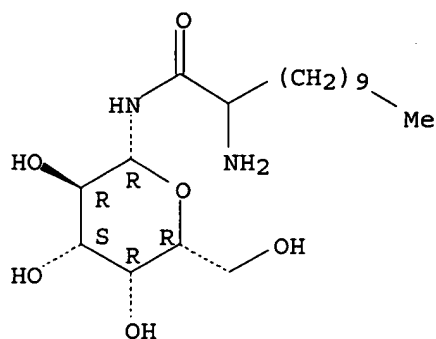
Absolute stereochemistry.



RN 441016-32-6 CAPLUS

CN Dodecanamide, 2-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 199448-59-4P 199448-61-8P 441016-23-5P  
 441016-24-6P 441016-28-0P 441016-29-1P  
 441016-44-0P

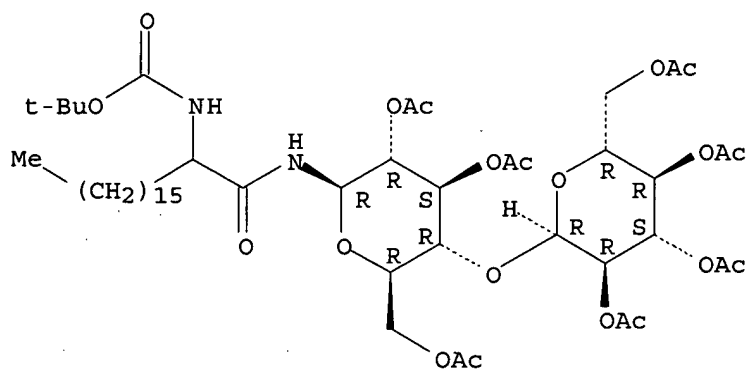
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral administration as delivery systems)

RN 199448-59-4 CAPLUS

CN Carbamic acid, [1-[[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl-1]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

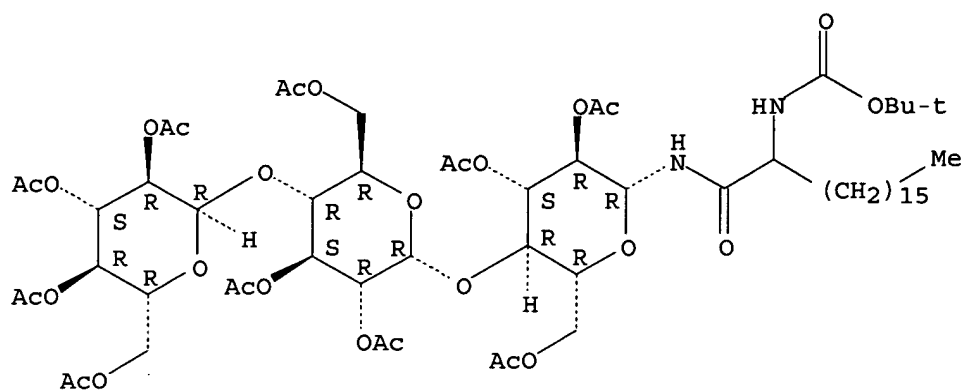
Absolute stereochemistry.



RN 199448-61-8 CAPLUS

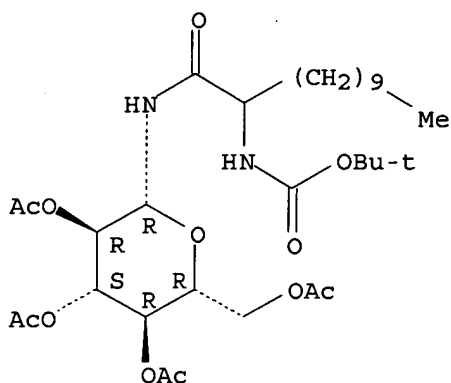
CN Carbamic acid, [1-[[[O-2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O-2,3,6-tri-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-2,3,6-tri-O-acetyl- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



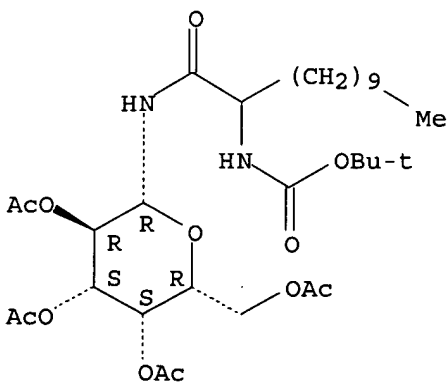
RN 441016-23-5 CAPLUS  
 CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 441016-24-6 CAPLUS  
 CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)  
 (CA INDEX NAME)

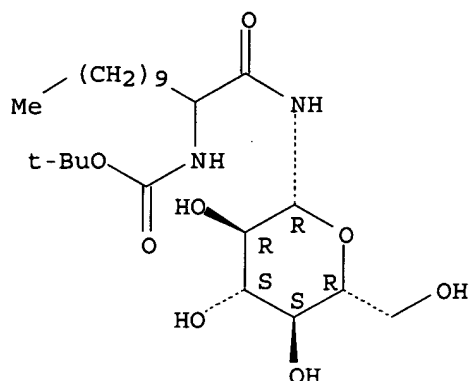
Absolute stereochemistry.



RN 441016-28-0 CAPLUS  
 CN Carbamic acid, [1-[(β-D-glucopyranosylamino)carbonyl]undecyl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

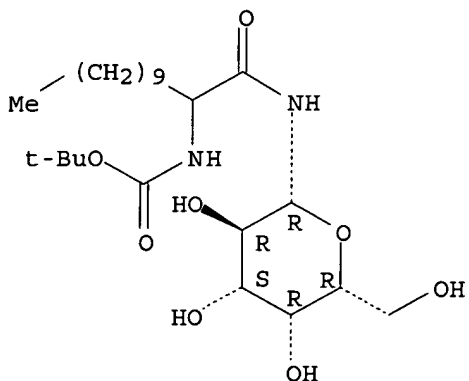
Absolute stereochemistry.



RN 441016-29-1 CAPLUS

CN Carbamic acid, [1-[( $\beta$ -D-galactopyranosylamino)carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

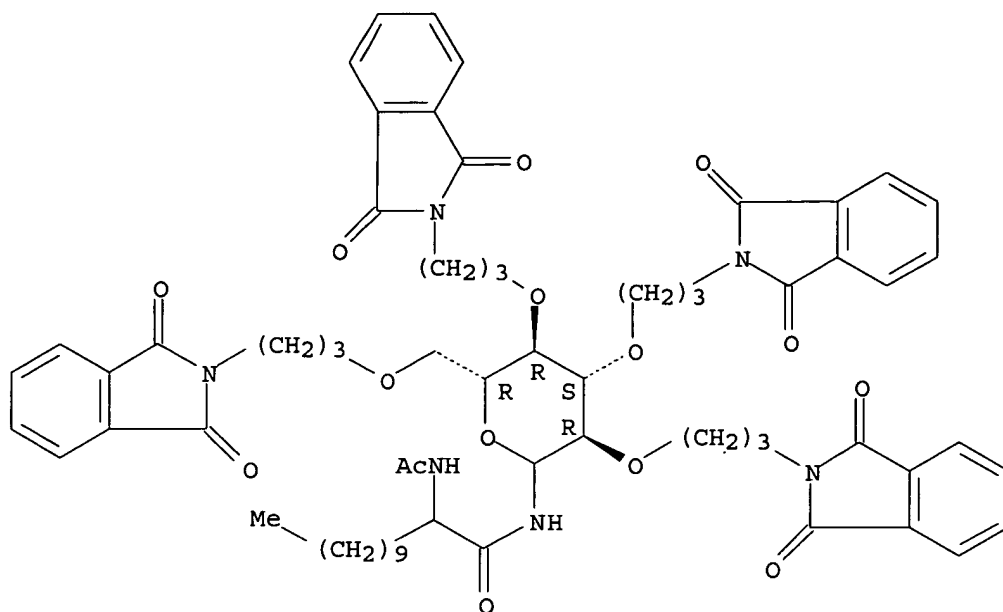


RN 441016-44-0 CAPLUS

CN Dodecanamide, 2-(acetylamino)-N-[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





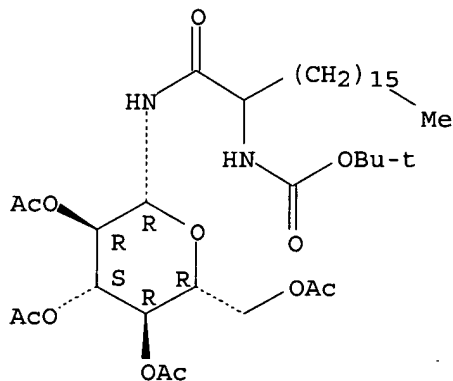
IT 199448-57-2P 215254-45-8P 365441-37-8P  
441016-25-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of monosaccharide and oligosaccharide lipoamino acids as  
pharmaceutical agents used for oral administration as delivery systems)

RN 199448-57-2 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
glucopyranosyl)amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

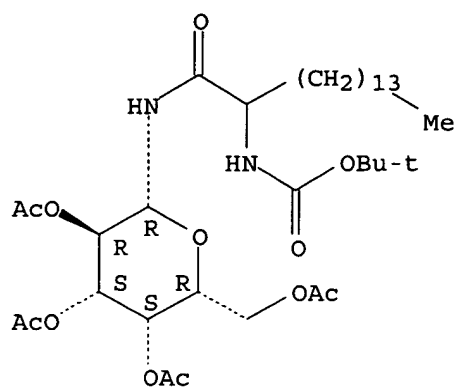
Absolute stereochemistry.



RN 215254-45-8 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
galactopyranosyl)amino]carbonyl]pentadecyl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

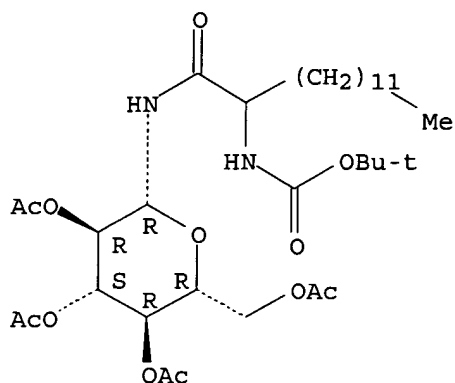
Absolute stereochemistry.



RN 365441-37-8 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

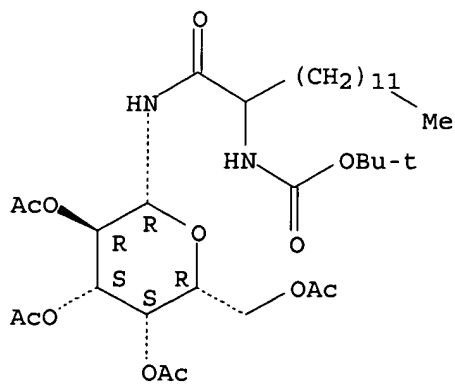
Absolute stereochemistry.



RN 441016-25-7 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



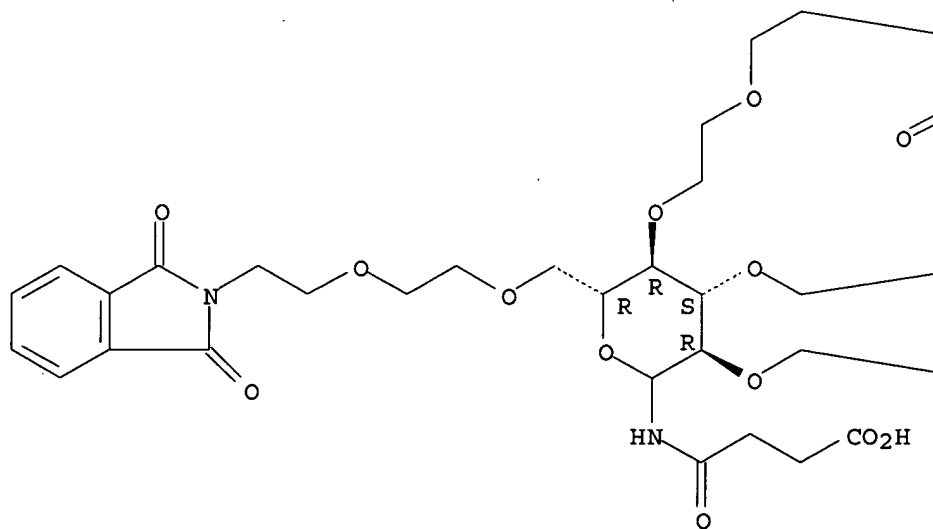
RE.CNT 3

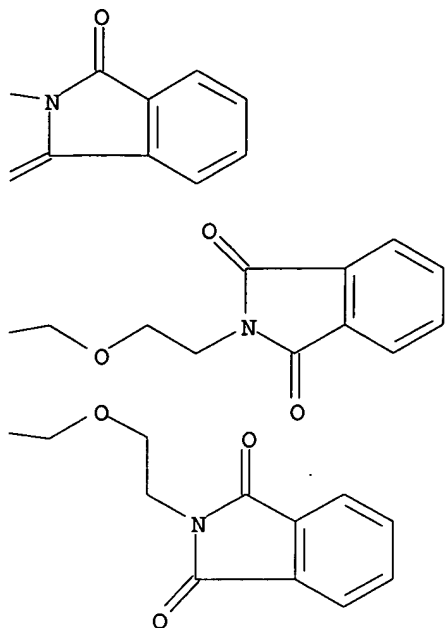
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:509421 CAPLUS  
 DN 138:358249  
 TI Towards synthetic vaccines built on carbohydrate cores  
 AU McGeary, Ross P.; Jablonkai, Istvan; Toth, Istvan  
 CS School of Pharmacy, The University of Queensland, Brisbane, 4072, Australia  
 SO Letters in Peptide Science (2002), Volume Date 2001, 8(3-5), 273-276  
 CODEN: LPSCEM; ISSN: 0929-5666  
 PB Kluwer Academic Publishers  
 DT Journal  
 LA English  
 AB Lipophilic polyfunctional carbohydrate core/templates have been designed and developed for **drug**/vaccine delivery. Three carbohydrate-based templates containing four protected N-terminal arms were synthesized from glucose and galactose. Me  $\alpha$ -D-glucopyranoside was converted to two derivs. bearing a carboxylic acid handle for attachment to solid supports, **spacer** arms of differing hydrophilicity, and phthaloyl-protected amino groups suitable for peptide chain extension.  $\beta$ -D-Galactopyranosyl azide was converted to a template bearing a carboxylic acid handle and four BOC-protected amines. All the templates were found to be suitable for attachment to solid supports and subsequent cleavage from resins, using either BOC- or FMOC-methodologies.  
 IT 394245-94-4P 394245-96-6P 394245-97-7P 518307-50-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (towards synthetic vaccines built on carbohydrate cores)  
 RN 394245-94-4 CAPLUS  
 CN Butanoic acid, 4-oxo-4-[[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

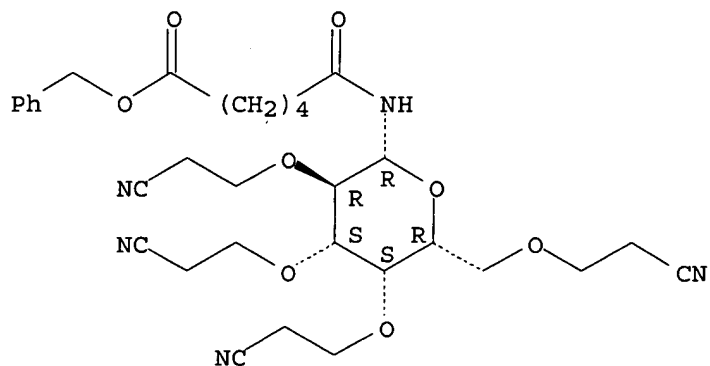




RN 394245-96-6 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-(2-cyanoethyl)-β-D-galactopyranosyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

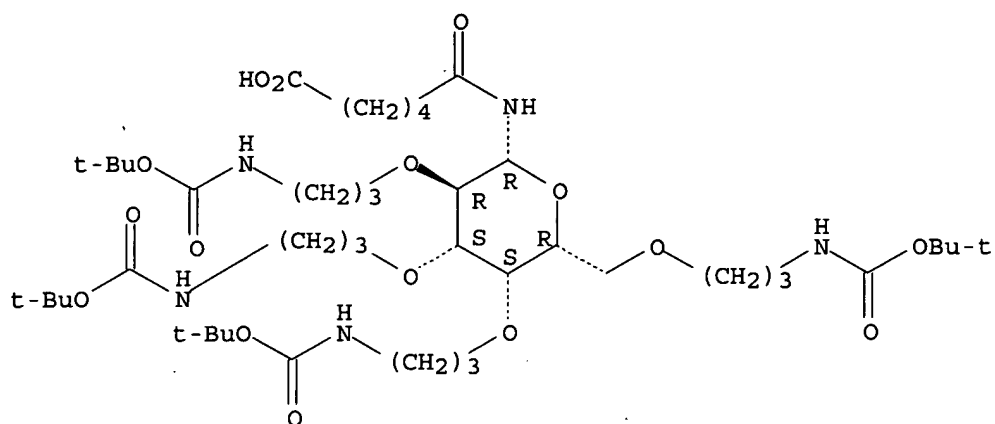
Absolute stereochemistry.



RN 394245-97-7 CAPLUS

CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-β-D-galactopyranosyl]amino]- (9CI) (CA INDEX NAME)

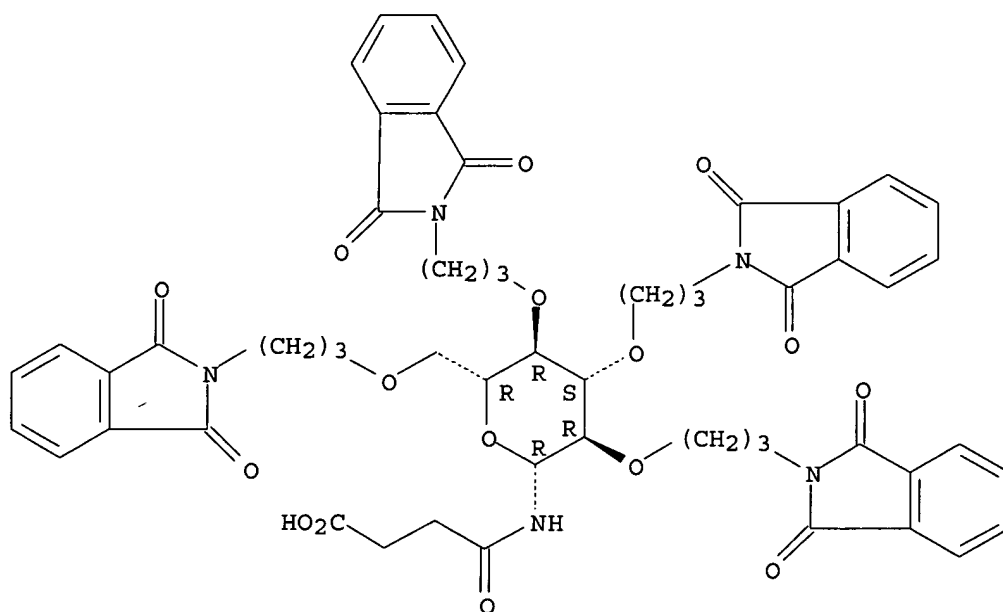
Absolute stereochemistry.



RN 518307-50-1 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]]-β-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



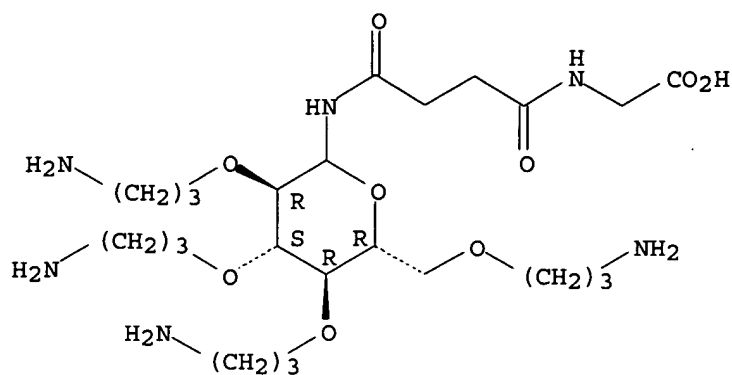
IT 394246-00-5P 394246-01-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(towards synthetic vaccines built on carbohydrate cores)

RN 394246-00-5 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-(3-aminopropyl)-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

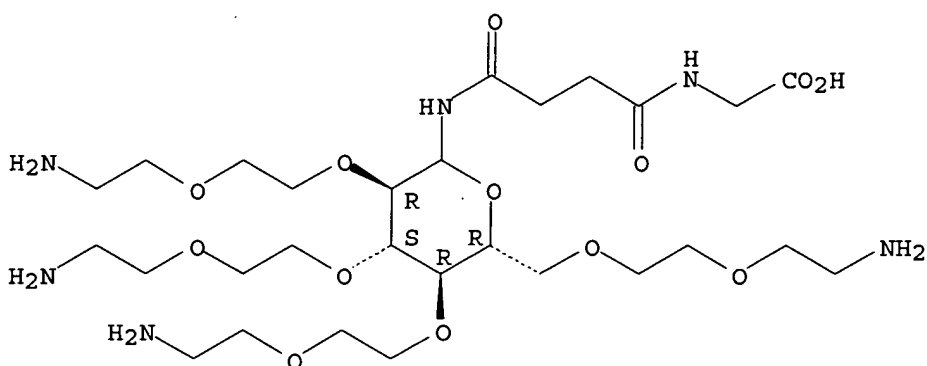
Absolute stereochemistry.



RN 394246-01-6 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-(2-aminoethoxy)ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



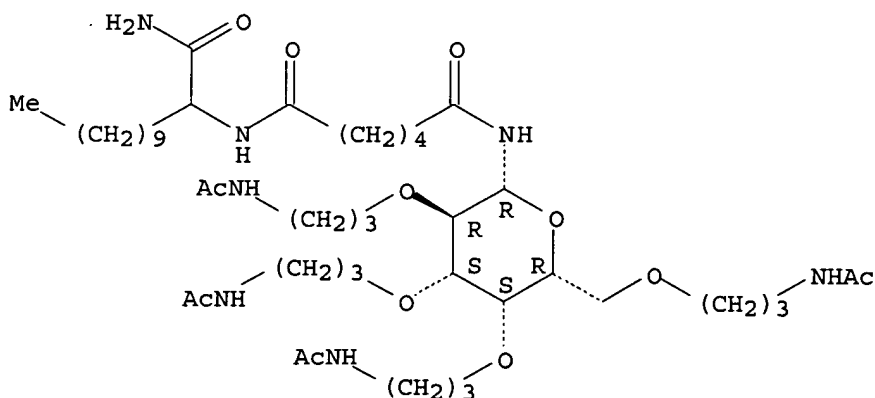
IT 394246-03-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(towards synthetic vaccines built on carbohydrate cores)

RN 394246-03-8 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-[3-(acetylamino)propyl]-β-D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



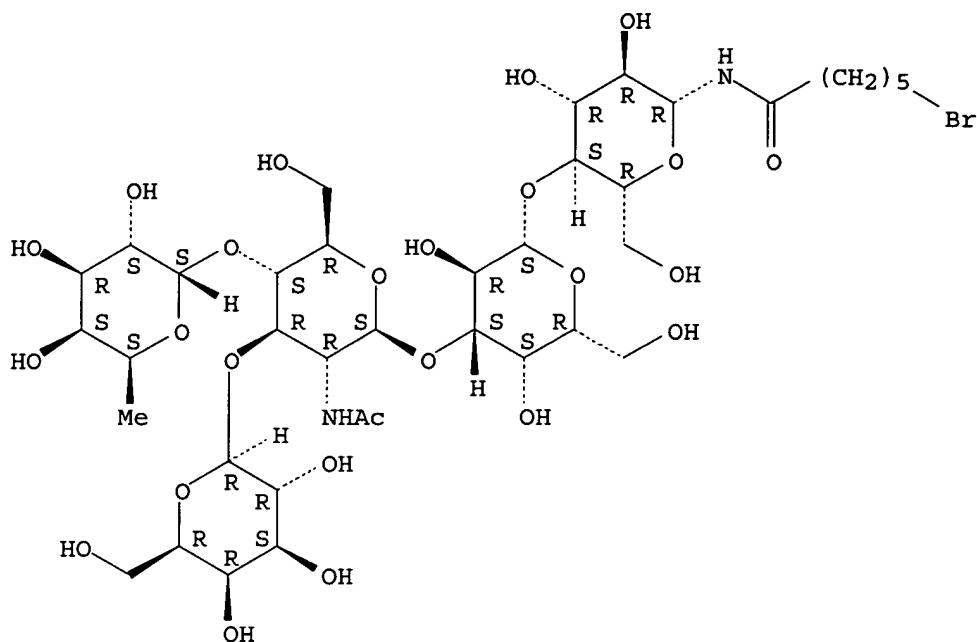
RE.CNT 16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:502815 CAPLUS  
 DN 137:77870  
 TI Vaccine compositions comprising a viral or tumor antigen and a pan  
 DR-binding oligopeptide for inducing humoral immune response against  
 desired determinants  
 IN Sette, Alessandro; Gaeta, Federico; Grey, Howard M.; Sidney, John;  
 Alexander, Jeffrey L.  
 PA Epimmune Inc., USA  
 SO U.S., 43 pp., Cont.-in-part of U.S. 5,736,142.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6413935	B1	20020702	US 1997-788822	19970123
	US 5736142	A	19980407	US 1994-305871	19940914
PRAI	US 1993-121101	B2	19930914		
	US 1994-305871	A2	19940914		
	US 1996-10510P	P	19960124		
AB	He present invention provides compns. and methods of inducing immune response in patients. In particular, it provides compns. useful in inducing humoral responses against desired immunogens, particularly polysaccharides. The immunogen is derived from a virus or cancer cell.				
IT	<b>194040-04-5P</b> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (vaccine compns. comprising a viral or tumor antigen and a pan DR-binding oligopeptide for inducing humoral immune response against desired determinants)				
RN	194040-04-5 CAPLUS				
CN	Hexanamide, 6-bromo-N-[O-6-deoxy- $\alpha$ -L-galactopyranosyl-(1 $\rightarrow$ 4)-O- [ $\beta$ -D-galactopyranosyl-(1 $\rightarrow$ 3)]-O-2-(acetylamino)-2-deoxy- $\beta$ - D-glucopyranosyl-(1 $\rightarrow$ 3)-O- $\beta$ -D-galactopyranosyl-(1 $\rightarrow$ 4)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:251265 CAPLUS

DN 138:44568

TI Molecular recognition by Kluyveromyces of amphotericin B-loaded, galactose-tagged, poly(lactic acid) microspheres

AU Kassab, Rima; Parrot-Lopez, Helene; Fessi, Hatem; Menaucourt, Jean; Bonaly, Roger; Coulon, Joel

CS UMR 5078 CNRS, Universite Claude Bernard, Villeurbanne, 69622, Fr.

SO Bioorganic & Medicinal Chemistry (2002), 10(6), 1767-1775

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

AB In an effort to develop a new way of **drug** delivery, especially for polyenic antifungal mols., amphotericin B (AmB) was incorporated into biodegradable galactosylated poly(L-lactic acid) (L-PLA) and poly(L-lactic-co-glycolic acid) (PLGA) microspheres. These **drug** carriers were prepared by solvent evaporation using an oil/water (o/w) emulsion.

The ratio of galactosyl **spacers** with different chain lengths was 1.74-2.78%. The maximal quantity of AmB encapsulated reported to 100 mg of the galactosylated microspheres was 7.14 mg for L-PLA (encapsulation rate 45% of mole) and 6.42 mg for PLGA derivs. (encapsulation rate 81% of mole). In our yeast model, **drug** release depended on three factors: (i) presence of galactosylated antennae, (ii) length of galactosyl antenna and (iii) nature of the polymer. More of the AmB trapped in PLGA microspheres was released than from PLA microspheres. These novel functionalized microspheres could be required for the delivering of therapeutic agents according to their recognition to specific cells.

IT 38822-56-9D, glycolic-lactic copolymer derivs. 263762-46-5  
263762-47-6 478826-55-0 478826-56-1  
478826-57-2

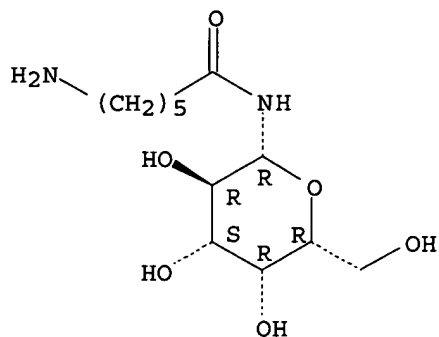
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(mol. recognition of amphotericin B-loaded, galactose-tagged, poly(lactic acid) microspheres by Kluyveromyces)



RN 38822-56-9 CAPLUS

CN Hexanamide, 6-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

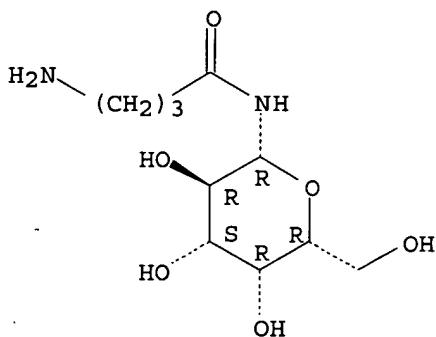
Absolute stereochemistry.



RN 263762-46-5 CAPLUS

CN Butanamide, 4-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

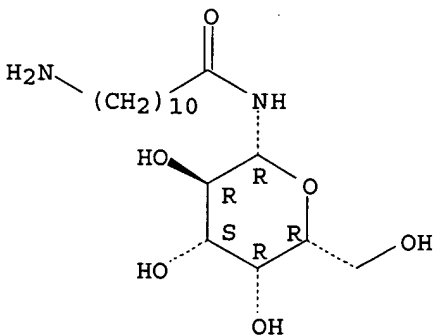
Absolute stereochemistry.



RN 263762-47-6 CAPLUS

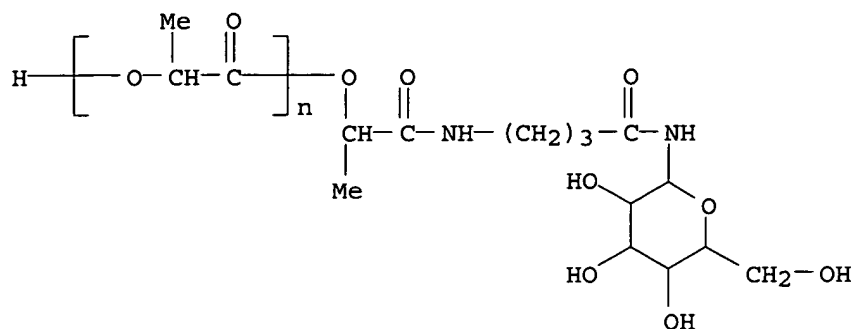
CN Undecanamide, 11-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



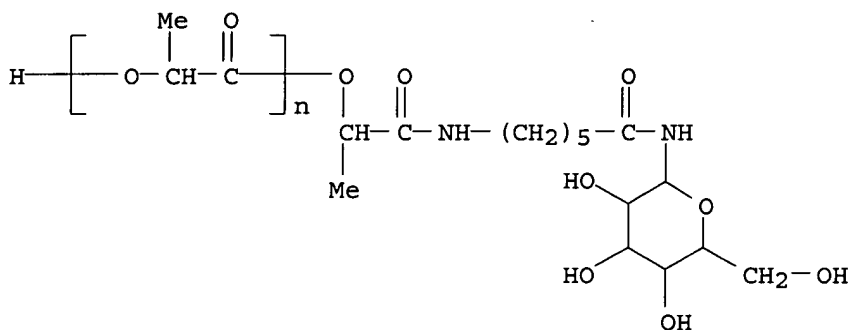
RN 478826-55-0 CAPLUS

CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]], α-hydro-ω-[(1S)-2-[[4-(β-D-galactopyranosylamino)-4-oxobutyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



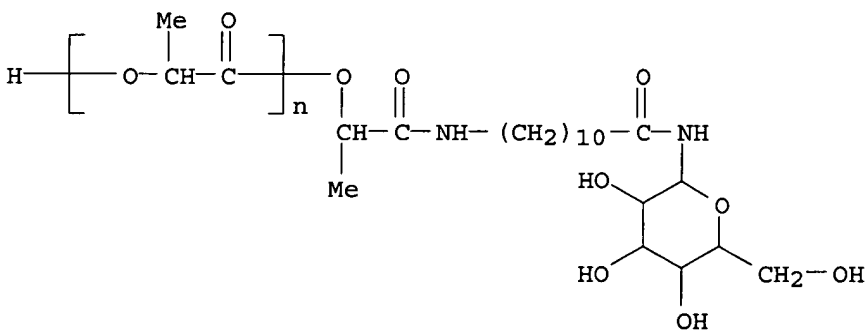
RN 478826-56-1 CAPLUS

CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]],  $\alpha$ -hydro- $\omega$ -[(1S)-2-[[6-( $\beta$ -D-galactopyranosylamino)-6-oxohexyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



RN 478826-57-2 CAPLUS

CN Poly[oxy[(1S)-1-methyl-2-oxo-1,2-ethanediyl]],  $\alpha$ -hydro- $\omega$ -[(1S)-2-[[11-( $\beta$ -D-galactopyranosylamino)-11-oxoundecyl]amino]-1-methyl-2-oxoethoxy]- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:749906 CAPLUS

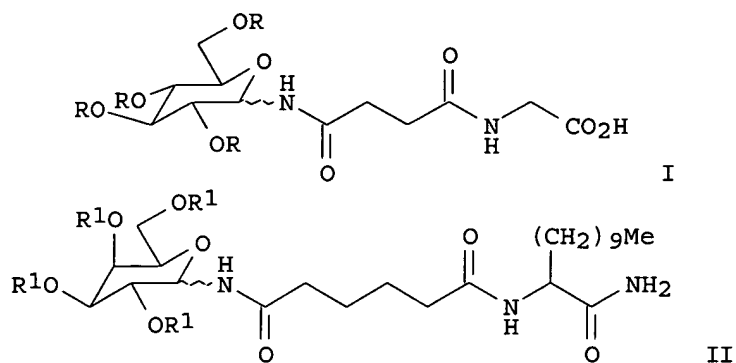
DN 136:151403

TI Carbohydrate-based templates for synthetic vaccines and drug delivery

AU McGeary, R. P.; Jablonkai, I.; Toth, I.

CS The University of Queensland, School of Pharmacy, Brisbane, 4072,

Australia  
 SO Tetrahedron (2001), 57(41), 8733-8742  
 CODEN: TETRAB; ISSN: 0040-4020  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 136:151403  
 GI



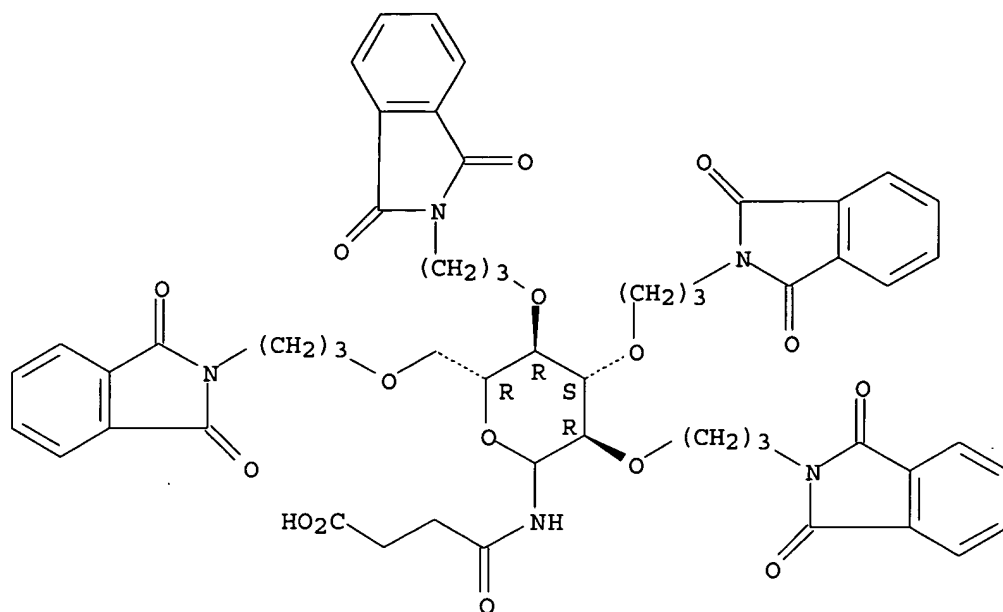
AB Me tetra-O-allyl and tetra-O-[5-(tetrahydro-2H-pyranyloxy)-3-oxapentyl] glucosides, and tetra-O-(cyanoethyl)galactosyl azide were converted into derivs. containing **linkers** with terminal carboxylic acid functionalities at the anomeric position and bearing four arms with phthaloyl- or BOC-protected terminal amino groups. Thus, glucosylamides I [R = (CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>, (CH<sub>2</sub>)<sub>20</sub>(CH<sub>2</sub>)<sub>2</sub>NH<sub>2</sub>] and galactosylamides II [R<sub>1</sub> = (CH<sub>2</sub>)<sub>3</sub>NHCOMe] were obtained as final products. I and II are suitable for use in solid-phase peptide synthesis and for the preparation of dendrimers containing multiple copies of peptides.

IT 394245-88-6P 394245-94-4P 394245-96-6P  
 394245-97-7P 394245-98-8DP, resin-bound  
 394245-99-9DP, resin-bound 394246-02-7DP, resin-bound  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carbohydrate-based templates for use in solid-phase peptide synthesis for designing synthetic vaccines and **drug** delivery)

RN 394245-88-6 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

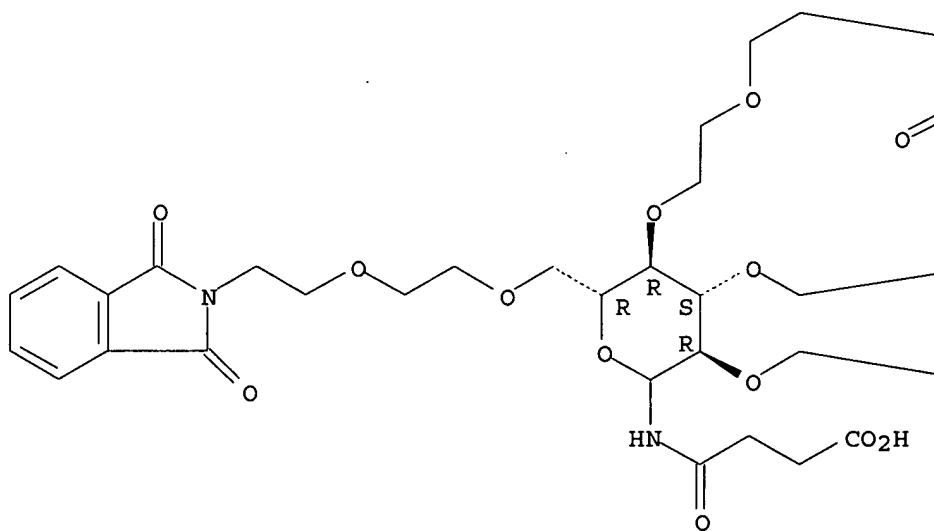


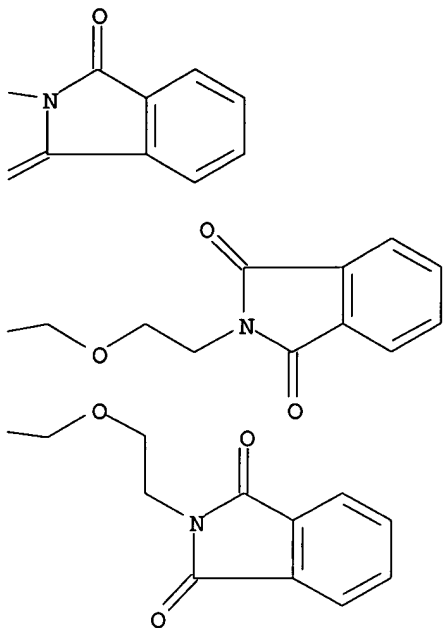
RN 394245-94-4 CAPLUS

CN Butanoic acid, 4-oxo-4-[[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

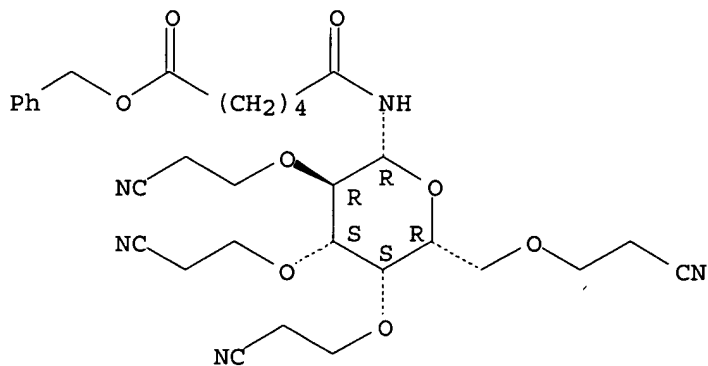
PAGE 1-A





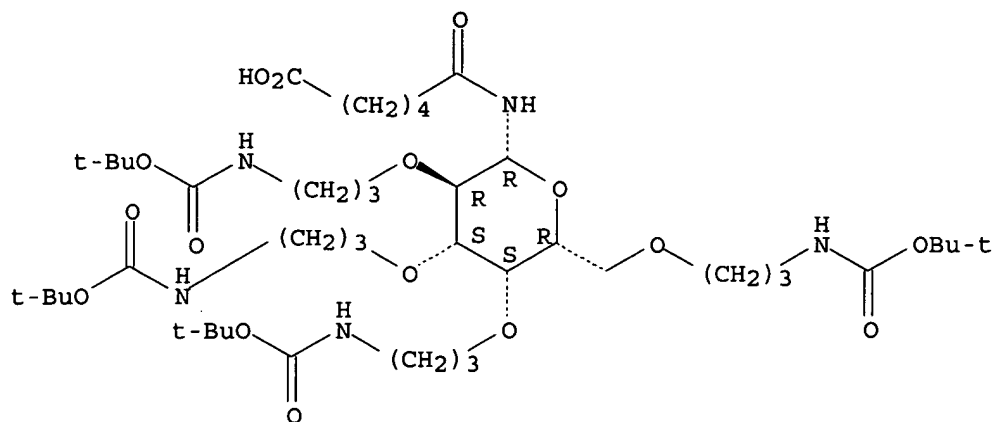
RN 394245-96-6 CAPLUS  
 CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-(2-cyanoethyl)-β-D-galactopyranosyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 394245-97-7 CAPLUS  
 CN Hexanoic acid, 6-oxo-6-[[2,3,4,6-tetrakis-O-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-β-D-galactopyranosyl]amino]- (9CI) (CA INDEX NAME)

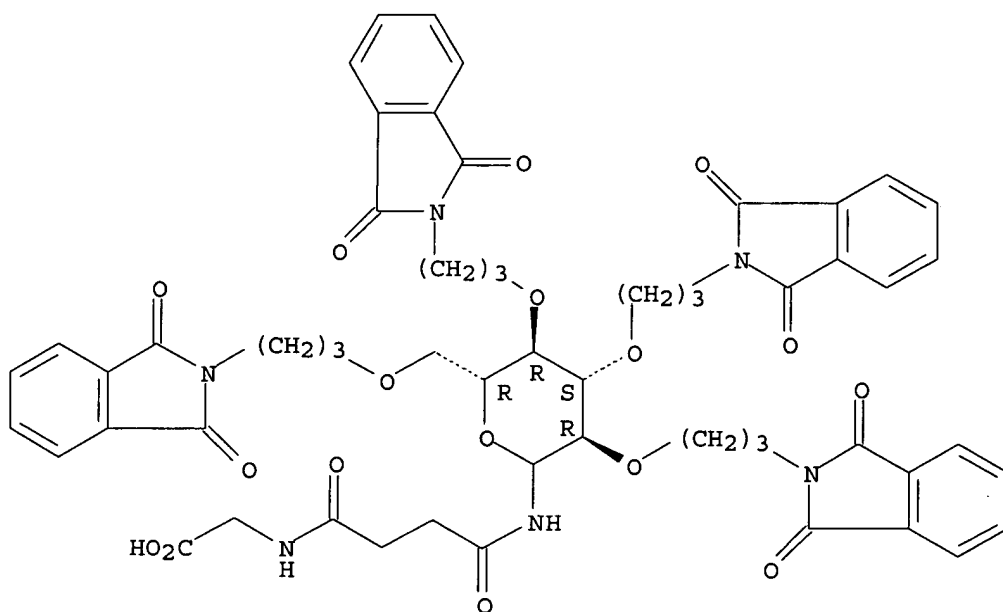
Absolute stereochemistry.



RN 394245-98-8 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

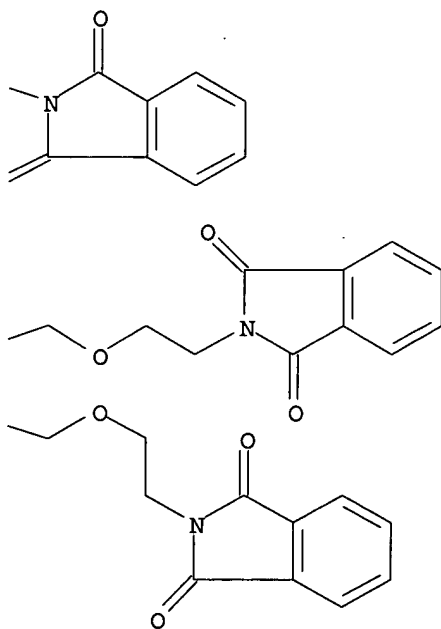
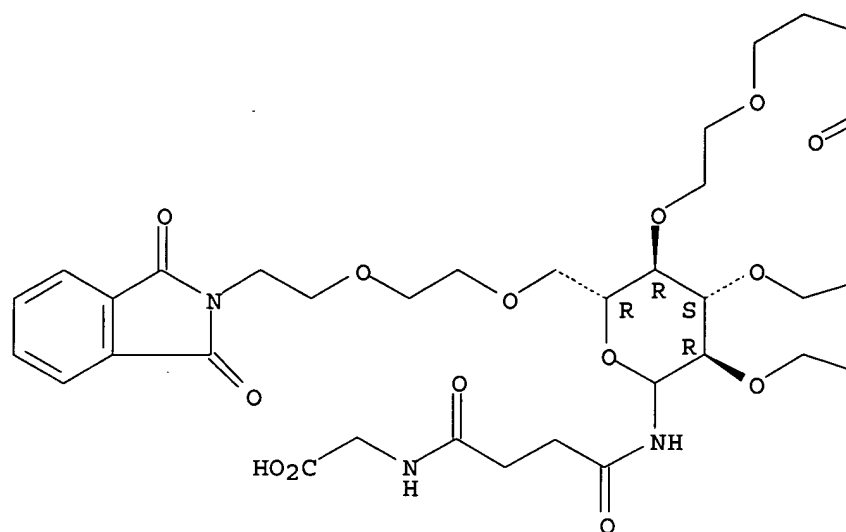
Absolute stereochemistry.



RN 394245-99-9 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

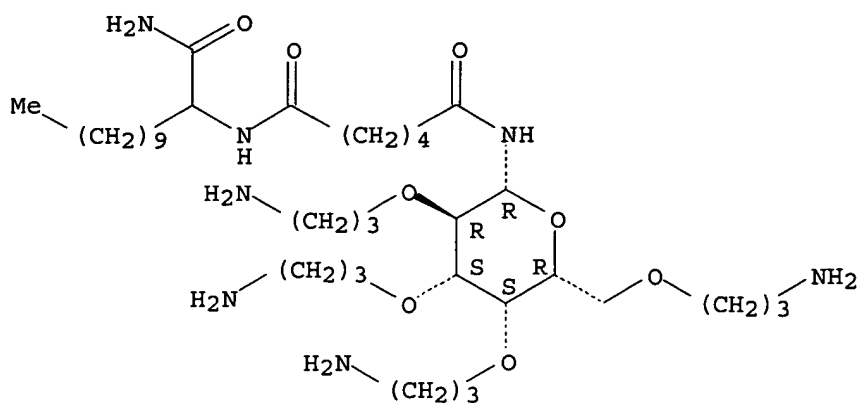
Absolute stereochemistry.



RN 394246-02-7 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-(3-aminopropyl)-β-D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 394246-00-5P 394246-01-6P 394246-03-8P

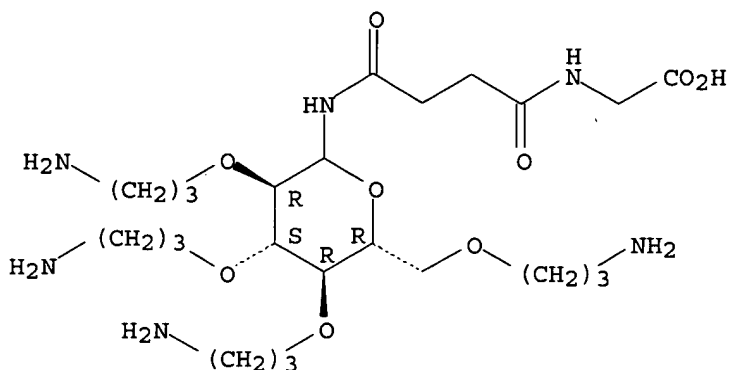
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of carbohydrate-based templates for use in solid-phase peptide synthesis for designing synthetic vaccines and **drug** delivery)

RN 394246-00-5 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-(3-aminopropyl)-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

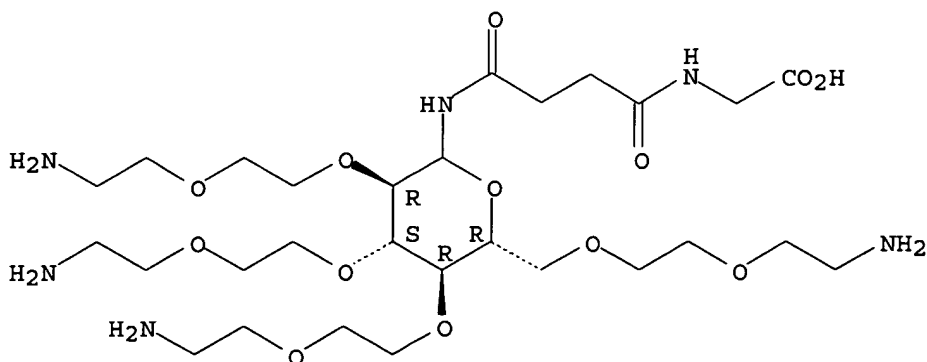
Absolute stereochemistry.



RN 394246-01-6 CAPLUS

CN Glycine, N-[1,4-dioxo-4-[[2,3,4,6-tetrakis-O-[2-(2-aminoethoxy)ethyl]-D-glucopyranosyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



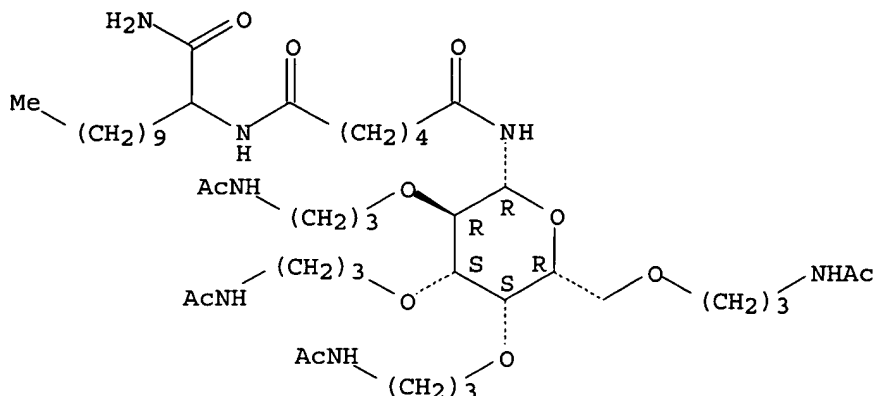
RN 394246-03-8 CAPLUS

CN Hexanediamide, N-[1-(aminocarbonyl)undecyl]-N'-[2,3,4,6-tetrakis-O-[3-



(acetylamino)propyl]- $\beta$ -D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

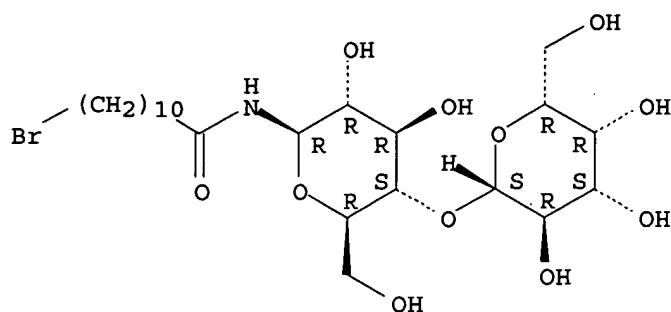
L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:617869 CAPLUS  
DN 135:200446  
TI Methods and polymer compositions for gene delivery  
IN Lollo, Charles Peter; Banaszczyk, Mariusz; Chiou, Henry C.; Wu, Dongpei;  
Mullein, Patricia M.; Carlo, Alison T.  
PA The Immune Response Corporation, USA  
SO PCT Int. Appl., 115 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

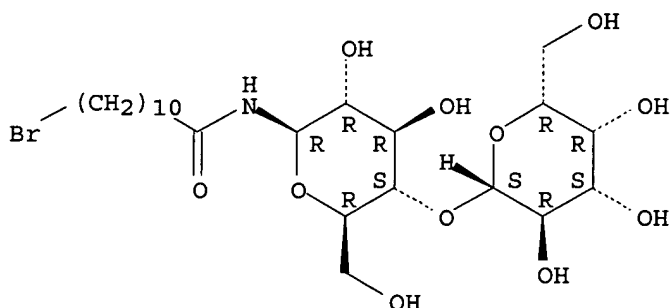
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060415	A1	20010823	WO 2001-US5234	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003134420	A1	20030717	US 2002-211214	20020802
PRAI US 2000-183516P	P	20000218		
WO 2001-US5234	A1	20010216		
AB The present invention provides novel compns. and formulations for delivering anionic compds., particularly polynucleotides (DNA and RNA), across cellular boundaries (e.g., cellular membranes) either in vivo or in vitro. Thus, polylysine-graft PEG was allowed to react with 4-hydroxybenzylimino Me ester-HCl in MeOH and water. The compds. can be used as fluorescent probes.				
IT 356063-65-5P RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (polymer compns. for gene delivery)				
RN 356063-65-5 CAPLUS				
CN Undecanamide, 11-bromo-N-(4-O- $\beta$ -D-galactopyranosyl)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



IT 356063-65-5DP, reaction products with graft polylysine copolymers  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(polymer compns. for gene delivery)  
RN 356063-65-5 CAPLUS  
CN Undecanamide, 11-bromo-N-(4-O- $\beta$ -D-galactopyranosyl)- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:209948 CAPLUS  
DN 132:255952  
TI Cationic dendrimers and their use as macromolecular carriers  
IN Florence, Alexander T.; Wilderspin, Andrew F.; Toth, Istvan; Sakthivel, Thiagarajan; Bayele, Henry K.  
PA School of Pharmacy, University of London, UK  
SO PCT Int. Appl., 48 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000016807	A1	20000330	WO 1999-GB3189	19990923
	W: GB, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1115428	A1	20010718	EP 1999-947667	19990923
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002526456	T2	20020820	JP 2000-573768	19990923

PRAI EP 1998-307712 A 19980923  
 GB 1999-21478 A 19990910  
 WO 1999-GB3189 W 19990923

AB Dendrimers comprising a dendritic polypeptide with one dendron having terminal cationic groups and a lipid anchor, preferably comprising C6-24-alkyl group containing  $\alpha$ -amino acyl groups, preferably joined to the focal group, are used to assist transfection of cells in vitro and in vivo by DNA. The complex of dendrimer and DNA may be used in gene therapy, for instance to delivery clotting factor genes to cells.

IT 262587-07-5P 262587-08-6P

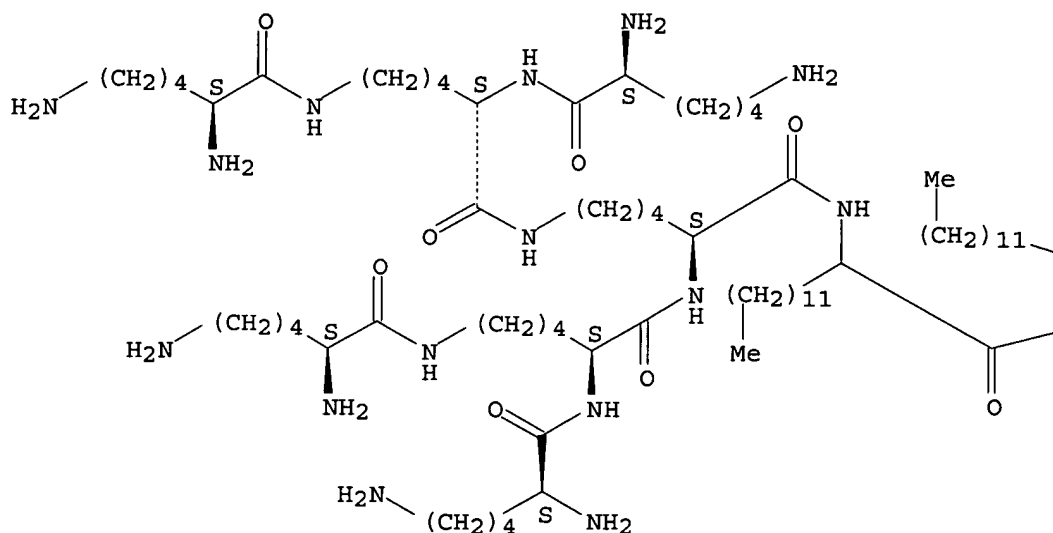
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (cationic dendrimers and their use as macromol. carriers)

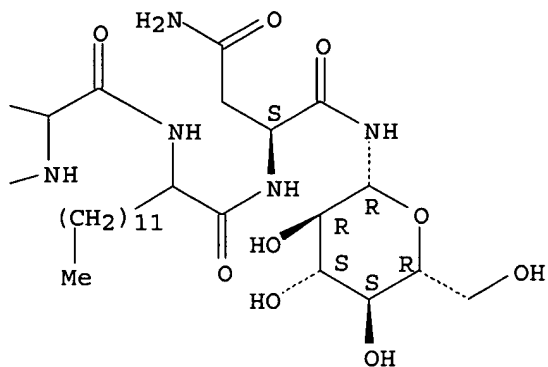
RN 262587-07-5 CAPLUS

CN L-Aspartamide, N2,N6-bis(N2,N6-di-L-lysyl-L-lysyl)-L-lysyl-2-aminotetradecanoyl-2-aminotetradecanoyl-2-aminotetradecanoyl-N1- $\beta$ -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





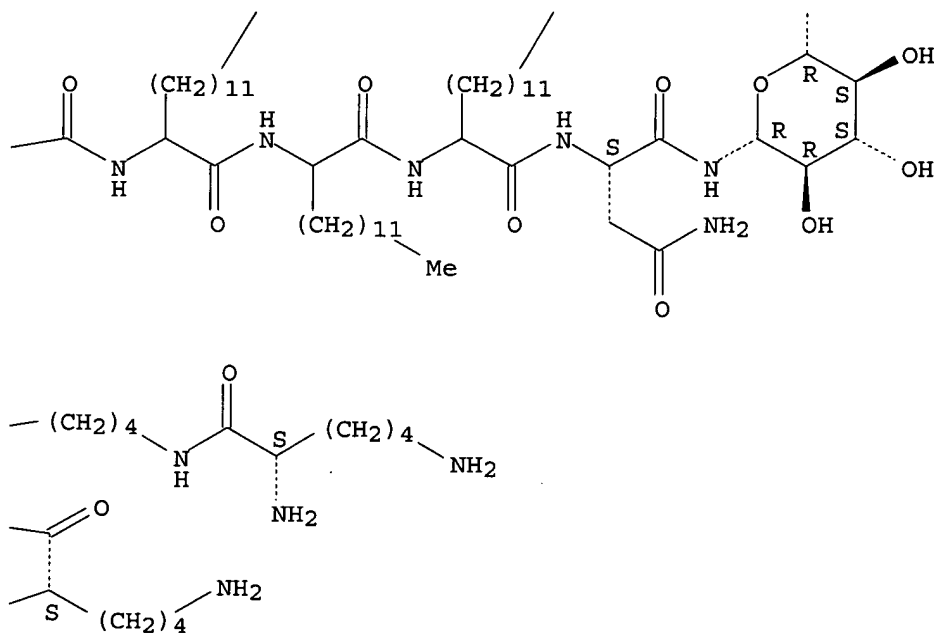
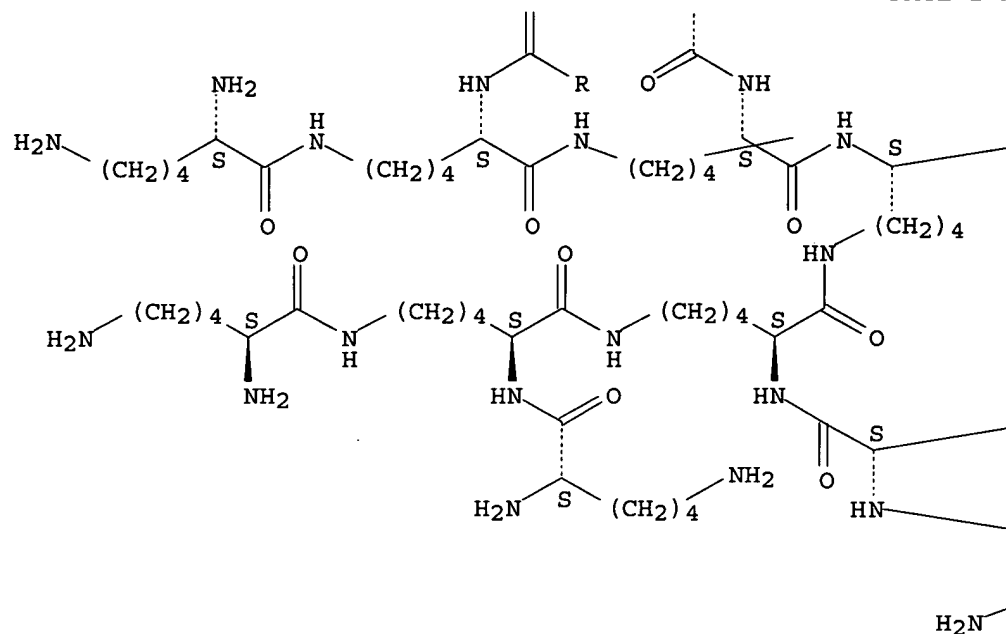
RN 262587-08-6 CAPLUS

CN L-Aspartamide, N2,N6-bis[N2,N6-bis(N2,N6-di-L-lysyl-L-lysyl)-L-lysyl]-L-lysyl-2-aminotetradecanoyl-2-aminotetradecanoyl-2-aminotetradecanoyl-N1-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*





RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:142691 CAPLUS  
DN 132:302964  
TI High-Affinity Pentavalent Ligands of Escherichia coli Heat-Labile  
Enterotoxin by Modular Structure-Based Design  
AU Fan, Erkang; Zhang, Zhongsheng; Minke, Wendy E.; Hou, Zheng; Verlinde,  
Christophe L. M. J.; Hol, Wim G. J.

CS Department of Biological Structure Biomolecular Structure Center and  
Howard Hughes Medical Institute, University of Washington, Seattle, WA,  
98195, USA

SO Journal of the American Chemical Society (2000), 122(11), 2663-2664  
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

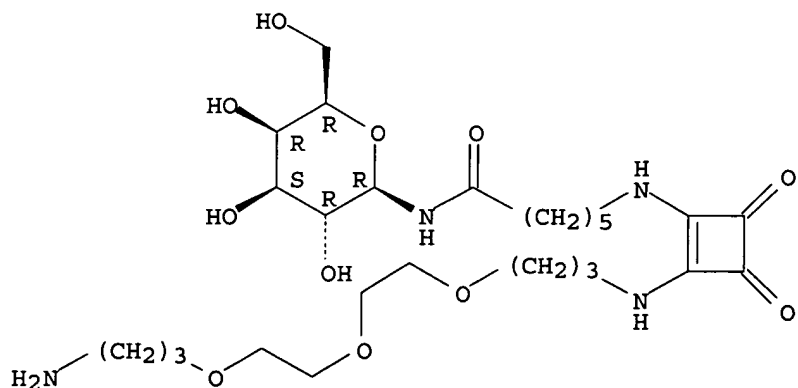
AB The authors present a novel approach toward high-affinity multivalent  
ligands: a modular design that incorporates structural information of the  
multiple target sites. Their work focuses on an ideal target model, the  
heat-labile enterotoxin (LT) from *Escherichia coli*. The authors  
demonstrate the power of a modular synthesis procedure which allowed them  
to explore in detail the effects of **linker** length on affinity.  
For the core, they chose acylated pentacyclen. Force-field calcns. show  
that this mol. can adopt a conformation close to 5-fold symmetry. The  
authors used 1- $\beta$ -amidated D-galactose as the finger. D-galactose is  
a terminal sugar unit of LT's natural receptor GM1. It interacts very  
specifically with the toxin via defined hydrogen bonds and a carbohydrate  
against tryptophan stacking. The authors have chosen to span a large  
range of **linker** lengths using the com. available  
4,7,10-trioxa-1,13-tridecanediamine as the basic unit of the  
**linkers**. After obtaining a series of pentavalent ligands with  
various **linker** lengths, the authors tested their ability to  
inhibit the binding of LT B pentamer (LT-B5) to ganglioside using an ELISA  
protocol. The results clearly show that the structure-based design of  
pentavalent ligands leads to very significant affinity gains compared to  
the monovalent ligand. The best pentavalent ligand shows an IC50 that is  
105-fold better than galactose, the mol. moiety mostly responsible for the  
affinity of the authors fingers to LT. In summary, the authors modular  
approach has allowed for efficient synthesis of large mol. weight protein  
ligands and, for the first time, a systematic study of the effects of  
flexible-**linker** lengths on the affinities of multivalent  
ligands.

IT **266000-46-8P**  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological  
process); BSU (Biological study, unclassified); SPN (Synthetic  
preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(high-affinity pentavalent ligands of *Escherichia coli* heat-labile  
enterotoxin by modular structure-based design using acylated  
pentacyclen as the core and galactose as the finger)

RN 266000-46-8 CAPLUS

CN Hexanamide, 6-[[2-[[3-[2-[2-(3-aminopropoxy)ethoxy]ethoxy]propyl]amino]-  
3,4-dioxo-1-cyclobuten-1-yl]amino]-N- $\beta$ -D-galactopyranosyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



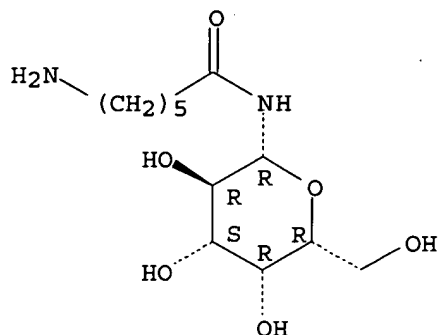
IT 38822-56-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(high-affinity pentavalent ligands of Escherichia coli heat-labile enterotoxin by modular structure-based design using acylated pentacyclen as the core and galactose as the finger)

RN 38822-56-9 CAPLUS

CN Hexanamide, 6-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 266000-50-4P

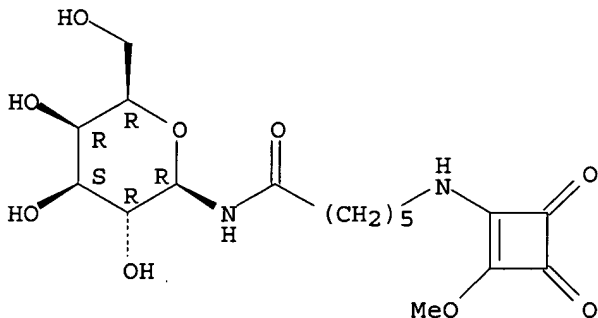
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(high-affinity pentavalent ligands of Escherichia coli heat-labile enterotoxin by modular structure-based design using acylated pentacyclen as the core and galactose as the finger)

RN 266000-50-4 CAPLUS

CN Hexanamide, N-β-D-galactopyranosyl-6-[(2-methoxy-3,4-dioxo-1-cyclobuten-1-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:653455 CAPLUS

DN 132:227244

TI Novel cationic lipid peptide dendrimer vectors. In vitro gene delivery

AU Toth, I.; Sakthivel, T.; Wilderspin, A. F.; Bayele, H.; O'Donnell, M.; Perry, D. J.; Pasi, K. J.; Lee, C. A.; Florence, A. T.

CS Department of Pharmaceutical and Biological Chemistry, The School of Pharmacy, University of London, London, WC 1N 1AX, UK

SO S.T.P. Pharma Sciences (1999), 9(1), 93-99

CODEN: STSSE5; ISSN: 1157-1489

PB Editions de Sante

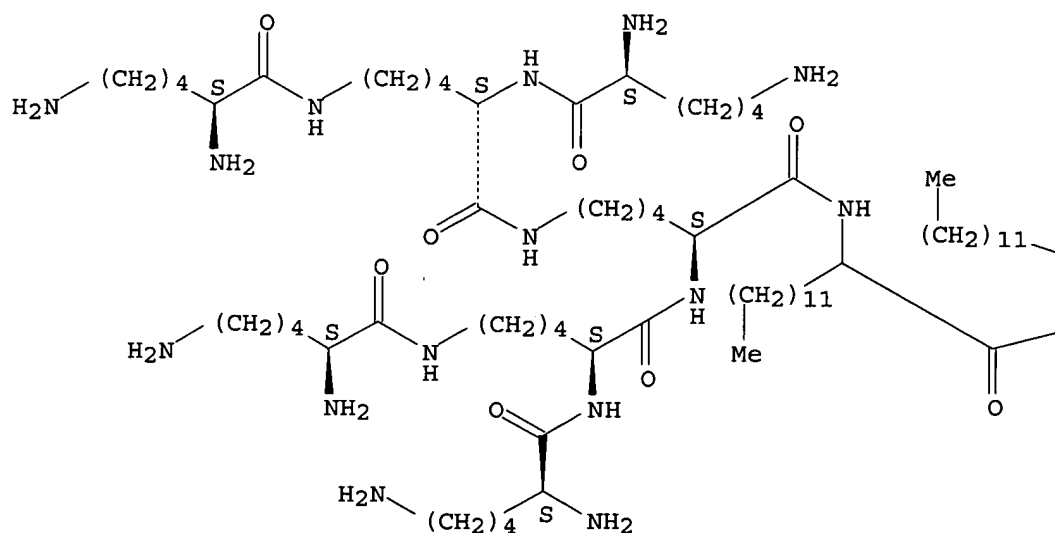
DT Journal  
 LA English  
 AB Cationic lipid dendrimers with a well-defined diameter and a precise number of terminal amines (8-32 groups) were synthesized using a solid support. The application of dendrimers with widely varied geometries in gene delivery has been studied by estimating transfection efficiency of members of the series, with variable branch length, position of attachment of lipid, the presence of a sugar unit and presence of a nuclear localization signal peptide. The transfection activity of the products was assayed in vitro on Cos-7 (fibroblast) cells. Two dendrimers displayed high transfection activities. Results indicated that the presence of more amino groups on the surface of the dendrimers could enhance gene delivery. A primary physicochem. characterization of the DNA/lipid complexes demonstrated the min. amount of dendrimer required for the transfection of 2.5 µg plasmid (10 µg/mL for the dendrimers with eight free amino terminals and 5 and 2.5 µg/mL for the dendrimers with 16 and 32 free amino terminals, resp.).

IT 262587-07-5P 262587-08-6P  
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (cationic lipid peptide dendrimer vectors for in vitro gene delivery)

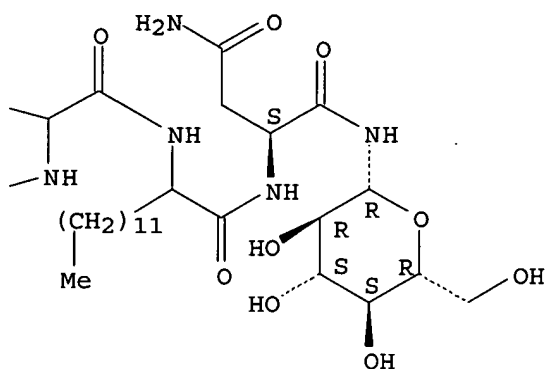
RN 262587-07-5 CAPLUS  
 CN L-Aspartamide, N2,N6-bis(N2,N6-di-L-lysyl-L-lysyl)-L-lysyl-2-aminotetradecanoyl-2-aminotetradecanoyl-2-aminotetradecanoyl-N1-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





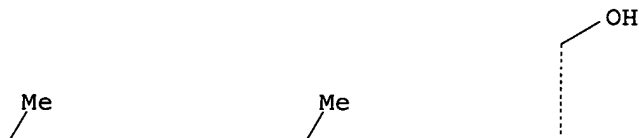


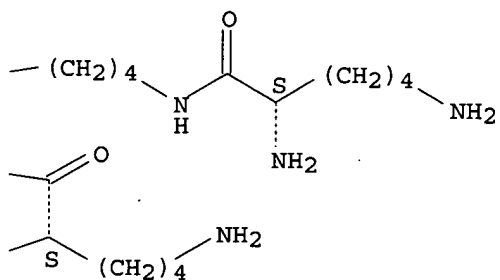
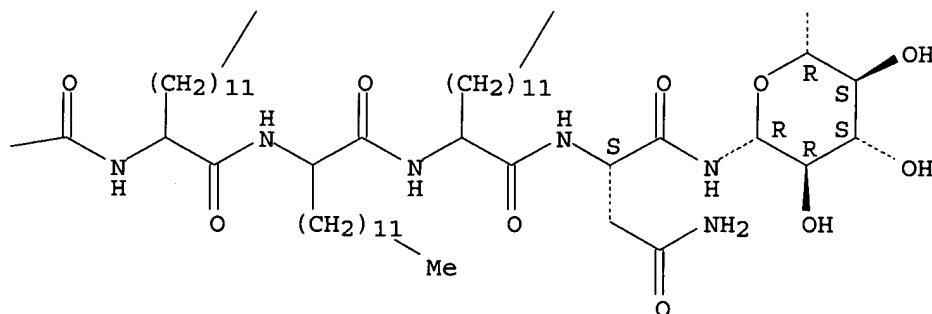
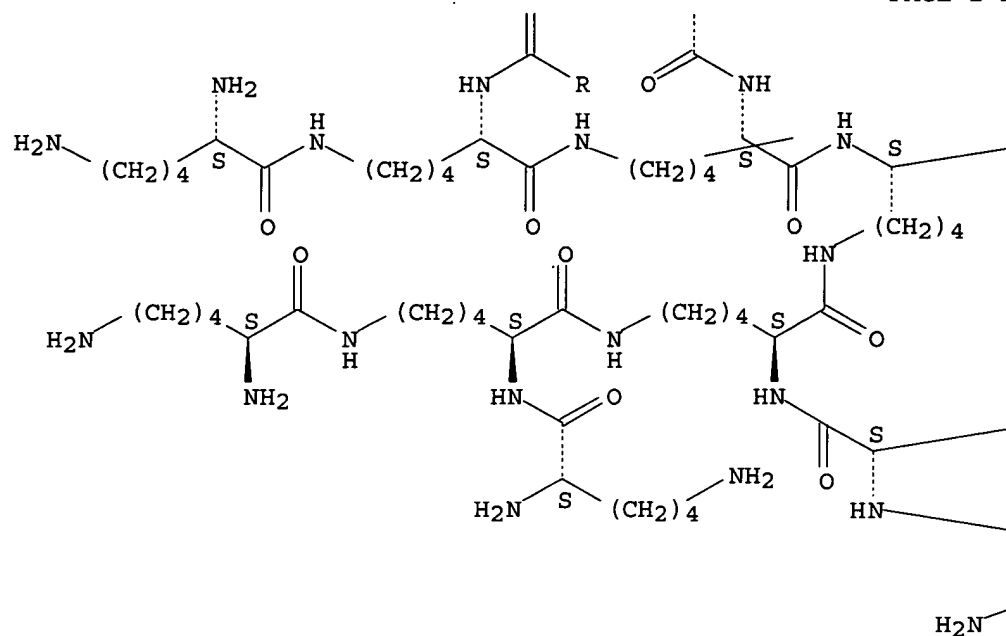
RN 262587-08-6 CAPLUS

CN L-Aspartamide, N2,N6-bis [N2,N6-bis (N2,N6-di-L-lysyl-L-lysyl) -L-lysyl] -L-lysyl-2-aminotetradecanoyl-2-aminotetradecanoyl-2-aminotetradecanoyl-N1- $\beta$ -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*





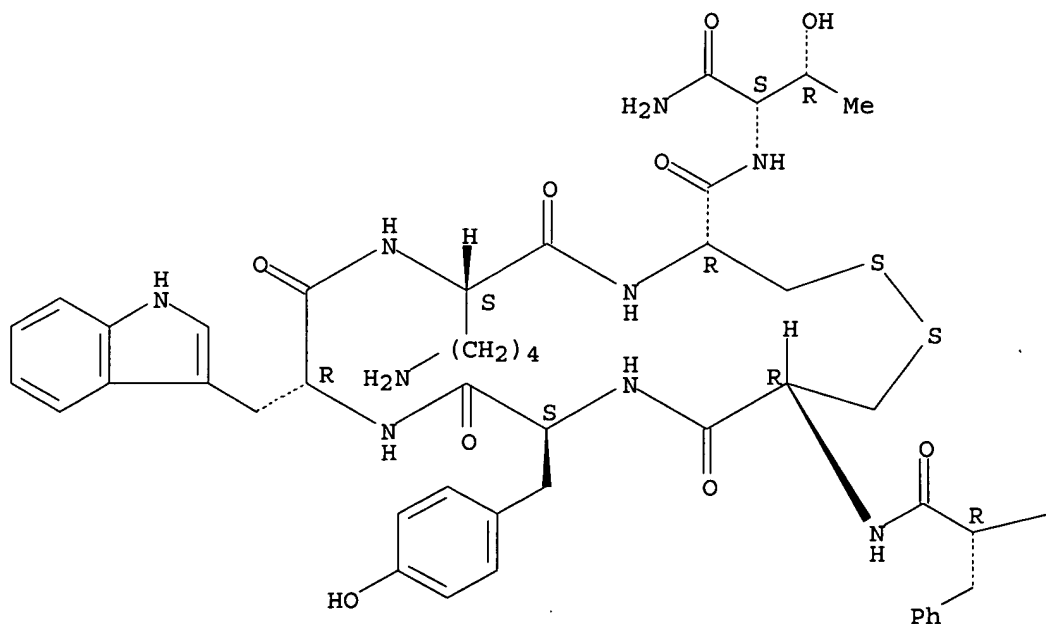
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

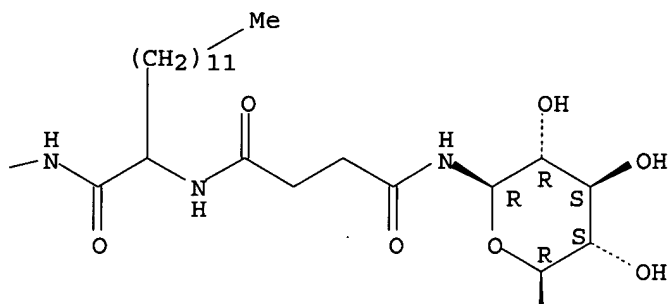
L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1999:562089 CAPLUS  
DN 131:331722  
TI Novel Lipoamino Acid- and Liposaccharide-Based System for Peptide  
Delivery: Application for Oral Administration of Tumor-Selective  
Somatostatin Analogs  
AU Toth, Istvan; Malkinson, John P.; Flinn, Nicholas S.; Drouillat, Bruno;

Horvath, Aniko; Erchegeyi, Judith; Idei, Miklos; Venetianer, Aniko;  
 Artursson, Per; Lazorova, Lucia; Szende, Bela; Keri, Gyoergy  
 CS Department of Pharmaceutical and Biological Chemistry The School of  
 Pharmacy, University of London, London, WC1N 1AX, UK  
 SO Journal of Medicinal Chemistry (1999), 42(19), 4010-4013  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB Lipoamino acid and liposaccharide conjugates of somatostatin analog TT-232  
 were synthesized to modify the physicochem. properties of the parent  
 peptide. The relative position, the number, and the nature of the  
 lipid and/or saccharide moieties were varied. Expts. in vitro  
 clearly showed that many compds. modified at the N- and/or C-terminus with  
 lipid or sugar moieties retained the biol. activity of the parent  
 compound. An interesting construct was synthesized containing lipid  
 and sugar units at opposite ends of the somatostatin analog, so that the  
 entire mol. could be considered as an amphipathic surfactant.  
 IT 250132-08-2P 250132-14-0P 250132-16-2P  
 250132-17-3P 250132-18-4P  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological  
 process); BSU (Biological study, unclassified); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
 (lipoamino acid- and liposaccharide-based system for application for  
 oral administration of tumor-selective somatostatin analogs)  
 RN 250132-08-2 CAPLUS  
 CN L-Threoninamide, 2-[[4-(β-D-glucopyranosylamino)-1,4-  
 dioxobutyl]amino]tetradecanoyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-  
 tryptophyl-L-lysyl-L-cysteinyl-, cyclic (3→7)-disulfide (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

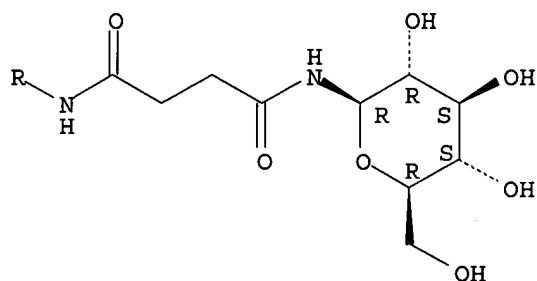
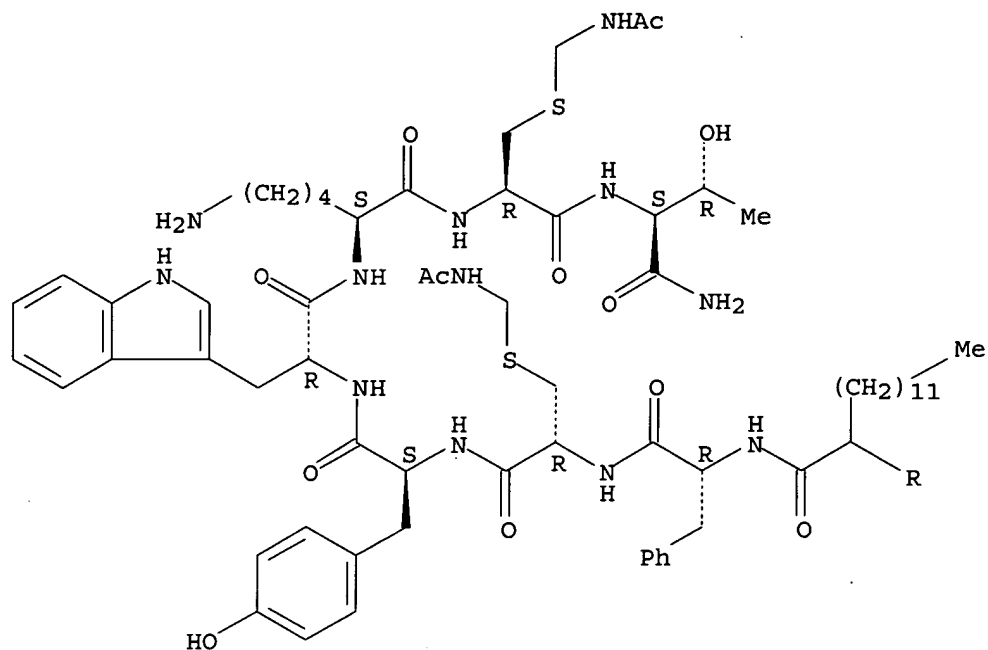
PAGE 1-A





RN 250132-14-0 CAPLUS  
 CN L-Threoninamide, 2-[[4-(β-D-glucopyranosylamino)-1,4-dioxobutyl]amino]tetradecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

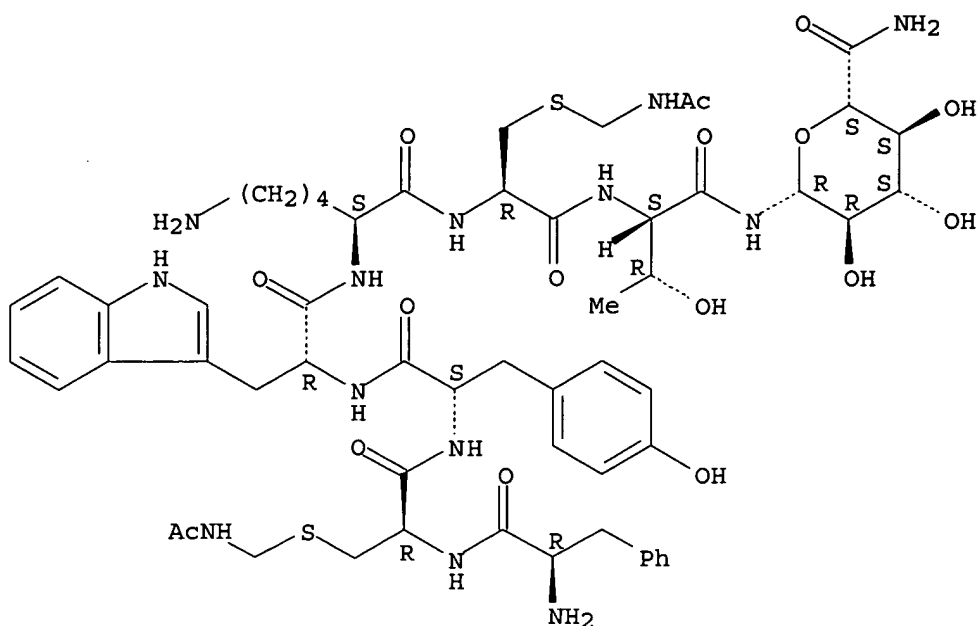
Absolute stereochemistry.



RN 250132-16-2 CAPLUS

CN L-Threoninamide, D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-cysteinyl-N-β-D-glucopyranuronamidosyl- (9CI) (CA INDEX NAME)

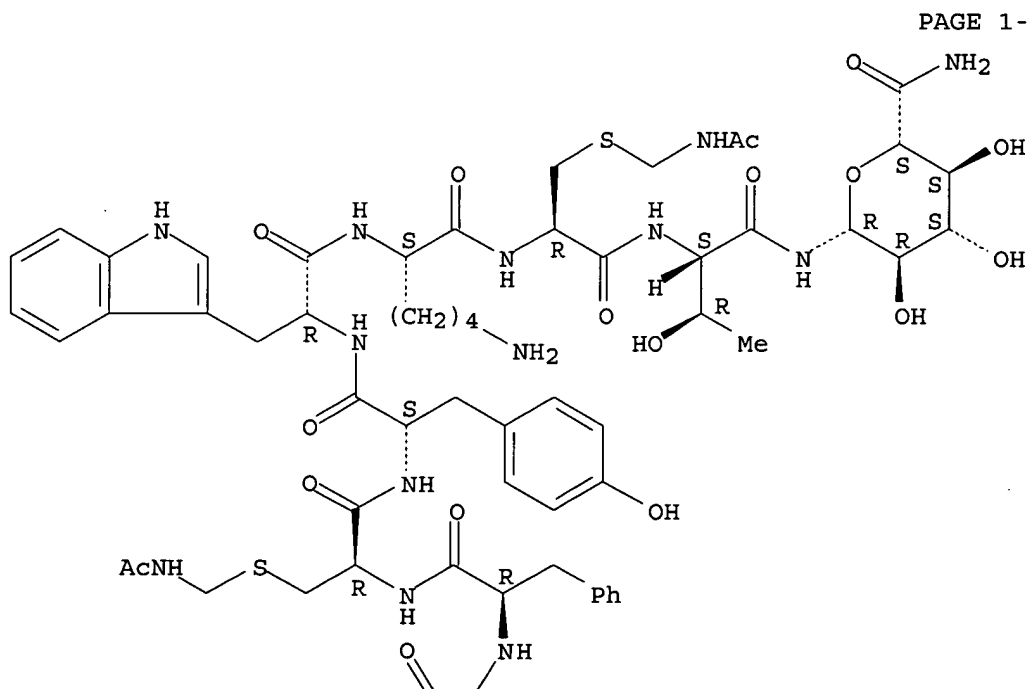
Absolute stereochemistry.

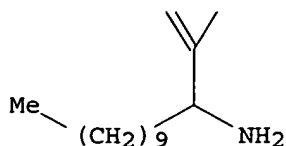


RN 250132-17-3 CAPLUS  
 CN L-Threoninamide, 2-aminododecanoyl-D-phenylalanyl-S-[(acetylamino)methyl]-  
 L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-[(acetylamino)methyl]-L-  
 cysteinyl-N-β-D-glucopyranuronamidoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

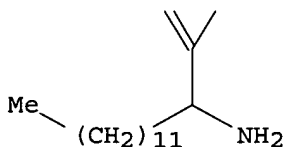
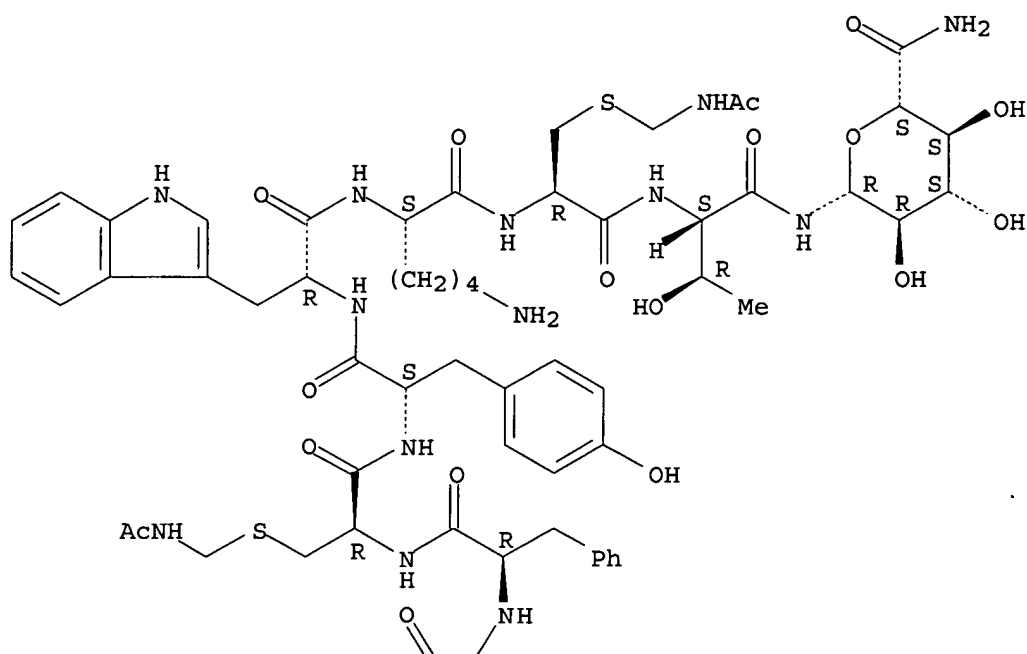
PAGE 1-A





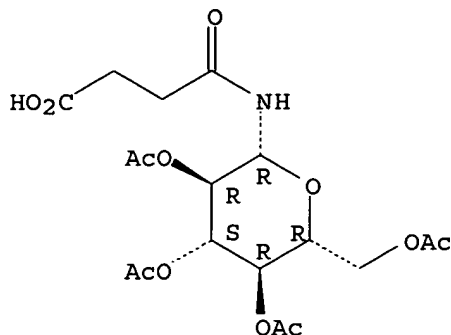
RN 250132-18-4 CAPLUS  
 CN L-Threoninamide, 2-aminotetradecanoyl-D-phenylalanyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-S-  
 [(acetylamino)methyl]-L-cysteinyl-N-β-D-glucopyranuronamidosyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



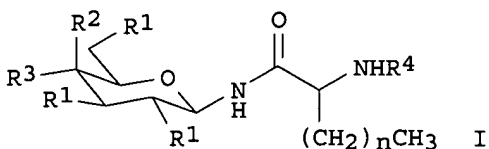
IT 205442-82-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (lipoamino acid- and liposaccharide-based system for application for  
 oral administration of tumor-selective somatostatin analogs)  
 RN 205442-82-6 CAPLUS  
 CN Butanoic acid, 4-oxo-4-[(2,3,4,6-tetra-O-acetyl-β-D-  
 glucopyranosyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

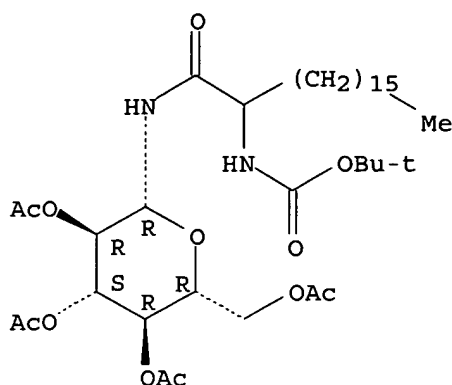
L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:597694 CAPLUS  
DN 129:331004  
TI Novel carbohydrate-lipoamino acid/peptide conjugates for **drug**  
and peptide delivery  
AU Dekany, Gyula; Falconer, Robert; Drouillat, Bruno; Wright, Karen; Toth,  
Istvan  
CS Department of Pharmaceutical and Biological Chemistry, The School of  
Pharmacy, University of London, London, WC1N 1AX, UK  
SO Peptides 1996, Proceedings of the European Peptide Symposium, 24th,  
Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 331-332.  
Editor(s): Ramage, Robert; Epton, Roger. Publisher: Mayflower Scientific,  
Kingswinford, UK.  
CODEN: 66RCA5  
DT Conference  
LA English  
GI



AB A symposium report on the preparation of sugar-lipid conjugates, e.g.  
I [n = 13, 15; R1 = OAc, OH; R2 = H, OAc; R3 = H, OAc, R4 = H, CO2CMe3  
(Boc)], by coupling amino sugars with Boc-protected lipoamino acids and  
oligomers. The physicochem. properties of the conjugates were modified by  
varying the nature and number of sugars, the number of lipoamino acids, or the  
length of the alkyl chain. The prepared compds. will be coupled to peptides  
and **drugs**. The sugar conjugation will be used not only to  
enhance water solubility in **drug** delivery, but to target the  
constructs and increase synthetic peptide immunogenicity.  
IT 199448-57-2P 199448-58-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of carbohydrate-lipoamino acid and -lipopeptide conjugates for  
**drug** and peptide delivery)  
RN 199448-57-2 CAPLUS  
CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-  
glucopyranosyl)amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



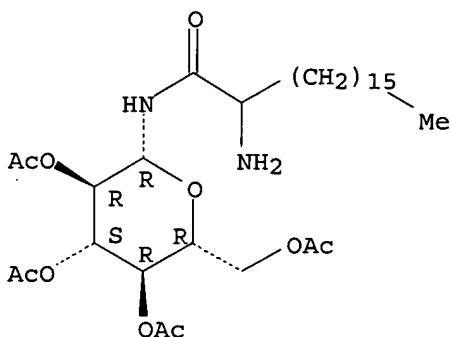
Absolute stereochemistry.



RN 199448-58-3 CAPLUS

CN Octadecanamide, 2-amino-N-(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 192385-41-4P 192385-43-6P 215254-45-8P

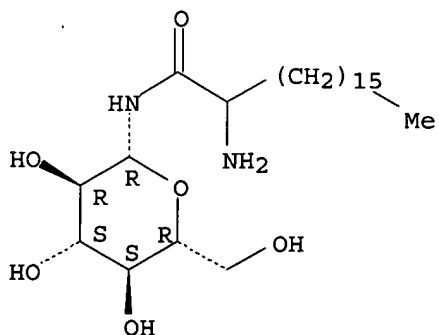
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of carbohydrate-lipoamino acid and -lipopeptide conjugates for  
drug and peptide delivery)

RN 192385-41-4 CAPLUS

CN Octadecanamide, 2-amino-N- $\beta$ -D-glucopyranosyl- (9CI) (CA INDEX NAME)

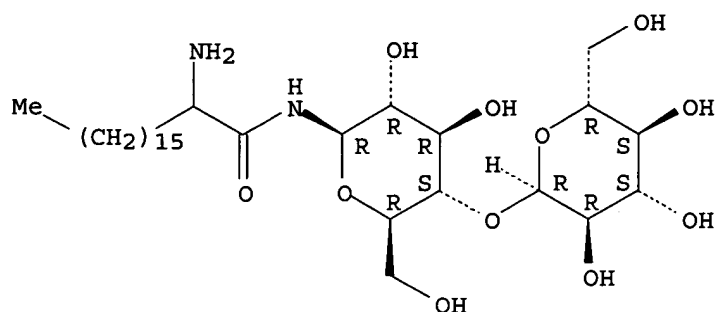
Absolute stereochemistry.



RN 192385-43-6 CAPLUS

CN Octadecanamide, 2-amino-N-(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

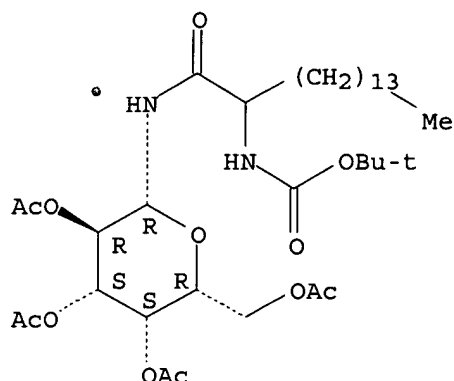
Absolute stereochemistry.



RN 215254-45-8 CAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-beta-D-galactopyranosyl)amino]carbonyl]pentadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:786483 CAPLUS

DN 128:26805

TI Novel Liposaccharide Conjugates for Drug and Peptide Delivery

AU Drouillat, Bruno; Hillery, Anya M.; Dekany, Gyula; Falconer, Robert; Wright, Karen; Toth, Istvan

CS Department of Pharmaceutical and Biological Chemistry School of Pharmacy, University of London, London, WC1N 1AX, UK

SO Journal of Pharmaceutical Sciences (1998), 87(1), 25-30

CODEN: JPMSAE; ISSN: 0022-3549

PB American Chemical Society

DT Journal

LA English

AB Sugar-lipid conjugates were prepared by coupling amino sugars with N-Boc-protected lipoamino acids and oligomers. Conjugates were also prepared from glucuronic acid and Me 2-aminohexadecanoate. The physicochem. properties of the conjugates were modified by varying the nature and number of sugars or the number of lipoamino acids or their alkyl chain length. The ability of the liposaccharides to aggregate was examined. These preliminary expts. have demonstrated the ability of the liposaccharides to form particulate systems per se and also their ability to be incorporated into conventional liposomal systems. The structure of the resp.

liposaccharides and the molar ratio of liposaccharide to dimyristoyl lecithin and cholesterol were found to have a profound effect on the type of colloidal systems produced.

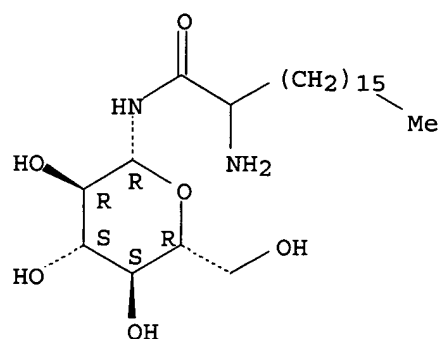
IT 192385-41-4P 192385-43-6P 192385-44-7P  
199448-57-2P 199448-58-3P 199448-59-4P  
199448-60-7P 199448-61-8P 199448-62-9P  
199448-63-0P 199448-64-1P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(liposaccharide conjugates for **drug** and peptide delivery)

RN 192385-41-4 CAPLUS

CN Octadecanamide, 2-amino-N- $\beta$ -D-glucopyranosyl- (9CI) (CA INDEX NAME)

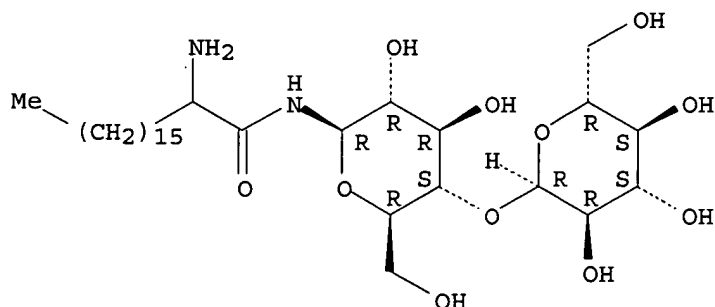
Absolute stereochemistry.



RN 192385-43-6 CAPLUS

CN Octadecanamide, 2-amino-N-(4-O- $\alpha$ -D-glucopyranosyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

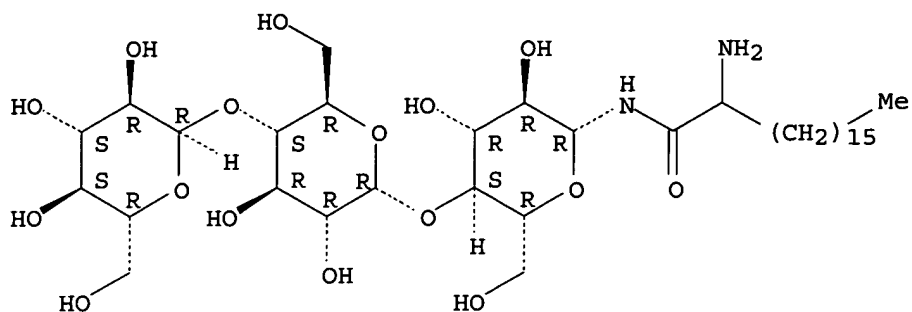
Absolute stereochemistry.



RN 192385-44-7 CAPLUS

CN Octadecanamide, 2-amino-N-(O- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

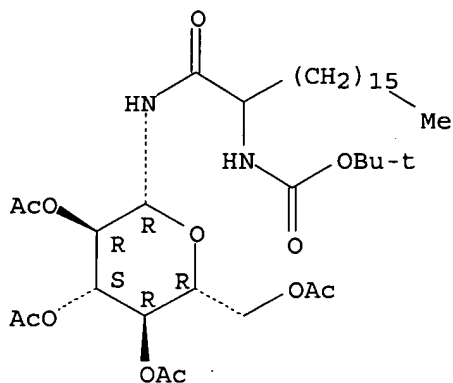
Absolute stereochemistry.



RN 199448-57-2 CAPLUS

CN Carbamic acid, [1-[[[2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

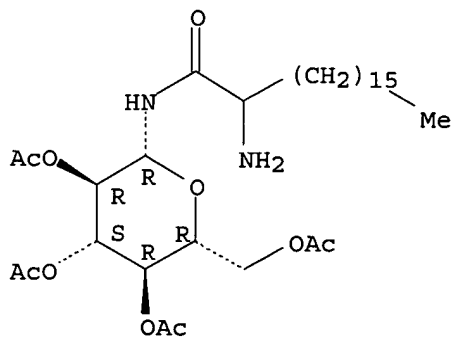
Absolute stereochemistry.



RN 199448-58-3 CAPLUS

CN Octadecanamide, 2-amino-N-(2,3,4,6-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

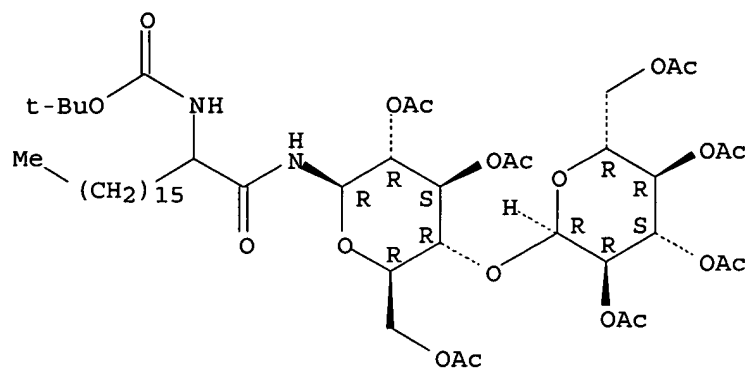
Absolute stereochemistry.



RN 199448-59-4 CAPLUS

CN Carbamic acid, [1-[[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

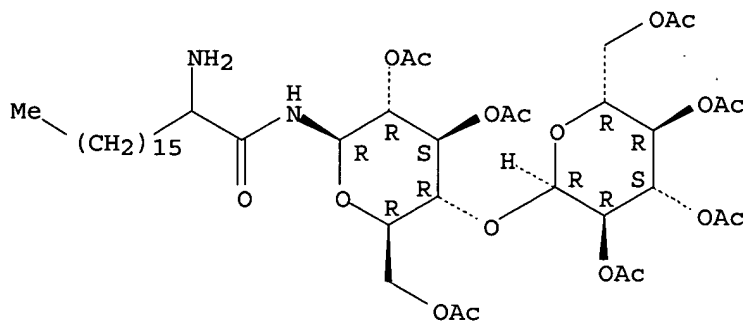
Absolute stereochemistry.



RN 199448-60-7 CAPLUS

CN Octadecanamide, 2-amino-N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

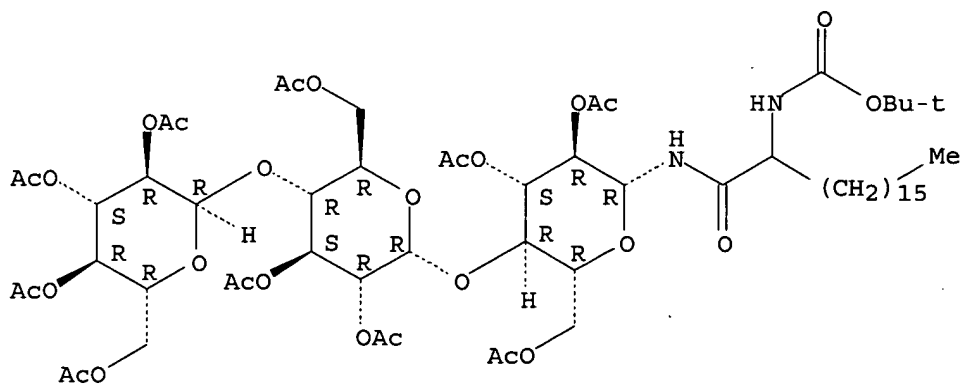
Absolute stereochemistry.



RN 199448-61-8 CAPLUS

CN Carbamic acid, [1-[[[O-2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O-2,3,6-tri-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-2,3,6-tri-O-acetyl- $\beta$ -D-glucopyranosyl]amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

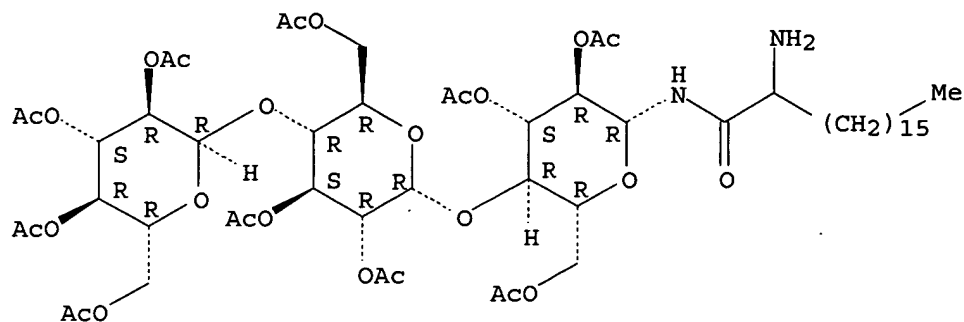
Absolute stereochemistry.



RN 199448-62-9 CAPLUS

CN Octadecanamide, 2-amino-N-(O-2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O-2,3,6-tri-O-acetyl- $\alpha$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-2,3,6-tri-O-acetyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

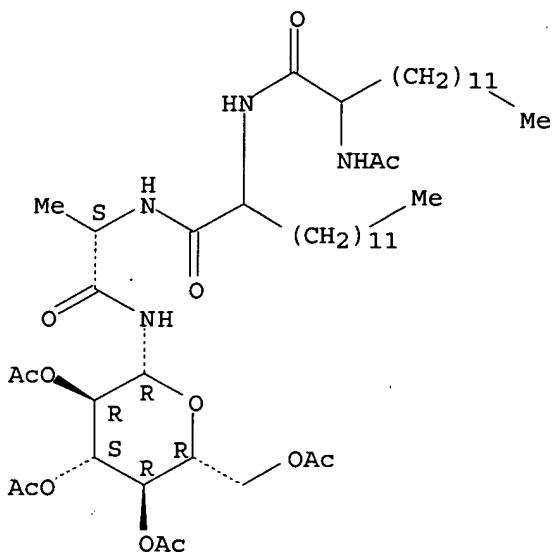
Absolute stereochemistry.



RN 199448-63-0 CAPLUS

CN Tetradecanamide, 2-(acetylamino)-N-[1-[[[(1S)-1-methyl-2-oxo-2-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]ethyl]amino]carbonyl]tridecyl]- (9CI) (CA INDEX NAME)

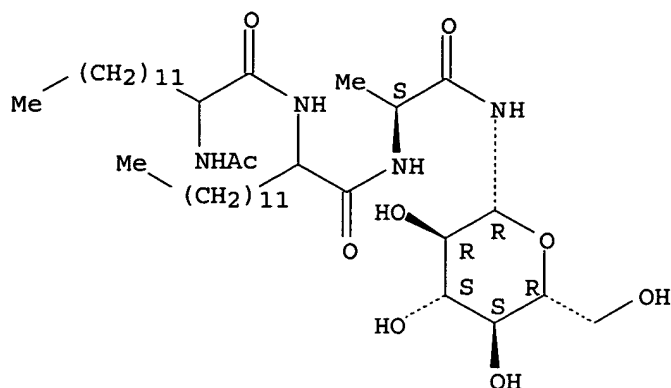
Absolute stereochemistry.



RN 199448-64-1 CAPLUS

CN Tetradecanamide, 2-(acetylamino)-N-[1-[[[(1S)-2-(β-D-glucopyranosylamino)-1-methyl-2-oxoethyl]amino]carbonyl]tridecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:565095 CAPLUS  
 DN 127:239117  
 TI Cationic lipids and liposomes containing them as drug  
 delivery agents  
 IN Sourovai, Andrej; Jung, Guenther  
 PA Germany  
 SO Ger. Offen., 20 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19605175	A1	19970814	DE 1996-19605175	19960213
	CA 2246456	AA	19970821	CA 1997-2246456	19970212
	WO 9730024	A2	19970821	WO 1997-EP629	19970212
	WO 9730024	A3	19970925		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9717240	A1	19970902	AU 1997-17240	19970212
	AU 713039	B2	19991118		
	EP 883602	A2	19981216	EP 1997-904417	19970212
	EP 883602	B1	20020904		
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	JP 11506795	T2	19990615	JP 1997-528976	19970212
	JP 3525393	B2	20040510		
	AT 223374	E	20020915	AT 1997-904417	19970212
	PT 883602	T	20030131	PT 1997-904417	19970212
	ES 2183133	T3	20030316	ES 1997-904417	19970212
	US 6458381	B1	20021001	US 1998-125138	19981014
PRAI	DE 1996-19605175	A	19960213		
	WO 1997-EP629	W	19970212		

AB Lipophilic amine salts and quaternary ammonium compds.  
 $R_3R_4R_5N+CH(W)YN[(CH_2)nZR_1](CH_2)nZR_2X^-$  [I;  $R_1, R_2 = C_6-24$  alkyl, alkenyl, or alkynyl;  $R_3-R_5 = H, C_1-8$  alkyl or aminoalkyl, amino acyl, peptidyl;  $W = H, CO_2H$ , amino acid side chain, etc.;  $Y = C(O), (CH_2)mC(O), (CH_2)m, [CH(OH)CH_2]m, CH_2S(O)pCH_2, SO_2$ , etc.;  $Z =$  ester, ether, or amide group;  $X =$  anion;  $m = 1-20$ ;  $n = 1-8$ ;  $p = 0-2$ ] form complexes with polyanions, especially with DNA, RNA, or peptides, and are useful, alone or as components of

liposomes, for transport of biol. active polyanionic compds. across biol. membranes. I-polyanion complexes may also form ternary complexes with polycations and may be used similarly for transport of polycationic compds. Thus, Boc-Lys(Boc)-OH (Boc = Me<sub>3</sub>CO<sub>2</sub>C) was amidated with diethanolamine, esterified with oleoyl chloride, and deprotected to form L-lysine bis(O,O'-oleoyl-β-hydroxyethyl)amide-Dihydrochloride (II). Complexation of II with calf thymus DNA was demonstrated by quenching of the fluorescence of a DNA-ethidium bromide complex. HeLa cells were transformed with a complex of II and plasmid pCMVL DNA (containing the luciferase gene under the control of the cytomegalovirus promoter) 6-fold more efficiently than the same DNA complexes with (dioleoyloxypropyl)trimethylammonium methosulfate.

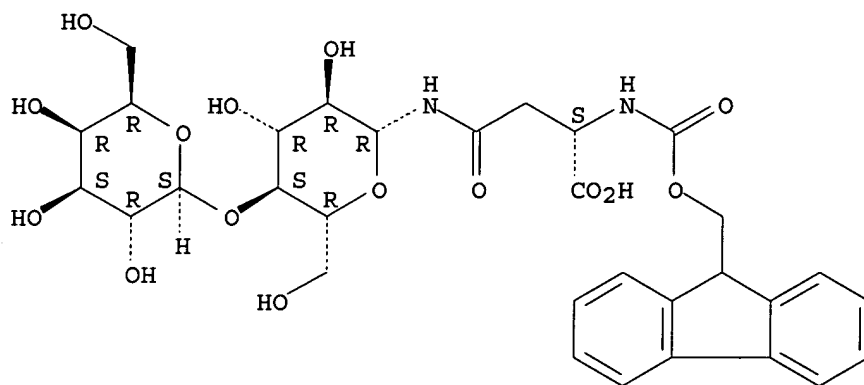
IT 146387-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(cationic **lipids** and liposomes containing them as **drug**  
delivery agents)

RN 146387-63-5 CAPLUS

CN L-Asparagine, N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N-(4-O-β-D-galactopyranosyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:752253 CAPLUS

DN 123:218332

TI Reduction of the hemolytic effect in a biologically recognizable  
β-cyclodextrin

AU Leray, E.; Leroy-Lechat, F.; Parrot-Lopez, H.; Duchene, D.

CS 1"Groupe Cyclodextrines Amphiphiles", BIOICIS, Villeurbanne, FG9622, Fr.

SO Supramolecular Chemistry (1995), 5(2), 149-51

CODEN: SCHEER; ISSN: 1061-0278

PB Gordon & Breach

DT Journal

LA English

AB β-Cyclodextrin derivs. having azido, amino and **bioactive**  
galactosylamido **spacer** functions were tested for hemolytic  
effect and compared with that of hydroxypropyl-β-cyclodextrin. The  
cyclodextrin coupled to the **bioactive** saccharide galactose via a  
**spacer** and which has bio-recognition properties for cell-wall  
lectin shows an extremely reduced hemolytic effect.

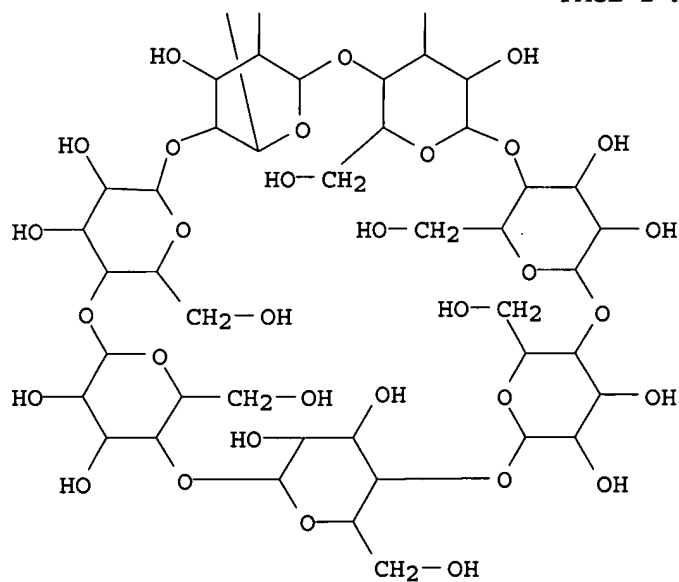
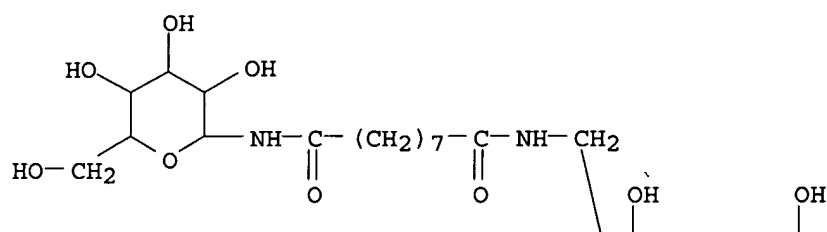
IT 156769-72-1

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(reduction of the hemolytic effect of β-cyclodextrin derivs.)

RN 156769-72-1 CAPLUS

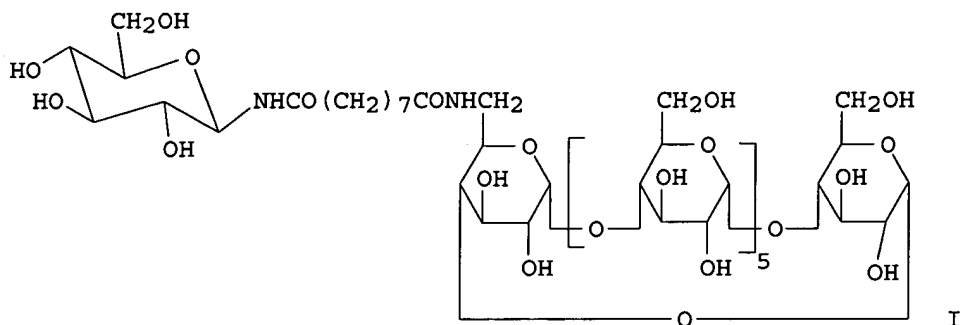
CN β-Cyclodextrin, 6A-deoxy-6A-[[9-(β-D-galactopyranosylamino)-1,9-dioxononyl]amino]- (9CI) (CA INDEX NAME)





L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:152238 CAPLUS  
 DN 116:152238  
 TI Vectorized transport of **drugs**: synthesis of a new glycosyl  
 derivative of  $\beta$ -cyclodextrin  
 AU Parrot-Lopez, Helene; Galons, Herve; Coleman, Anthony W.; Mahuteau,  
 Jacqueline; Miocque, Marcel

CS Fac. Pharm., Univ. Rene Descartes, Paris, 75270, Fr.  
 SO Tetrahedron Letters (1992), 33(2), 209-12  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 116:152238  
 GI



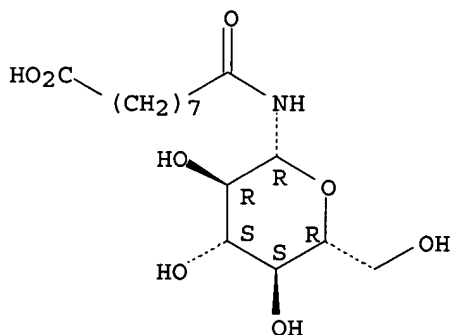
AB Monosubstitution at the O-6 position of  $\beta$ -cyclodextrin by a  $\beta$ -N-glucosyl residue was achieved with a C9 diamide **spacer** as the interglycosidic linkage. The new glycosyl derivative I is much more soluble (200 g/L) in water but retains the capacity to include and to enhance the solubility of pharmacol. active mols.

IT 139903-53-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and amidation of, with aminocyclodextrin)

RN 139903-53-0 CAPLUS

CN Nonanoic acid, 9-( $\beta$ -D-glucopyranosylamino)-9-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

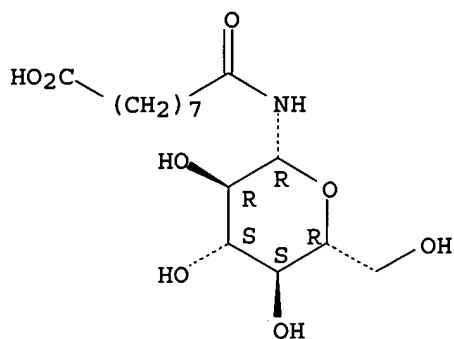


IT 139889-11-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and neutralization of)

RN 139889-11-5 CAPLUS

CN Nonanoic acid, 9-( $\beta$ -D-glucopyranosylamino)-9-oxo-, monosodium salt (9CI) (CA INDEX NAME)

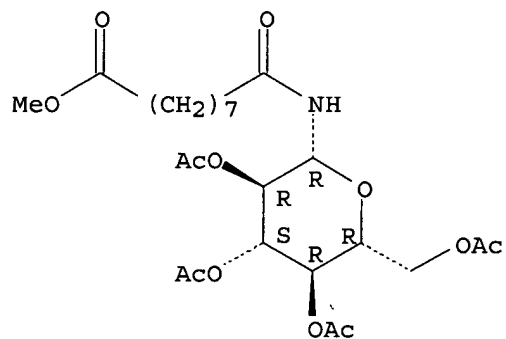
Absolute stereochemistry.



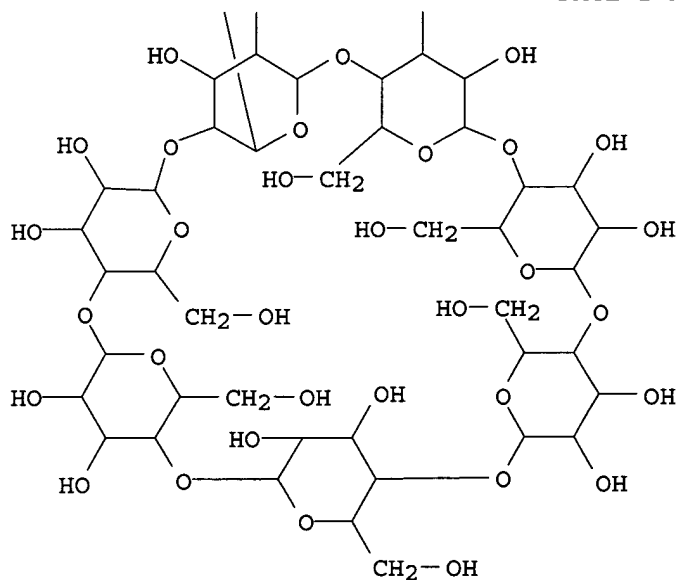
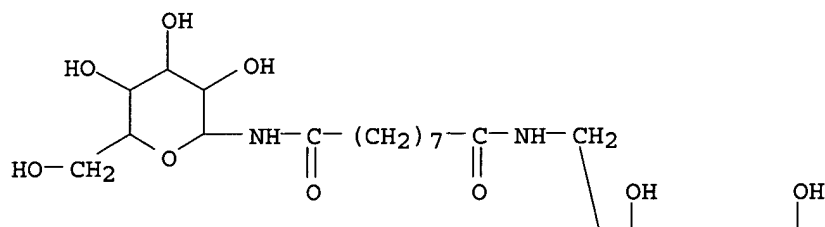
● Na

IT **139903-52-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, deacetylation, and hydrolysis of)  
 RN 139903-52-9 CAPLUS  
 CN Nonanoic acid, 9-oxo-9-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **139921-46-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, solubility, and inclusion reaction of, with nicardipine)  
 RN 139921-46-3 CAPLUS  
 CN β-Cyclodextrin, 6A-deoxy-6A-[[9-(β-D-glucopyranosylamino)-1,9-dioxononyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1986:485210 CAPLUS  
 DN 105:85210  
 TI **Lipid** membrane structures  
 IN Tomikawa, Munehiro; Hirota, Sadao; Kikuchi, Hiroshi; Yamauchi, Hitoshi  
 PA Daiichi Seiyaku Co., Ltd., Japan  
 SO Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW  
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 180980	A2	19860514	EP 1985-114141	19851106
	EP 180980	A3	19870603		
	EP 180980	B1	19910313		
	R: CH, CH, DE, FR, GB, IT, NL, SE				
	JP 61112021	A2	19860530	JP 1984-233742	19841106
	JP 05005811	B4	19930125		
	US 4960595	A	19901002	US 1987-222309	19871116
PRAI	JP 1984-233742	A	19841106		
	US 1985-795608	B1	19851106		

AB **Lipid** membrane structures, such as liposomes, micelles, or microemulsions, are incorporated with a lactose monofatty acid ester or amide. The **lipid** membrane structures are delivered preferentially to the liver parenchymal cells and are useful as **drug** carriers. Thus, lactose monoarachidic ester was prepared by reacting lactose with arachidyl chloride. The above ester 4, egg yolk lecithin 72, cholesterol 24, phosphatidic acid 8  $\mu\text{mol}$ , 3H-dipalmitoylphosphatidylcholine 15  $\mu\text{Ci}$ , and 2 mL phosphate buffered saline containing 115  $\mu\text{Ci}$  14C-tranexamic acid were mixed to form a liposome suspension. The resulting liposome contained 3H-dipalmitoylphosphatidylcholine 2.3 and 14C-tranexamic acid 1.8  $\mu\text{Ci}$  encapsulated in the liposomes per 0.5 mL of the suspension. The distribution of liposomes in animal studies showed that liver contained much higher concns. of 3H-dipalmitoylphosphatidyl choline and 14C-tranexamic acid compared to the lung, kidney, and spleen.

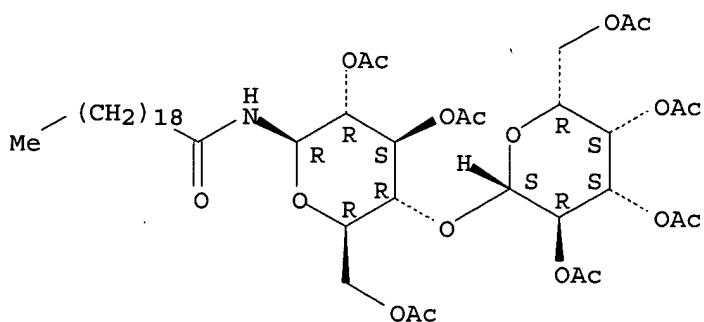
IT 103838-64-8P

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (preparation and deacetylation of)

RN 103838-64-8 CAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- $\beta$ -D-galactopyranosyl)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



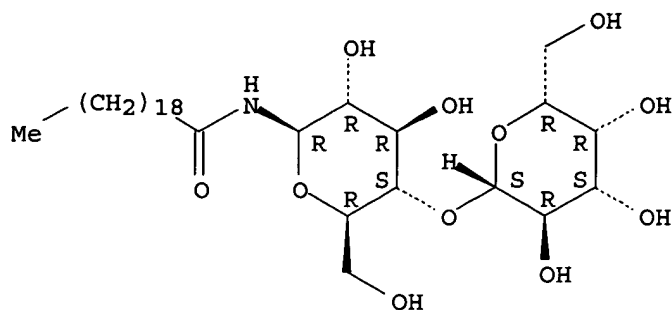
IT 103807-21-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and incorporation of, in liposome)

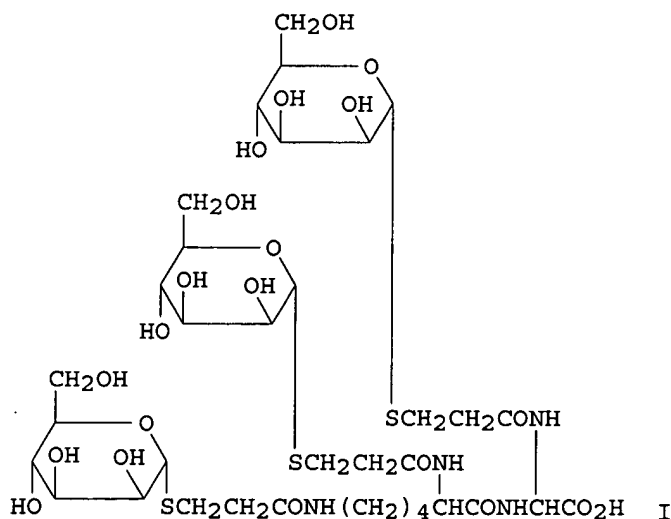
RN 103807-21-2 CAPLUS

CN Eicosanamide, N-(4-O- $\beta$ -D-galactopyranosyl- $\beta$ -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1981:609468 CAPLUS  
 DN 95:209468  
 TI Cell-specific ligands for selective **drug** delivery to tissues and organs  
 AU Ponpipom, Mitree M.; Bugianesi, Robert L.; Robbins, James C.; Doebber, T. W.; Shen, T. Y.  
 CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA  
 SO Journal of Medicinal Chemistry (1981), 24(12), 1388-95  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 GI



AB Various nos. of D-mannose residues were attached via **spacer** arms to lysine, dilysine, and oligolysine backbones. These D-mannosyl peptide analogs were potent competitive inhibitors of the uptake of <sup>125</sup>I-labeled D-mannose-bovine serum albumin conjugates by rat alveolar macrophages. The inhibitory potency of these synthetic ligands increased with increasing number of carbohydrate moieties. The chirality of the peptide backbone did not play a major role in binding, whereas variations of the length and linkage of the **spacer** arm affected the inhibitory activities. The saccharide specificity of the macrophage receptor was demonstrated by the inactivity of corresponding D-galactosyl peptide analogs. A L-fucosyl peptide derivative was only weakly active. The trimannosyldi-L-lysine ligand (I) [79390-81-1] (K<sub>i</sub> = 3.9 μM) and its analogs are potentially useful in selective delivery of therapeutic agents to macrophages.

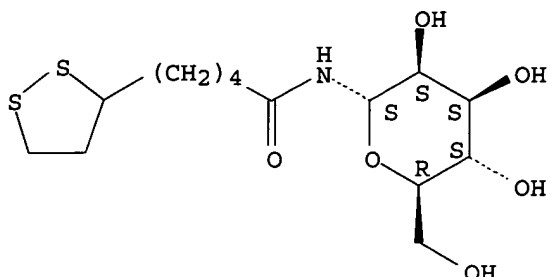
IT 79360-23-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(polymerization of)

RN 79360-23-9 CAPLUS

CN 1,2-Dithiolane-3-pentanamide, N- $\alpha$ -D-mannopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 79375-79-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and macrophage binding by)

RN 79375-79-4 CAPLUS

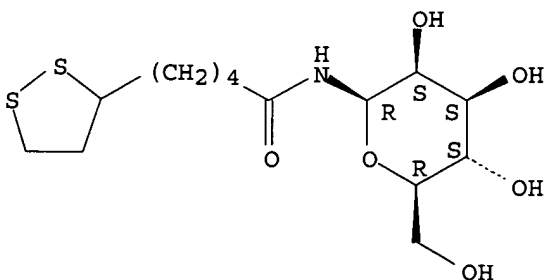
CN 1,2-Dithiolane-3-pentanamide, N- $\beta$ -D-mannopyranosyl-, homopolymer  
(9CI) (CA INDEX NAME)

CM 1

CRN 74761-63-0

CMF C14 H25 N O6 S2

Absolute stereochemistry.



=> dis hist

(FILE 'HOME' ENTERED AT 13:53:10 ON 21 DEC 2004)

FILE 'REGISTRY' ENTERED AT 13:53:20 ON 21 DEC 2004

L1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM

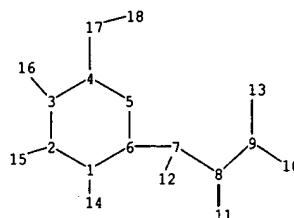
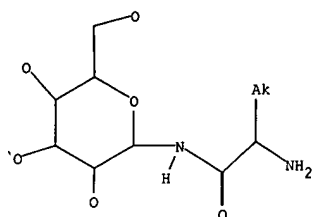
L3 1131 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:54:41 ON 21 DEC 2004

L4 52 S L3 AND (DRUG OR BIOMOLECULE OR BIOACTIVE?)

L5 20 S L4 AND (SPACER OR LINKER OR LIPID? OR GLYCEROL)

(Untitled)



chain nodes :

7 8 9 10 11 12 13 14 15 16 17 18

ring nodes :

1 2 3 4 5 6

chain bonds :

1-14 2-15 3-16 4-17 6-7 7-8 7-12 8-9 8-11 9-10 9-13 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-14 2-3 2-15 3-4 3-16 4-5 5-6 6-7 7-8 8-11 9-10 9-13 17-18

exact bonds :

4-17 7-12 8-9

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS